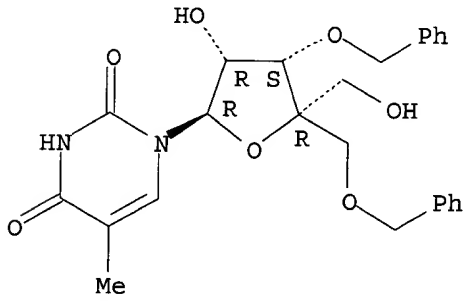
oligonucleotide analogs showed very interesting properties. LNA nucleosides I (R = Me or H, Y = NH or S) were prepd. by condensation, deacetylation, tosylation, ring closure and debenzylation. The synthetic route devised in this report gives convenient access to 2'-heteroatom substituted LNA pyrimidine nucleosides and should in addn. also be applicable for synthesis of other bicyclic pyrimidine nucleoside analogs.

IT **206055-57-4 206055-58-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of novel bicyclo[2.2.1] ribonucleosides: 2'-amino- and 2'-thio-LNA monomeric nucleosides)

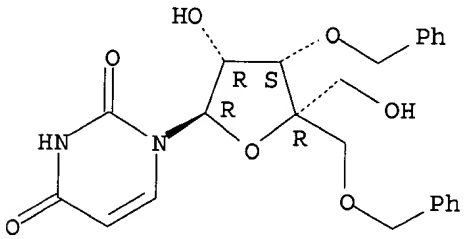
RN 206055-57-4 CAPLUS
CN Uridine, 4'-C-(hydroxymethyl)-5-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 206055-58-5 CAPLUS
CN Uridine, 4'-C-(hydroxymethyl)-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

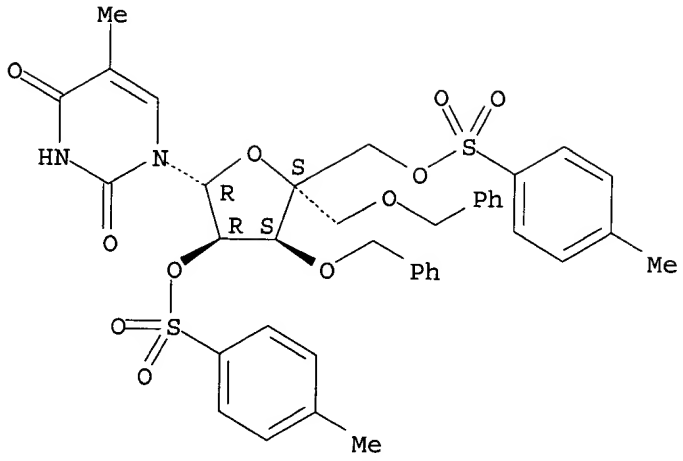
Absolute stereochemistry.



IT **213697-44-0P 213697-45-1P 213697-48-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of novel bicyclo[2.2.1] ribonucleosides: 2'-amino- and 2'-thio-LNA monomeric nucleosides)

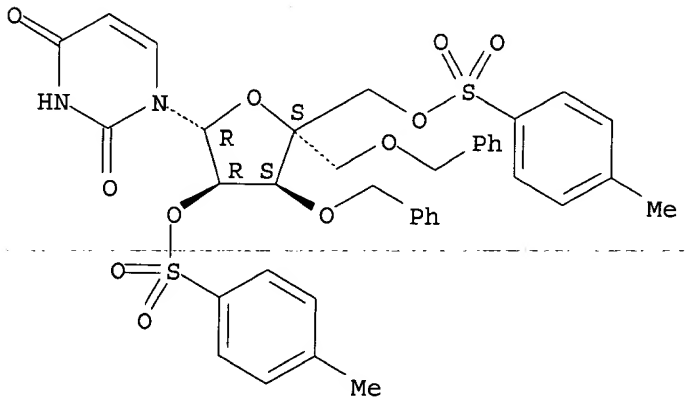
RN 213697-44-0 CAPLUS
CN Uridine, 5-methyl-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3',5'-bis-O-(phenylmethyl)-, 2'-(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



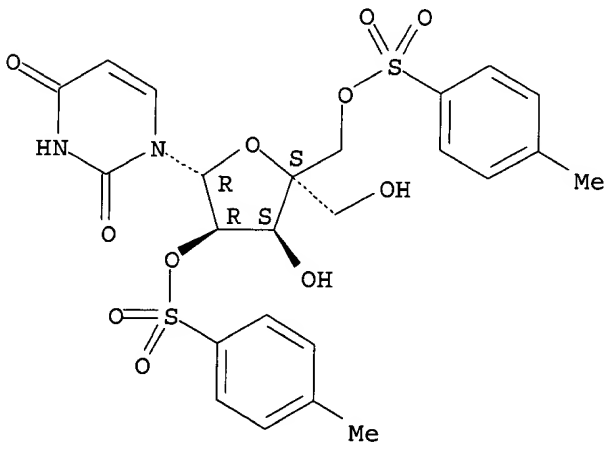
RN 213697-45-1 CAPLUS
CN Uridine, 4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3',5'-bis-O-(phenylmethyl)-, 2'-(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

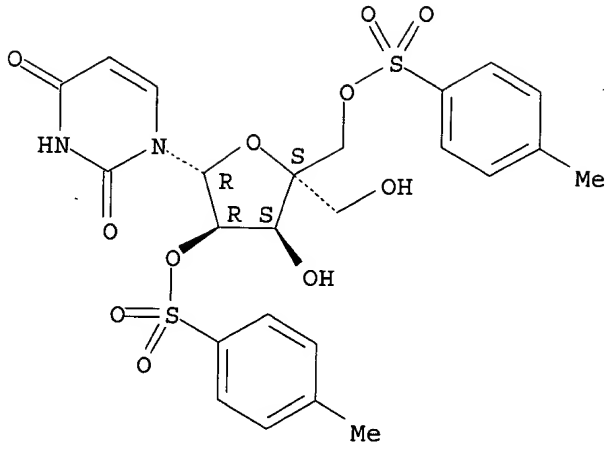
Absolute stereochemistry.



RN 213697-48-4 CAPLUS
CN Uridine, 4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-, 2'-(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

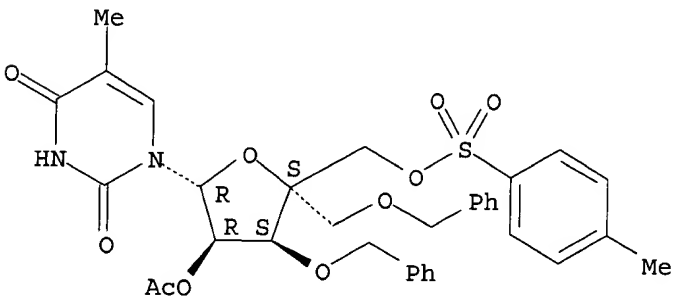




RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 1998:355933 CAPLUS
DN 129:109295
TI Novel convenient syntheses of LNA [2.2.1]bicyclo nucleosides
AU Koshkin, Alexei A.; Rajwanshi, Vivek K.; Wengel, Jesper
CS Dep. Chem., Chemical Lab. II, Univ. Copenhagen, Copenhagen, DK-2100, Den.
SO Tetrahedron Letters (1998), 39(24), 4381-4384
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
AB LNA (Locked Nucleic Acids) is a novel **oligonucleotide** analog contg. [2.2.1]bicyclo nucleoside monomers. A novel and significantly improved method for convergent synthesis of LNA [2.2.1]bicyclo nucleosides using a 4-C-tosyloxymethyl-1,2-di-O-acetyl furanose as a key synthon is described. In addn., an alternative, robust linear approach allowing selective formation of the desired [2.2.1]bicyclo LNA nucleosides via a tricyclic nucleoside intermediate is introduced.
IT **209968-87-6P 209968-88-7P 209968-90-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(novel convenient prepn. of LNA [2.2.1]bicyclo nucleosides)
RN 209968-87-6 CAPLUS
CN Uridine, 5-methyl-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

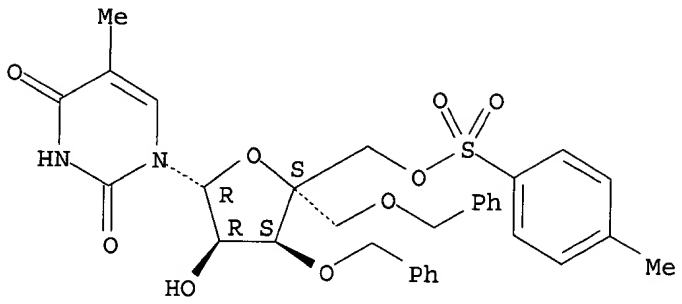
Absolute stereochemistry.



09567863

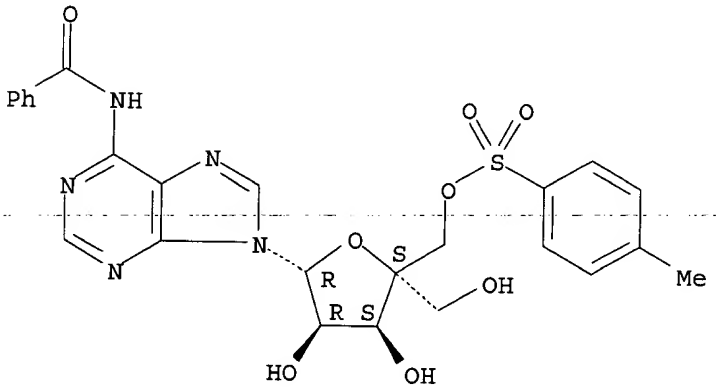
RN 209968-88-7 CAPLUS
CN Uridine, 5-methyl-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 209968-90-1 CAPLUS
CN Adenosine, N-benzoyl-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 1998:352858 CAPLUS
DN 129:28175
TI Preparation of antisense **oligonucleotide** analogs
IN Imanishi, Takeshi
PA Imanishi, Takeshi, Japan
SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9822489	A1	19980528	WO 1997-JP4187	19971118

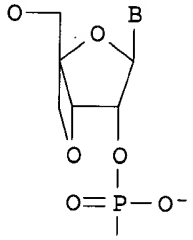
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,

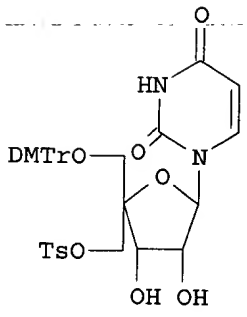
TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

JP 10195098	A2	19980728	JP 1997-315567	19971117
AU 9749669	A1	19980610	AU 1997-49669	19971118
EP 963997	A1	19991215	EP 1997-912488	19971118
EP 963997	B1	20030219		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6043060	A	20000328	US 1999-308367	19990518
PRAI JP 1996-306585	A	19961118		
WO 1997-JP4187	W	19971118		

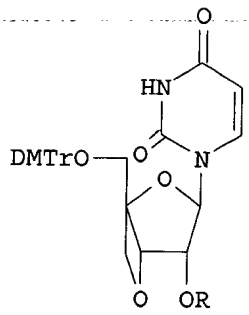
OS MARPAT 129:28175
GI

Q=





I



II

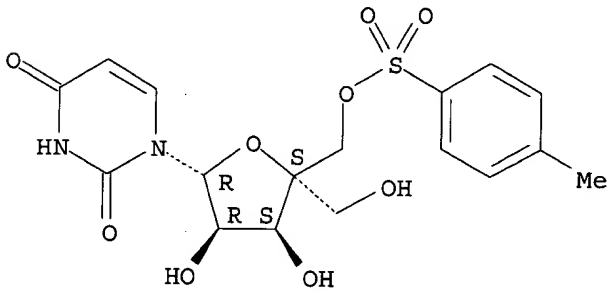
AB Oligo- or polynucleotide analogs contg. one or more nucleotide analog monomer units represented by general formula (Q; B = a pyrimidine or purine nucleic acid base or a deriv. thereof) are prepd. These **oligonucleotides** are antisense mols. which are not readily hydrolyzable by an enzyme in vivo, and exhibit a high binding power for sense strand, and are easy of synthesis. They are expected to be useful as drugs such as antitumor and antiviral agents for treating diseases by inhibiting gene function. Thus, tosylation of 2',3'-O-cyclohexylidene-4'-(hydroxymethyl)uridine by tosyl chloride in pyridine followed by deprotection with aq. CF3CO2H and 4,4'-dimethoxytrityl chloride in pyridine gave the uridine deriv. (I; DMTr = 4,4'-dimethoxytrityl) which was treated with sodium hexamethyldisilazane (NaHMDS) in THF to give the anhydro uridine deriv. (II; R = H). The latter compd. was condensed with (Me2CH)2POCH2CH2CN in MeCN/THF to give the uridine phosphoramidite deriv. II [R = P(OCH2CH2CN)N(CHMe2)2] (III). III was incorporated into the 12-mer oligodeoxynucleotide 5'-d(GCGTTXTTTGCT)-3' (X = Q) by the

phosphoramidite solid phase method using a Pharmacia DNA synthesizer (Gene Assembler Plus), duplexes of which with complimentary DNA, 5'-d(AGCAAAAAACGC)-3', and complimentary RNA, 5'-r(AGCAAAAAACGC)-3' showed melting temp. of 44.degree. and 47.degree., resp. In an assay for resistance against enzymic hydrolysis by exonuclease, the oligodeoxynucleotide 5'-d(GTTTTTTTTXXC)-3' was hydrolyzed by snake venom phosphodiesterase in .apprx.90 min vs. .apprx.30 min for 5'-d(GTTTTTTTTTTC)-3'.

IT **195705-15-8P 195705-18-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of antisense **oligonucleotide** analogs as antitumor and antiviral agents)

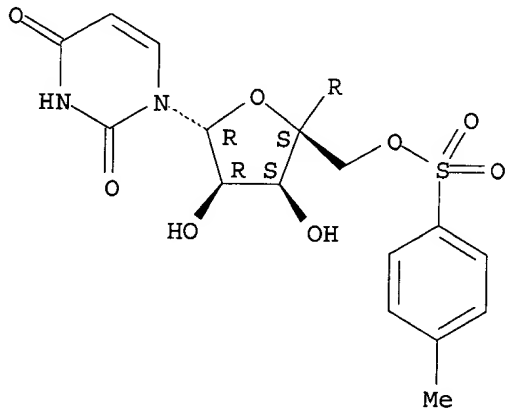
RN 195705-15-8 CAPLUS
CN Uridine, 4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

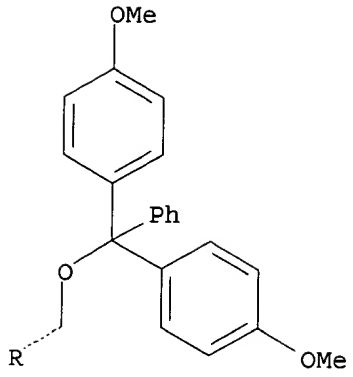
Absolute stereochemistry. Rotation (-).



RN 195705-18-1 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



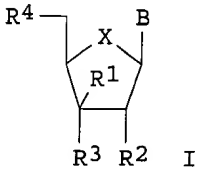


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 1998:79376 CAPLUS
DN 128:154351
TI Preparation of 3'-, 4'-, and 5'-C-branched deoxyribonucleosides and their use for synthesis of **oligonucleotides**
IN Wang, Guangyi
PA ICN Pharmaceuticals, USA
SO U.S., 30 pp., Cont.-in-part of U.S. 5,681,940.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5712378	A	19980127	US 1995-552363	19951102
	US 5681940	A	19971028	US 1994-333545	19941102
	CA 2202280	AA	19960517	CA 1995-2202280	19951102
	CA 2307311	AA	19960517	CA 1995-2307311	19951102
	CN 1170412	A	19980114	CN 1995-196962	19951102
	HU 77516	A2	19980528	HU 1997-2445	19951102
	US 6191266	B1	20010220	US 1996-766991	19961216
PRAI	US 1994-333545	A2	19941102		
	CA 1995-2202280	A3	19951102		
	US 1995-552363	A3	19951102		

OS MARPAT 128:154351
GI



I

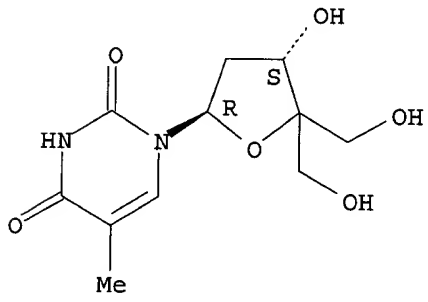
AB Modified nucleotides I (R1 = substituted alkyl, aralkyl, aryl; R2 = H, OH, alkoxy, aralkoxy, aryloxy; R3, R4 = independently OH, internucleotide linkage and hydroxyl blocking group; X = O, CH2; B = Adenine, guanine, cytosine, uracil, thymine) were prepd. Each nucleoside is converted to or properly protected and then converted to the corresponding

phosphoramidites. These phosphoramidites are used to assemble **oligonucleotides** in which there is at least one of the fore-noted nucleosides. Thus, I [R1 = Me; R2 = H; R3 = OP(OCH2CH2CN)N(iPr)2; R4 = dimethoxytrityloxy; X = O; B = thymine] was prepd. and has the potential to be used as antisense therapy since it is expected to enhance nuclease resistance and cellular uptake while maintaining sequence-specificity and affinity to nucleic acid targets in vitro or in vivo.

IT **63861-63-2P 139925-79-4P 179178-39-3P**
179178-40-6P 179178-41-7P 179178-42-8P
179178-43-9P 179178-45-1P 179178-46-2P
179178-47-3P 179178-48-4P 179178-49-5P
179178-51-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 3'-, 4'-, and 5'-C-branched nucleosides and their use for synthesis of **oligonucleotides**)

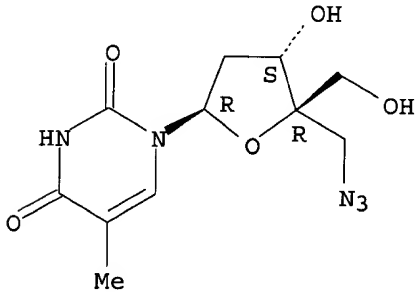
RN 63861-63-2 CAPLUS
CN Thymidine, 4'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



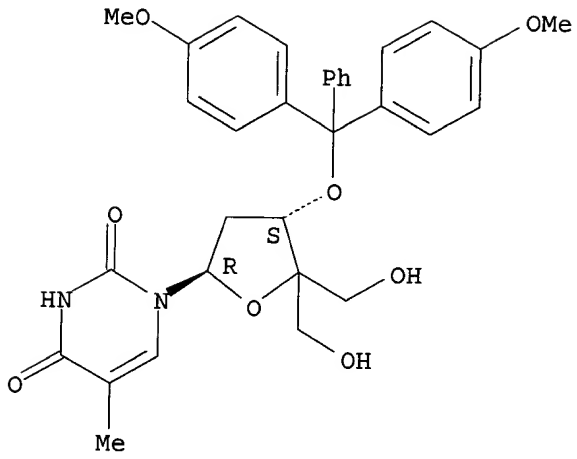
RN 139925-79-4 CAPLUS
CN Thymidine, 4'-(azidomethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



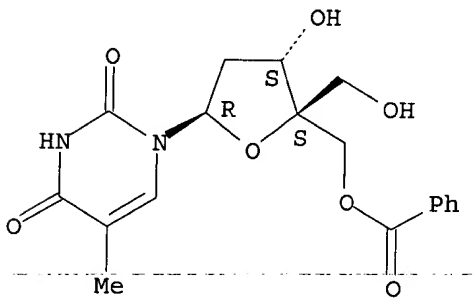
RN 179178-39-3 CAPLUS
CN Thymidine, 3'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



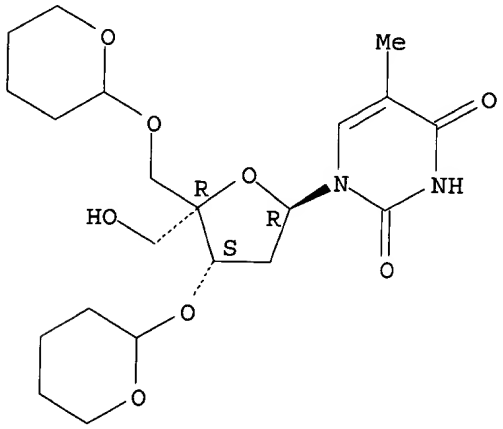
RN 179178-40-6 CAPLUS
CN Thymidine, 4'-C-[(benzoyloxy)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



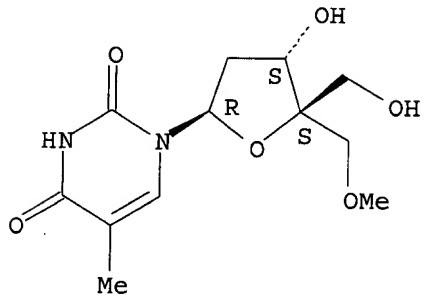
RN 179178-41-7 CAPLUS
CN Thymidine, 4'-C-(hydroxymethyl)-3',5'-bis-O-(tetrahydro-2H-pyran-2-yl)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



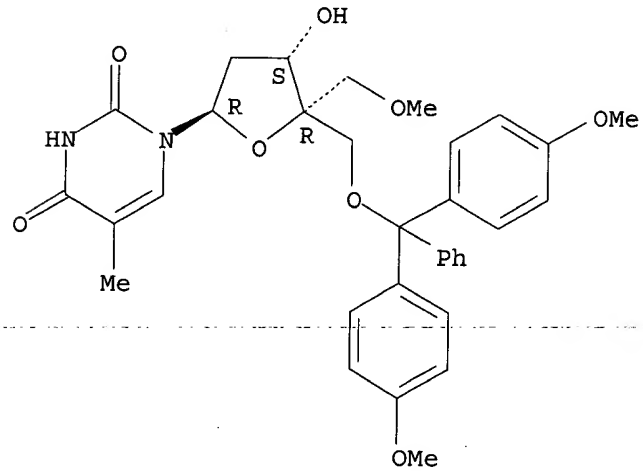
RN 179178-42-8 CAPLUS
CN Thymidine, 4'-C-(methoxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



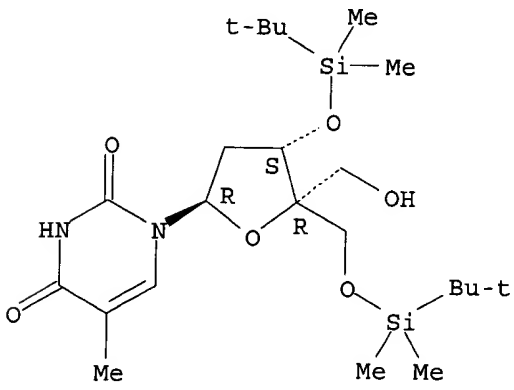
RN 179178-43-9 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-(methoxymethyl)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



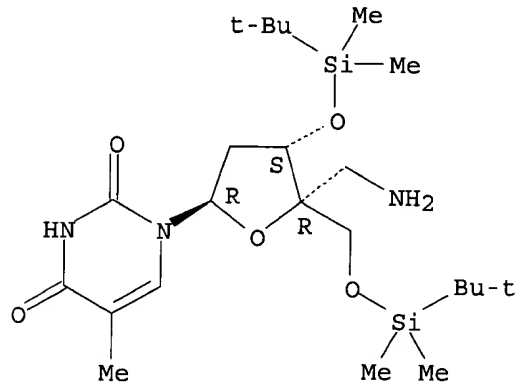
RN 179178-45-1 CAPLUS
CN Thymidine, 3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-
(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



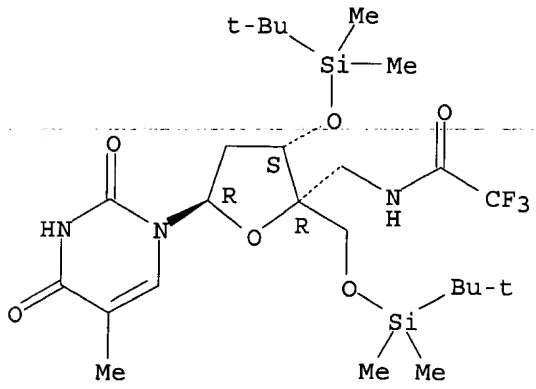
RN 179178-46-2 CAPLUS
CN Thymidine, 4'-C-(aminomethyl)-3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



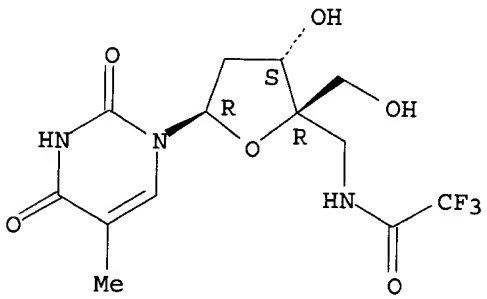
RN 179178-47-3 CAPLUS
CN Thymidine, 3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



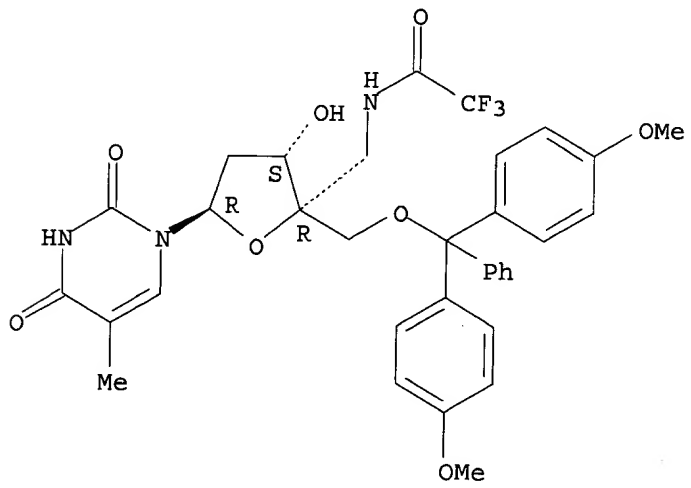
RN 179178-48-4 CAPLUS
CN Thymidine, 4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



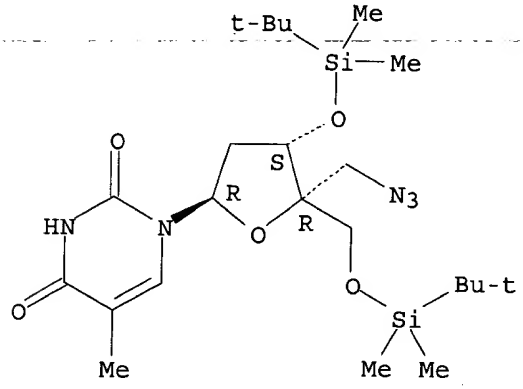
RN 179178-49-5 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179178-51-9 CAPLUS
CN Thymidine, 4'-C-(azidomethyl)-3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

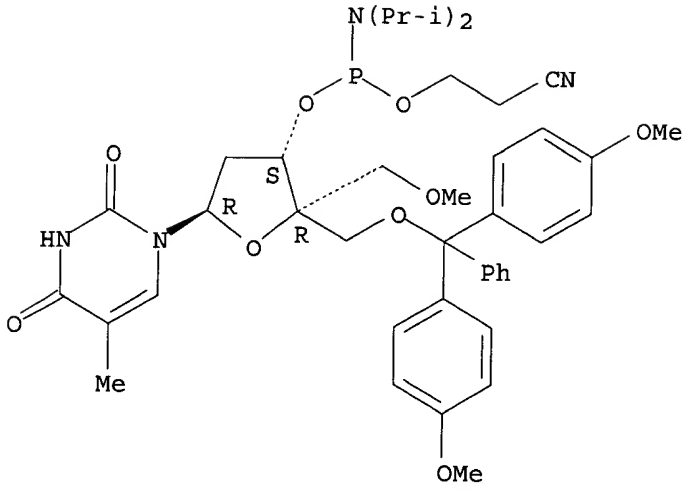
Absolute stereochemistry.



IT **179178-44-0P 179178-50-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 3'-, 4'-, and 5'-C-branched nucleosides and their use for synthesis of **oligonucleotides**)
RN 179178-44-0 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-(methoxymethyl)-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

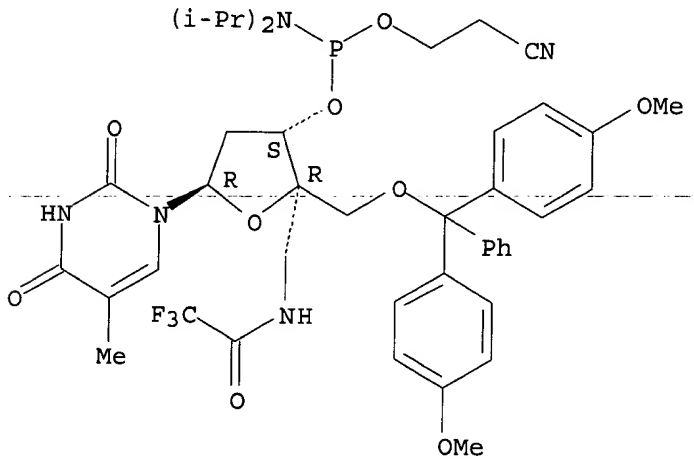
Absolute stereochemistry.

09567863



RN 179178-50-8 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-[[(trifluoroacetyl)amino]methyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

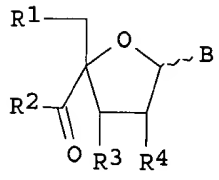


L13 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 1997:678934 CAPLUS
DN 127:331695
TI Preparation of modified nucleotides and their enzymic incorporation into DNA
IN Marx, Andreas; Giese, Bernd
PA Novartis A.-G., Switz.
SO Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

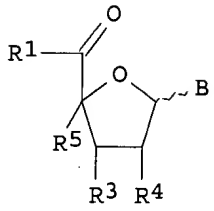
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 799834	A1	19971008	EP 1996-810216	19960404
	R: DE				
PRAI	EP 1996-810216		19960404		

09567863

OS MARPAT 127:331695
GI



I



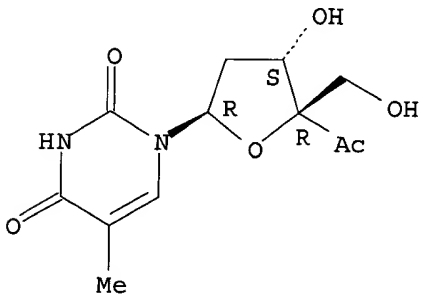
II

AB The current invention concerns new modified nucleotides I and II [B = nucleobase; R1 = phosphate; R2 = alkyl, haloalkyl, CHO, acyl, CH2OH, alkoxymethyl, phenoxymethyl, (un)substituted Ph; R3, R4 = independently H, alkoxy,aminoalkoxy: R5 = H, OH, Ch2OH, Me, Et, CH2CH2OH] that are prepd. and accepted by reverse transcriptases and incorporated in to a growing oligodeoxyribonucleotides but are not accepted by polymerases. **Oligonucleotides** comprising the new modified nucleotides can be cleaved photolytically. Thus, I (B = thymine; R1 = OP3O9H3; R2 = Me, Et, Ph; R3 = OH; R4 = H) was prepd. and incorporated into DNA in presence of reverse transcriptase.

IT **183892-48-0P**
RL: BPN (Biosynthetic preparation); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of modified nucleotides and their enzymic incorporation into DNA)

RN 183892-48-0 CAPLUS
CN Thymidine, 4'-C-acetyl- (9CI) (CA INDEX NAME)

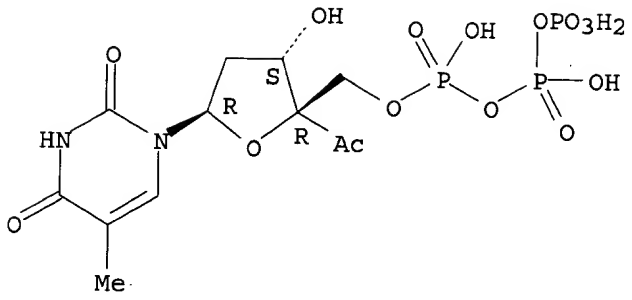
Absolute stereochemistry.



IT **186027-45-2P 186027-48-5P 190582-36-6P**
RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of modified nucleotides and their enzymic incorporation into DNA)

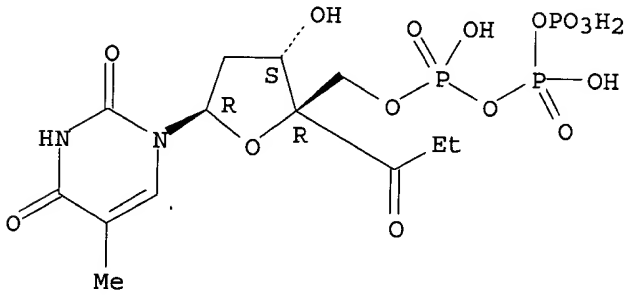
RN 186027-45-2 CAPLUS
CN Thymidine 5'-(tetrahydrogen triphosphate), 4'-C-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



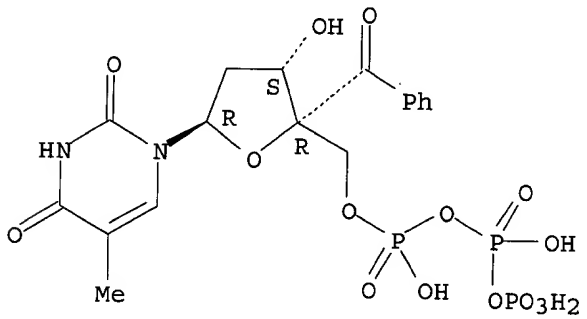
RN 186027-48-5 CAPLUS
CN Thymidine 5'-(tetrahydrogen triphosphate), 4'-C-(1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



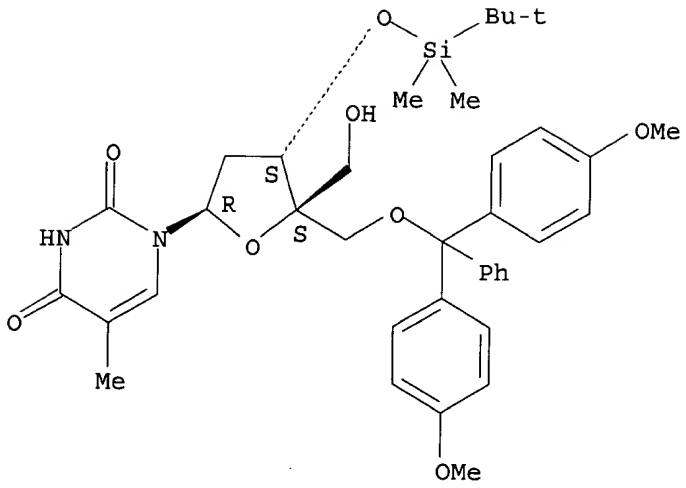
RN 190582-36-6 CAPLUS
CN Thymidine 5'-(tetrahydrogen triphosphate), 4'-C-benzoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **139925-90-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of modified nucleotides and their enzymic incorporation into DNA)
RN 139925-90-9 CAPLUS
CN Thymidine, 4'-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-3'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

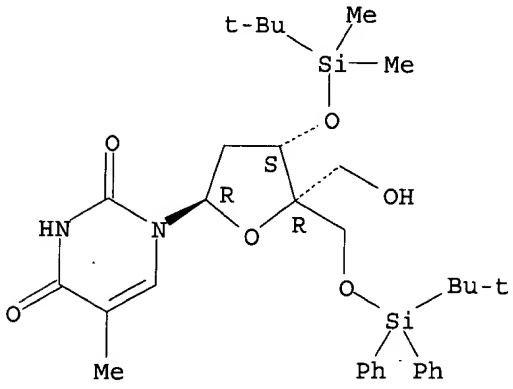


IT **162052-67-7P 162052-68-8P 183892-43-5P**
183892-44-6P 183892-45-7P 183892-46-8P
183892-49-1P 183892-50-4P 183892-59-3P
183892-62-8P 183892-66-2P 183892-68-4P
183892-73-1P 183892-75-3P 197070-46-5P
197070-48-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of modified nucleotides and their enzymic incorporation into DNA)

RN 162052-67-7 CAPLUS

CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

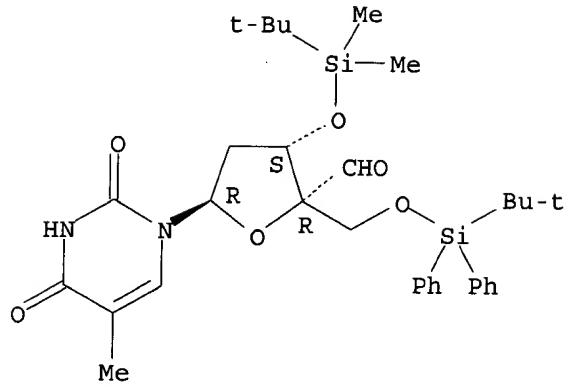
Absolute stereochemistry.



RN 162052-68-8 CAPLUS

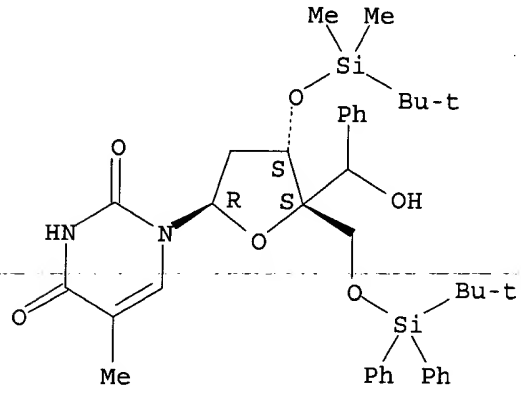
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-formyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



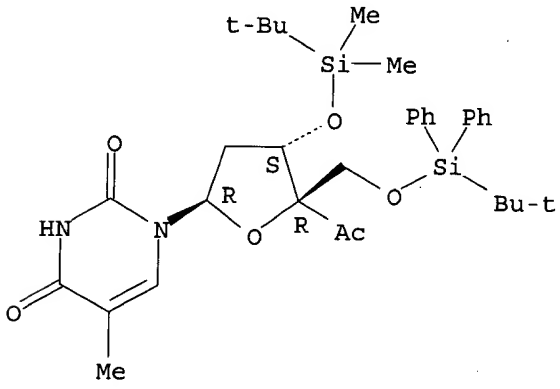
RN 183892-43-5 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-(hydroxyphenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183892-44-6 CAPLUS
CN Thymidine, 4'-C-acetyl-3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]- (9CI) (CA INDEX NAME)

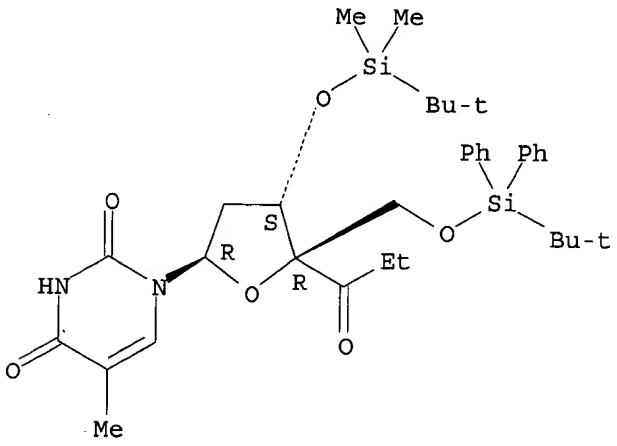
Absolute stereochemistry.



RN 183892-45-7 CAPLUS

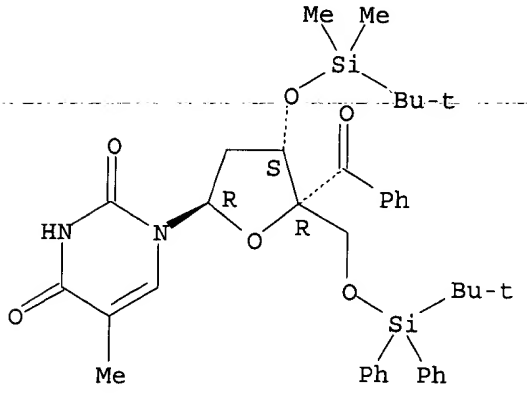
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-(1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



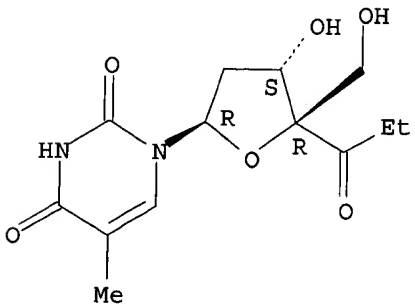
RN 183892-46-8 CAPLUS
CN Thymidine, 4'-C-benzoyl-3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183892-49-1 CAPLUS
CN Thymidine, 4'-C-(1-oxopropyl)- (9CI) (CA INDEX NAME)

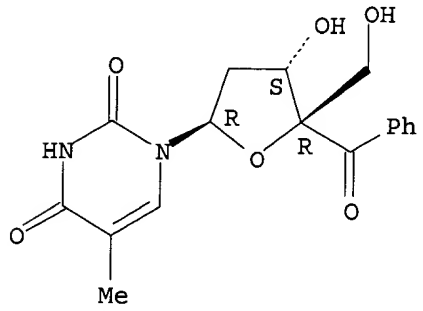
Absolute stereochemistry.



09567863

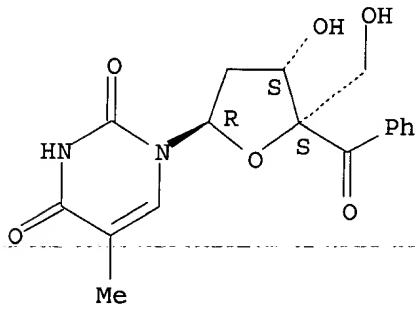
RN 183892-50-4 CAPLUS
CN Thymidine, 4'-C-benzoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



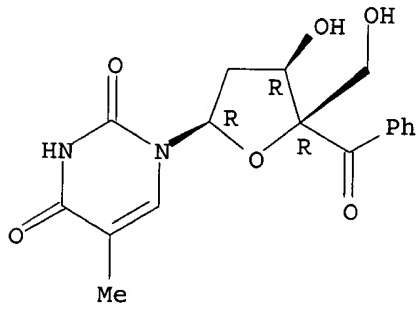
RN 183892-59-3 CAPLUS
CN Thymidine, 5'-deoxy-4'-C-(hydroxymethyl)-5'-oxo-5'-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



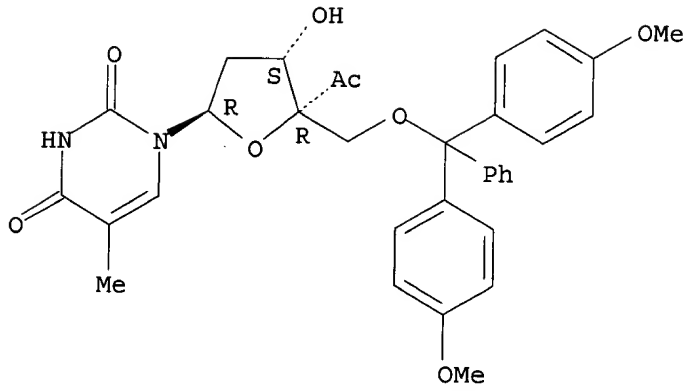
RN 183892-62-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(5R)-4-deoxy-2-C-(hydroxymethyl)-1-C-phenyl-D-erythro-pentodialdo-5,2-furanosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



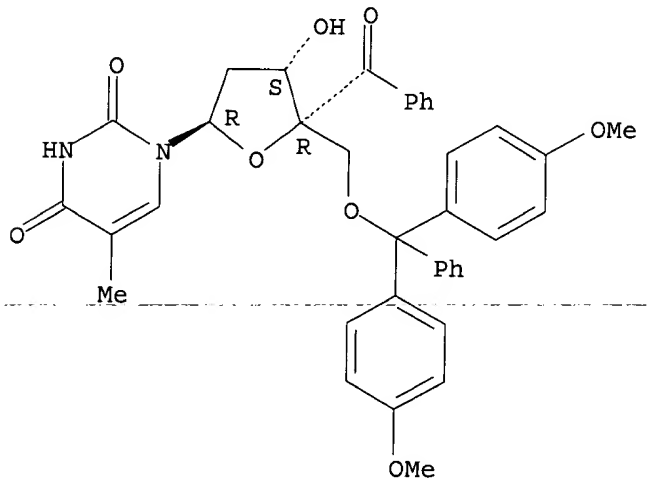
RN 183892-66-2 CAPLUS
CN Thymidine, 4'-C-acetyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



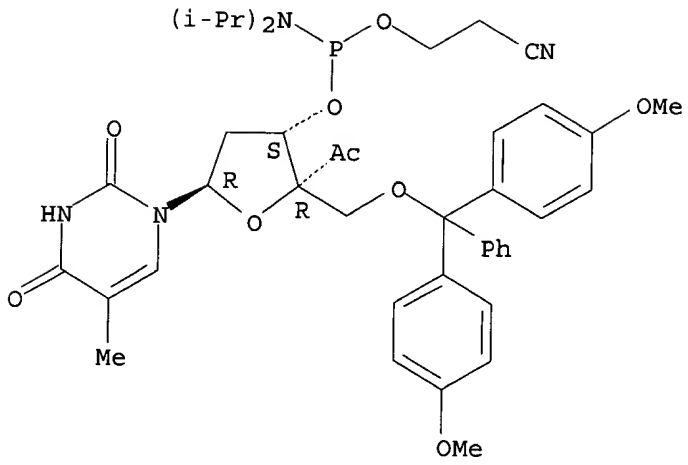
RN 183892-68-4 CAPLUS
CN Thymidine, 4'-C-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



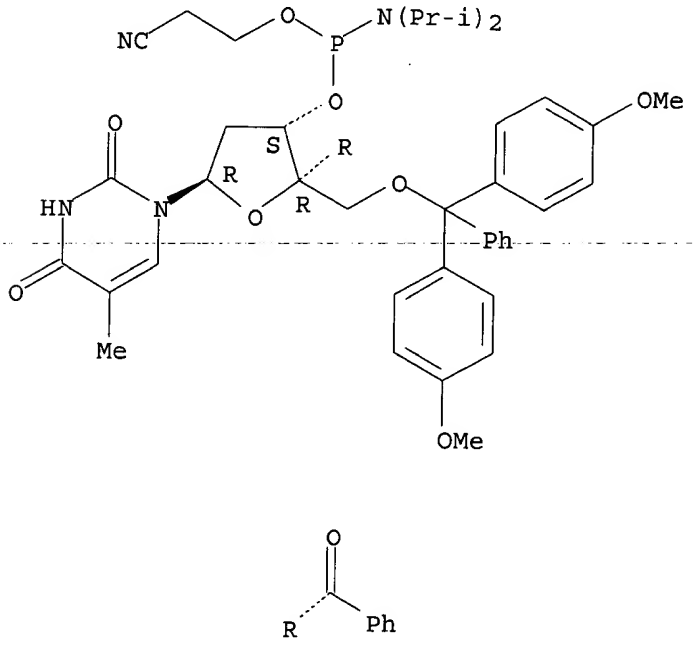
RN 183892-73-1 CAPLUS
CN Thymidine, 4'-C-acetyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



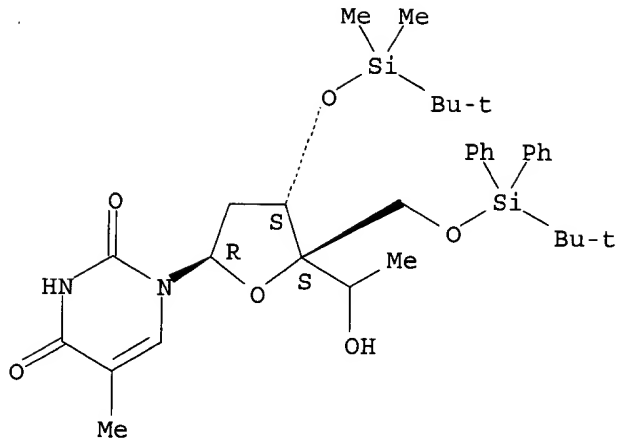
RN 183892-75-3 CAPLUS
CN Thymidine, 4'-C-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



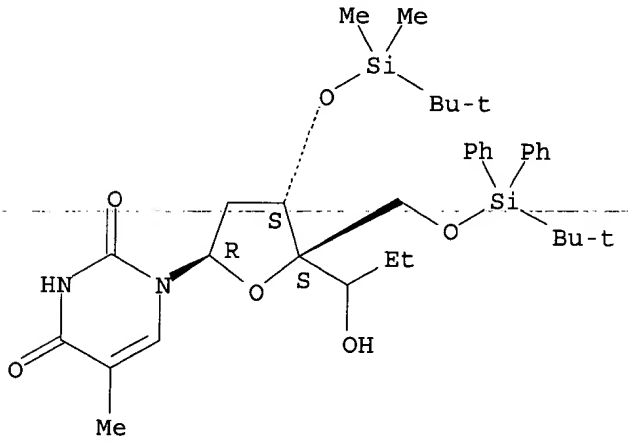
RN 197070-46-5 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-(1-hydroxyethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 197070-48-7 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-(1-hydroxypropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

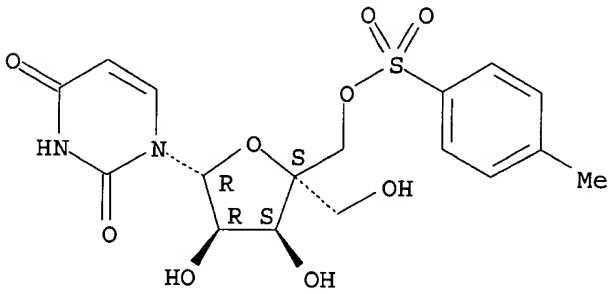


L13 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 1997:621268 CAPLUS
DN 127:248347
TI Synthesis and conformation of 3'-O,4'-C-methyleneribonucleosides, novel bicyclic nucleoside analogs for 2',5'-linked **oligonucleotide** modification
AU Obika, Satoshi; Morio, Ken-Ichiro; Nanbu, Daishu; Imanishi, Takeshi
CS Faculty of Pharmaceutical Sciences, Osaka University, Suita, 565, Japan
SO Chemical Communications (Cambridge) (1997), (17), 1643-1644
CODEN: CHCOFS; ISSN: 1359-7345
PB Royal Society of Chemistry
DT Journal
LA English
AB Novel bicyclic nucleoside analogs 3'-O,4'-C-methyleneribonucleosides are conveniently prepd. starting from uridine; the sugar puckering is found to be nearly in the S-conformation by means of PM3 calcns. and 1H NMR studies.
IT **195705-15-8P 195705-18-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and conformation of C-methyleneribonucleosides)

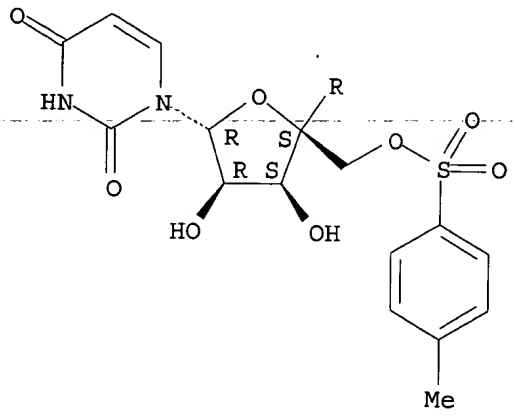
RN 195705-15-8 CAPLUS
CN Uridine, 4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

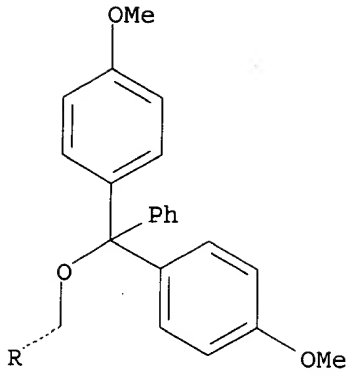
Absolute stereochemistry. Rotation (-).



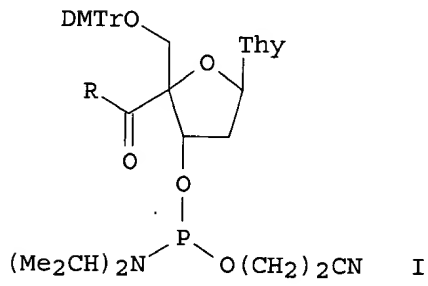
RN 195705-18-1 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).





L13 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 1996:689002 CAPLUS
DN 126:19159
TI Synthesis of 4'-C-acylated thymidines
AU Marx, Andreas; Erdmann, Peter; Senn, Martin; Koerner, Steffi; Jungo, Tobias; Petretta, Mario; Imwinkelried, Petra; Dussy, Adrian; Kulicke, Klaus J.; et al.
CS Departement Chemie, Universitaet Basel, Basel, CH-4056, Switz.
SO Helvetica Chimica Acta (1996), 79(7), 1980-1994
CODEN: HCACAV; ISSN: 0018-019X
PB Verlag Helvetica Chimica Acta
DT Journal
LA English
OS CASREACT 126:19159
GI



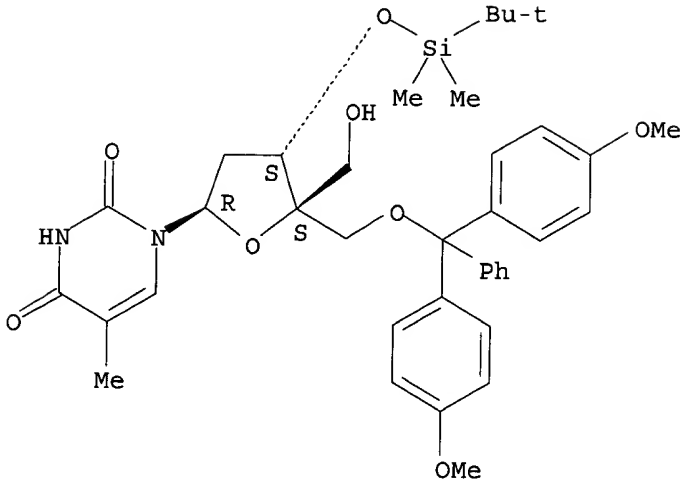
I

AB Two synthetic pathways towards 4'-C-acylthymidines are presented. These modified mononucleosides are precursors of the 2'-deoxyribonucleotide 4'-C-radical. They were converted into their corresponding 3'-O-[(2-cyanoethyl)-N,N-diisopropylphosphoramidites] I (R = Me, Ph, CMe3; Thy = thymidyl; DMTr = 4,4'-dimethoxytrityl) and were incorporated in **oligonucleotides** by solid-phase synthesis. The structure of some modified nucleosides was revealed by x-ray crystal-structure anal.

IT **139925-90-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of C-acylated thymidines and incorporation in **oligonucleotides**)

RN 139925-90-9 CAPLUS
CN Thymidine, 4'-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-3'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

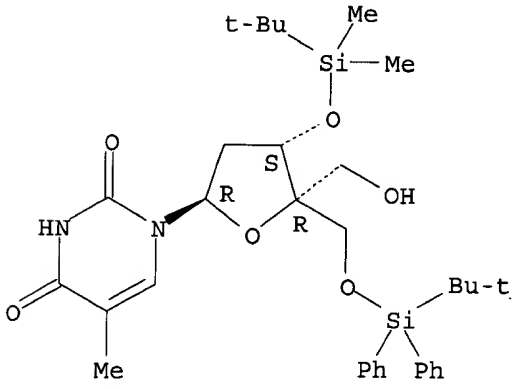
Absolute stereochemistry.



IT **162052-67-7P 162052-68-8P 162052-69-9P**
162071-47-8P 183892-39-9P 183892-40-2P
183892-41-3P 183892-42-4P 183892-43-5P
183892-44-6P 183892-45-7P 183892-46-8P
183892-47-9P 183892-48-0P 183892-50-4P
183892-59-3P 183892-66-2P 183892-68-4P
183892-70-8P 183892-73-1P 183892-75-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of C-acylated thymidines and incorporation in **oligonucleotides**)

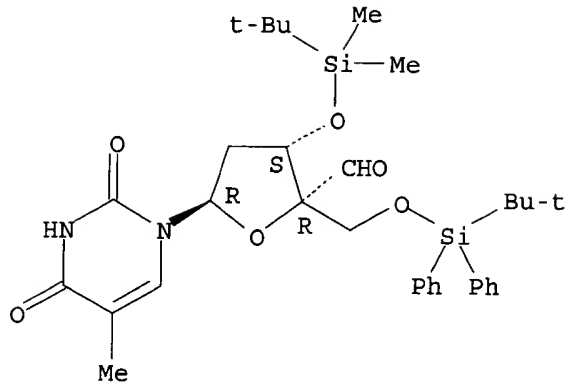
RN 162052-67-7 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



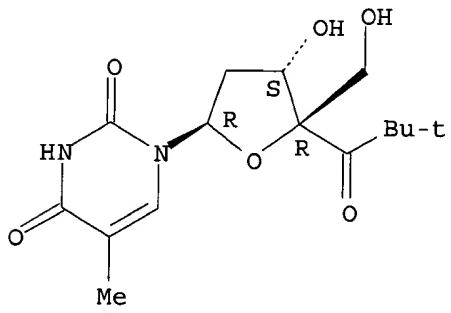
RN 162052-68-8 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-formyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



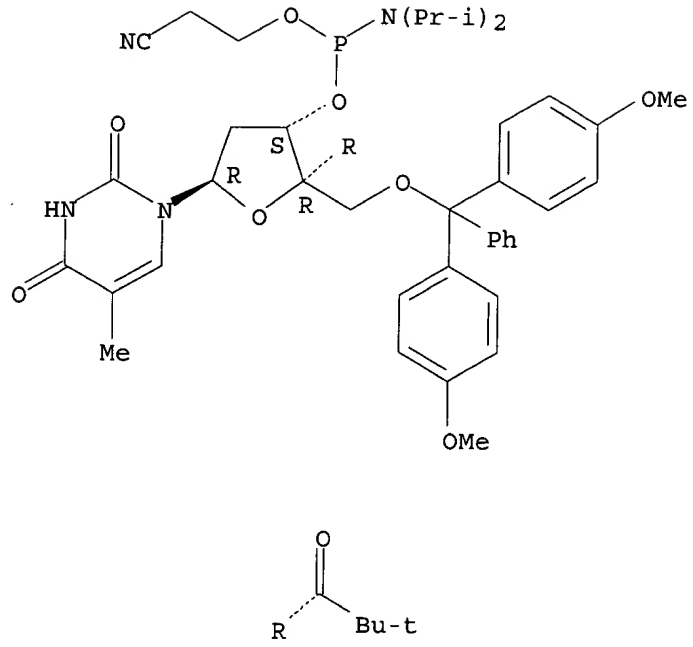
RN 162052-69-9 CAPLUS
CN Thymidine, 4'-C-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



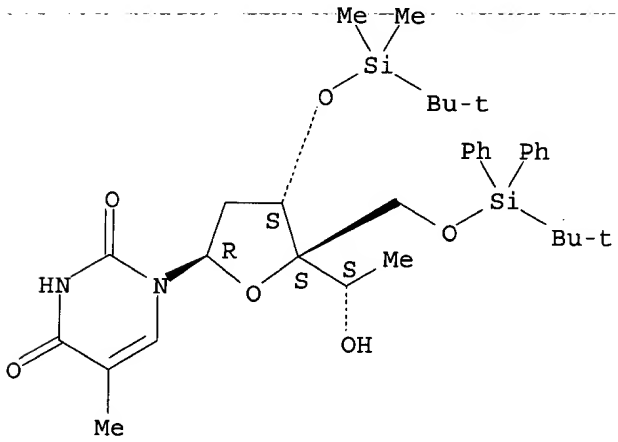
RN 162071-47-8 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-(2,2-dimethyl-1-oxopropyl)-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



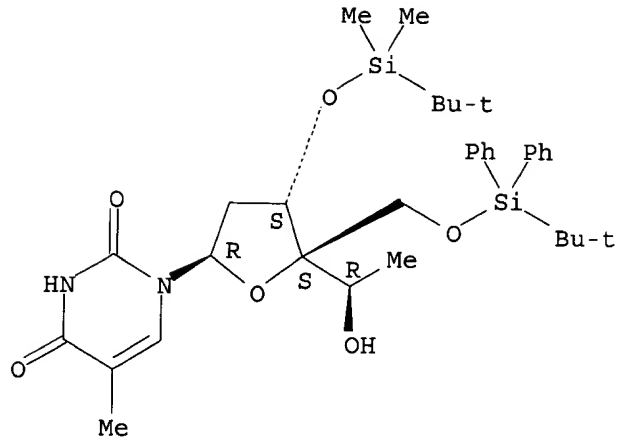
RN 183892-39-9 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-[(1S)-1-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



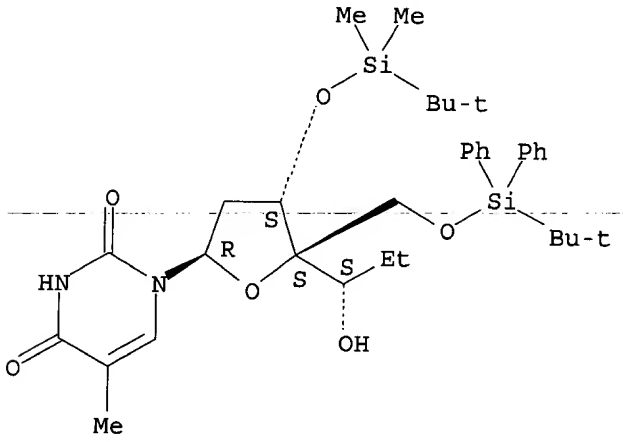
RN 183892-40-2 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-[(1R)-1-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



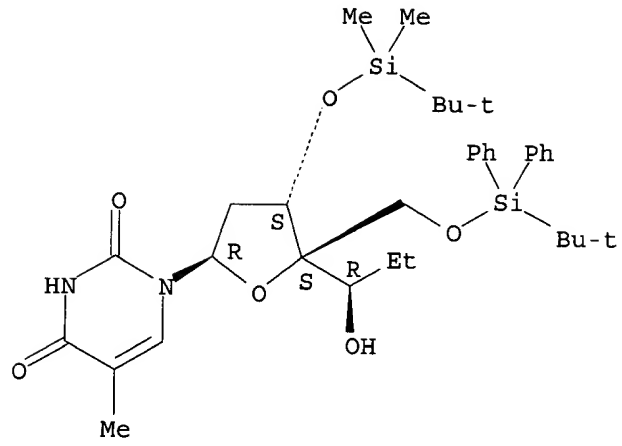
RN 183892-41-3 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-[(1S)-1-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



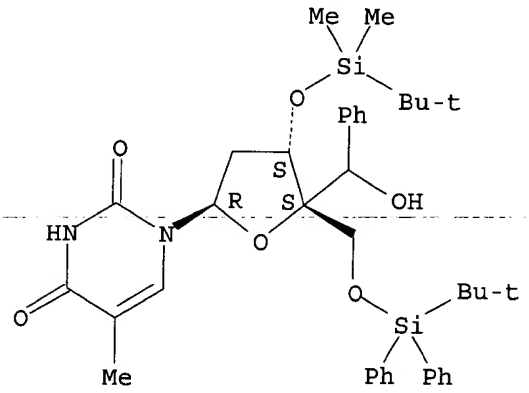
RN 183892-42-4 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-[(1R)-1-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



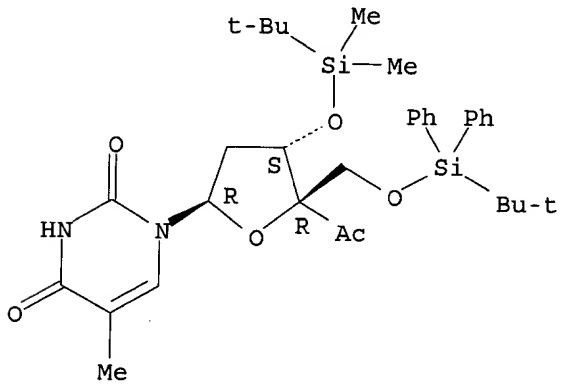
RN 183892-43-5 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-(hydroxyphenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



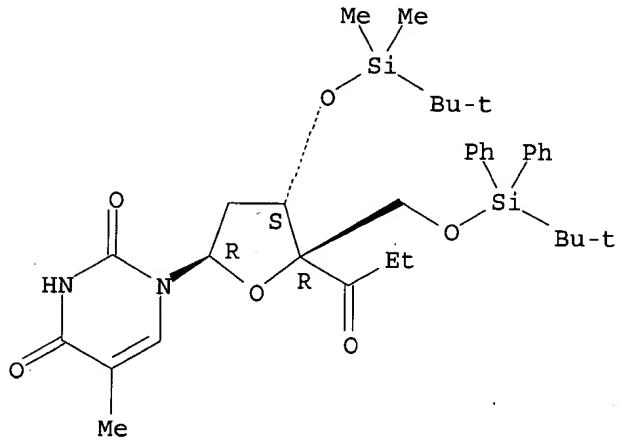
RN 183892-44-6 CAPLUS
CN Thymidine, 4'-C-acetyl-3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



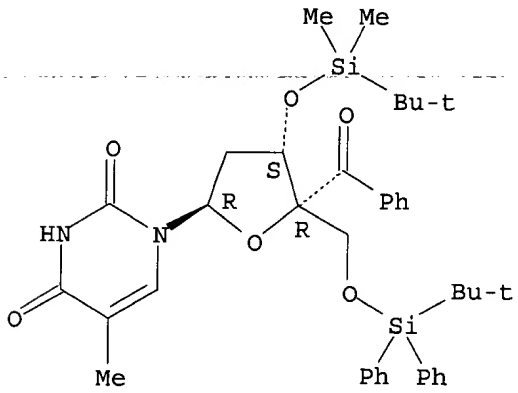
RN 183892-45-7 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-(1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



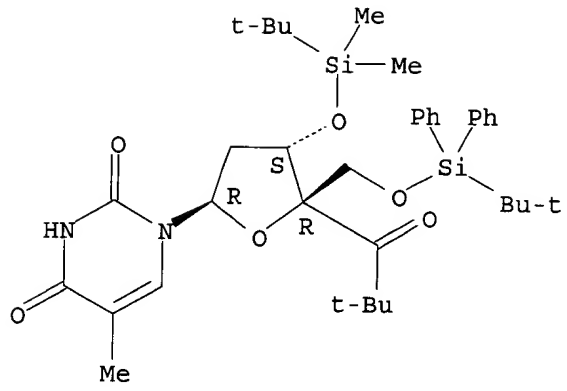
RN 183892-46-8 CAPLUS
CN Thymidine, 4'-C-benzoyl-3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



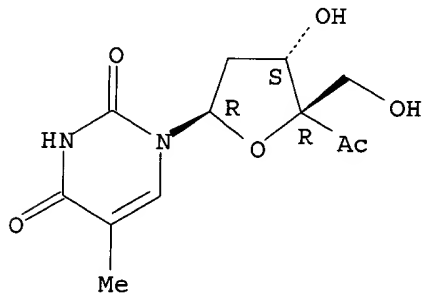
RN 183892-47-9 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



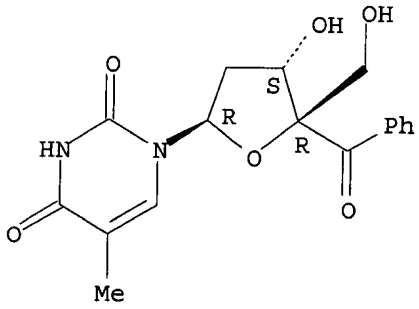
RN 183892-48-0 CAPLUS
CN Thymidine, 4'-C-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



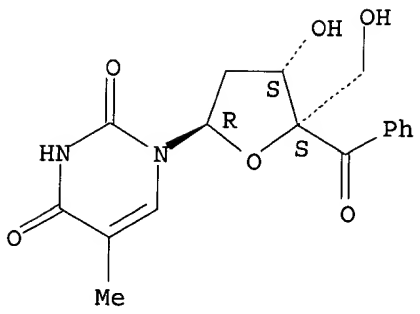
RN 183892-50-4 CAPLUS
CN Thymidine, 4'-C-benzoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



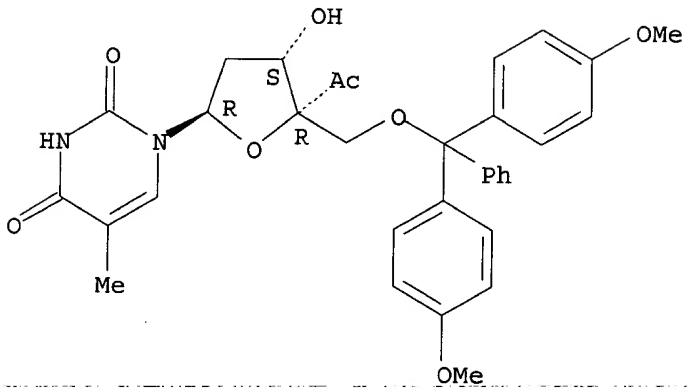
RN 183892-59-3 CAPLUS
CN Thymidine, 5'-deoxy-4'-C-(hydroxymethyl)-5'-oxo-5'-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



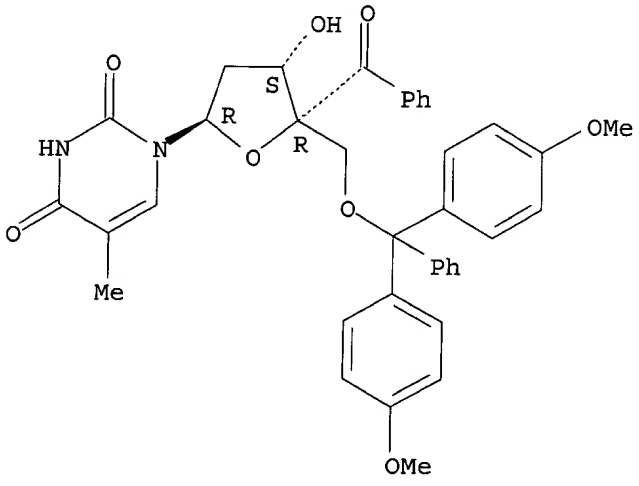
RN 183892-66-2 CAPLUS
CN Thymidine, 4'-C-acetyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183892-68-4 CAPLUS
CN Thymidine, 4'-C-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]- (9CI) (CA INDEX NAME)

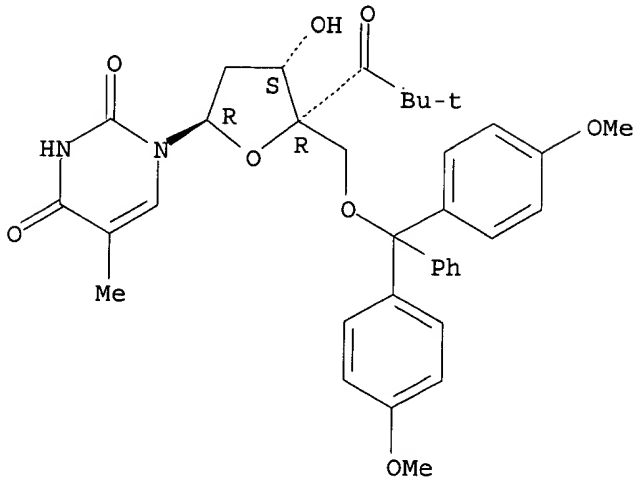
Absolute stereochemistry.



RN 183892-70-8 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-(2,2-dimethyl-1-

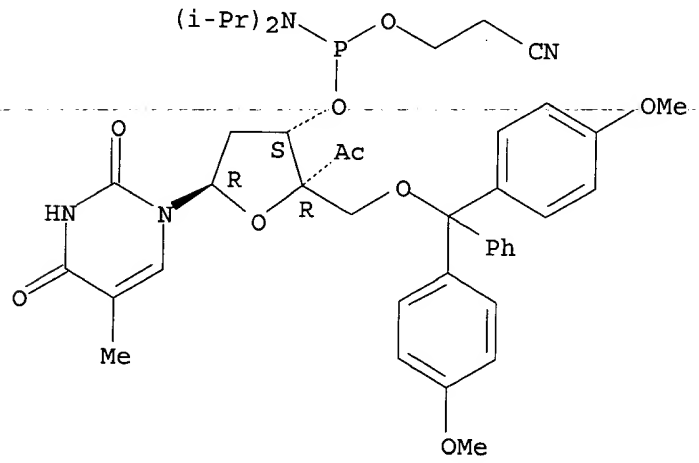
oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



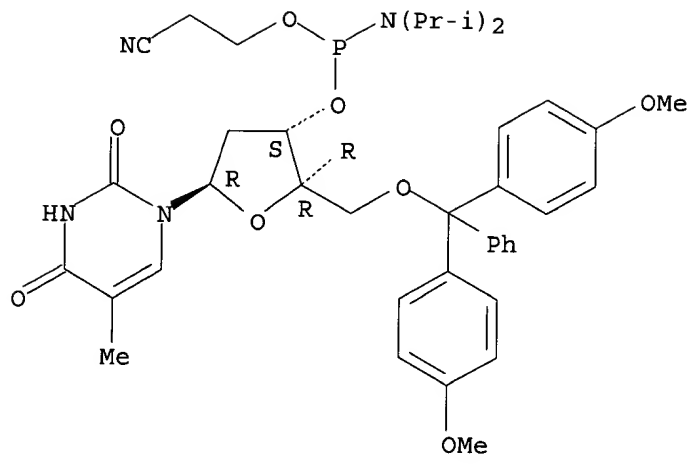
RN 183892-73-1 CAPLUS
CN Thymidine, 4'-C-acetyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

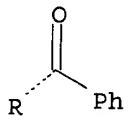
Absolute stereochemistry.



RN 183892-75-3 CAPLUS
CN Thymidine, 4'-C-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

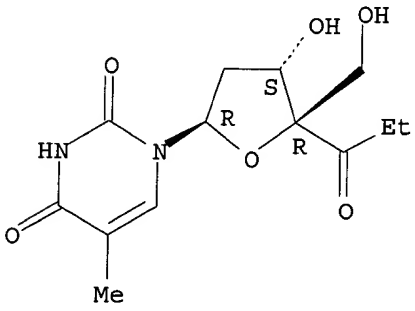
Absolute stereochemistry.





IT **183892-49-1P 183892-62-8P 184007-04-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of C-acylated thymidines and incorporation in **oligonucleotides**)
RN 183892-49-1 CAPLUS
CN Thymidine, 4'-C-(1-oxopropyl)- (9CI) (CA INDEX NAME)

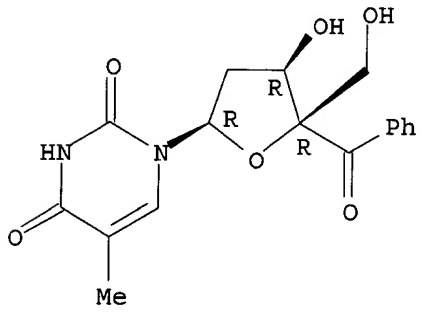
Absolute stereochemistry.



RN 183892-62-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(5R)-4-deoxy-2-C-(hydroxymethyl)-1-C-phenyl-D-erythro-pentodialdo-5,2-furanosyl]-5-methyl- (9CI) (CA INDEX NAME)

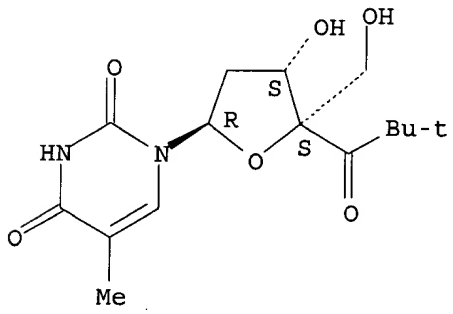
Absolute stereochemistry.

09567863



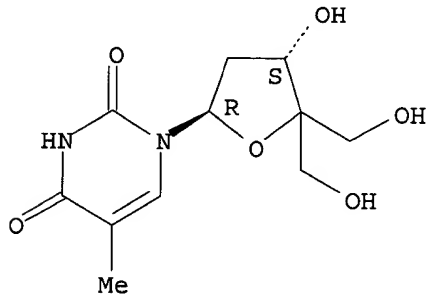
RN 184007-04-3 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-deoxy-4-C-(2,2-dimethyl-1-oxopropyl)-.alpha.-L-threo-pentofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



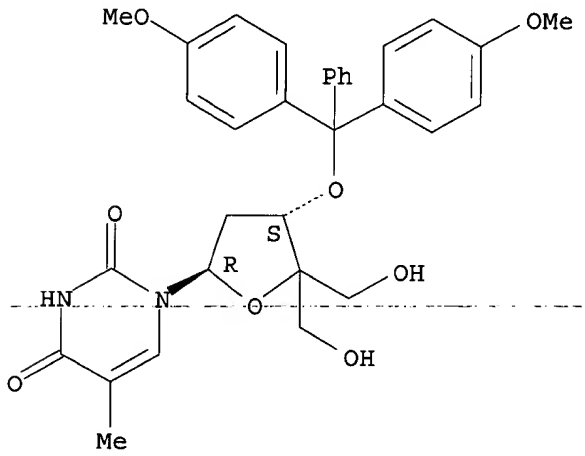
L13 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 1996:569772 CAPLUS
DN 125:329213
TI Synthesis and evaluation of oligodeoxynucleotides containing 4'-C-substituted thymidines
AU Wang, Guangyi; Seifert, Wilfried E.
CS Res. Dep., ICN Pharmaceuticals, Inc., Costa Mesa, CA, 92626, USA
SO Tetrahedron Letters (1996), 37(36), 6515-6518
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier
DT Journal
LA English
AB 4'-C-Hydroxymethylthymidine was converted to 4'-C-methoxymethylthymidine and 4'-C-aminomethylthymidine, which were incorporated into oligodeoxynucleotides by phosphoramidite chem. The modified **oligonucleotides** exhibit excellent hybridization and significant improvement in stability to snake venom phosphodiesterase.
IT **63861-63-2P 179178-39-3P 179178-40-6P**
179178-41-7P 179178-42-8P 179178-43-9P
179178-44-0P 179178-45-1P 179178-46-2P
179178-47-3P 179178-48-4P 179178-49-5P
179178-50-8P 183064-05-3P 183064-06-4P
183064-07-5P 183064-08-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and stability to phosphodiesterase of oligodeoxyribonucleotides contg. substituted thymidines)
RN 63861-63-2 CAPLUS
CN Thymidine, 4'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



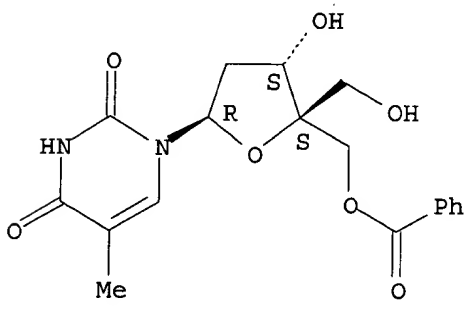
RN 179178-39-3 CAPLUS
CN Thymidine, 3'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179178-40-6 CAPLUS
CN Thymidine, 4'-C-[(benzoyloxy)methyl]- (9CI) (CA INDEX NAME)

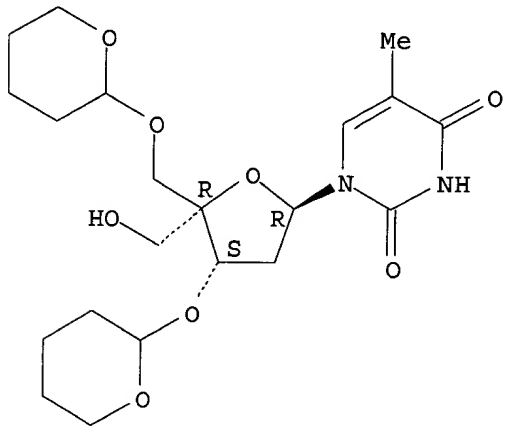
Absolute stereochemistry.



RN 179178-41-7 CAPLUS
CN Thymidine, 4'-C-(hydroxymethyl)-3',5'-bis-O-(tetrahydro-2H-pyran-2-yl)- (9CI) (CA INDEX NAME)

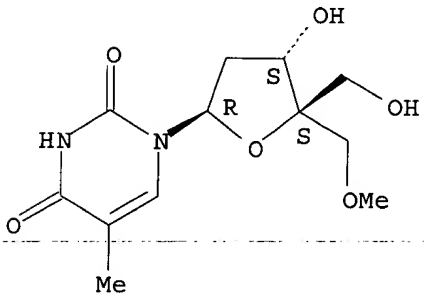
09567863

Absolute stereochemistry.



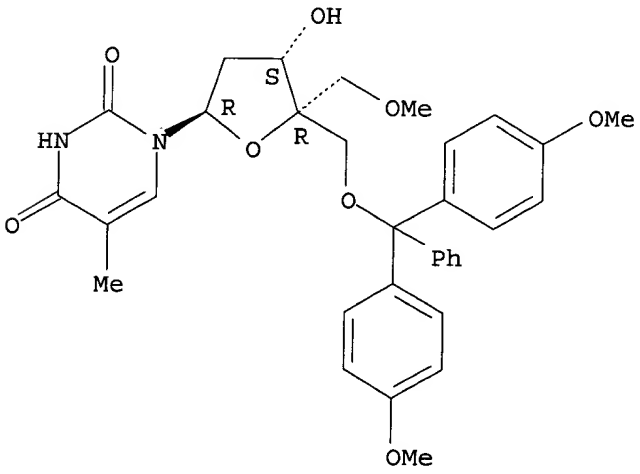
RN 179178-42-8 CAPLUS
CN Thymidine, 4'-C-(methoxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179178-43-9 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-(methoxymethyl)- (9CI) (CA INDEX NAME)

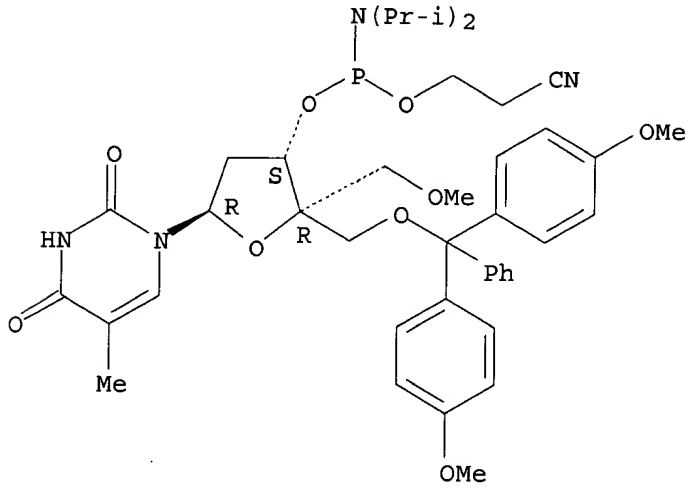
Absolute stereochemistry.



09567863

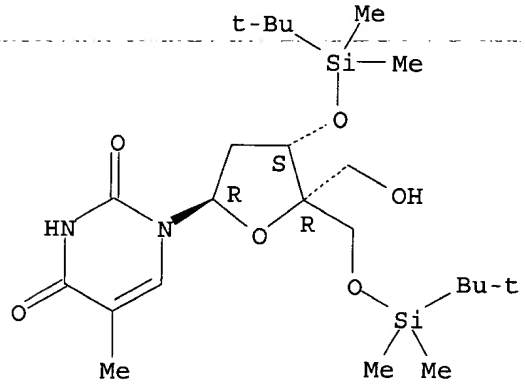
RN 179178-44-0 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-(methoxymethyl)-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



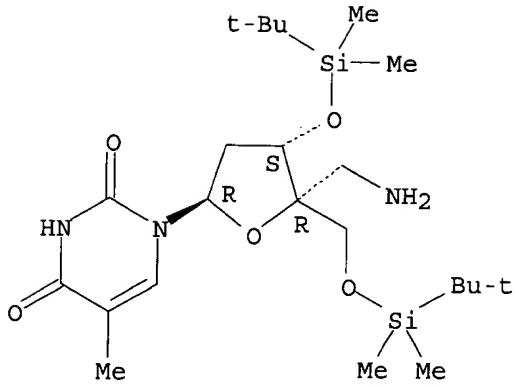
RN 179178-45-1 CAPLUS
CN Thymidine, 3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



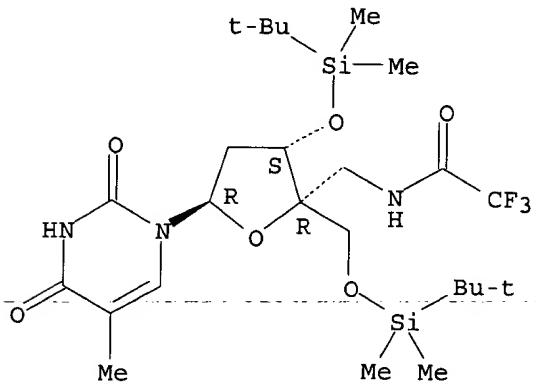
RN 179178-46-2 CAPLUS
CN Thymidine, 4'-C-(aminomethyl)-3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



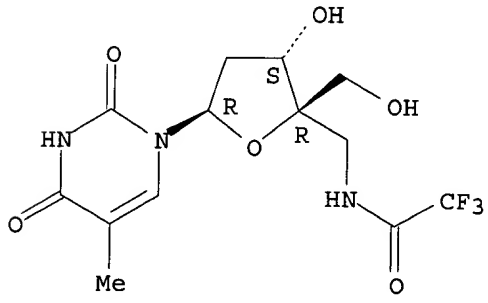
RN 179178-47-3 CAPLUS
CN Thymidine, 3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



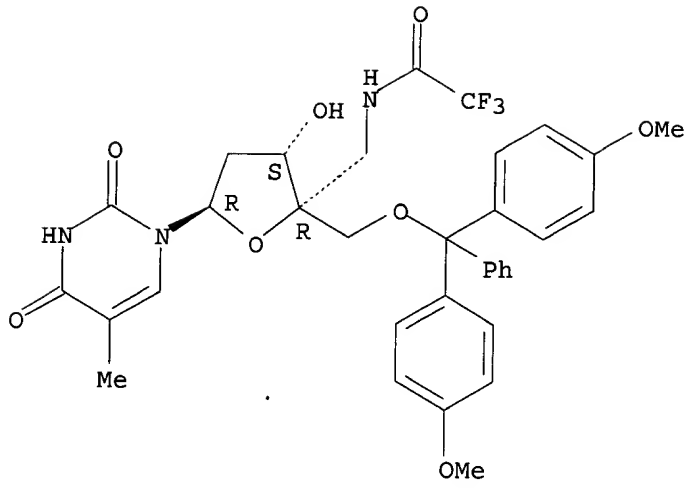
RN 179178-48-4 CAPLUS
CN Thymidine, 4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



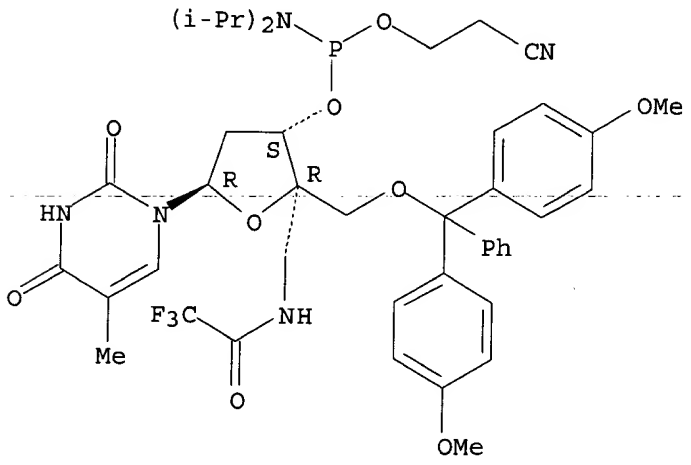
RN 179178-49-5 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



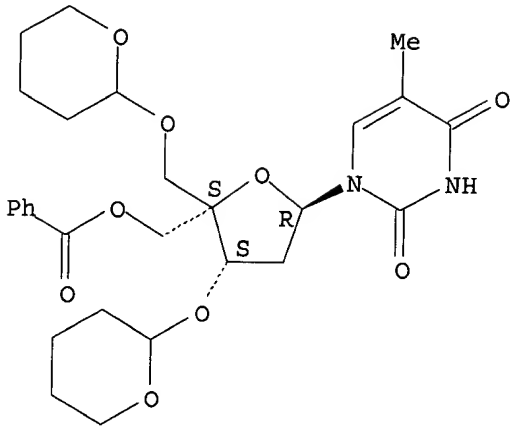
RN 179178-50-8 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-[[(trifluoroacetyl)amino]methyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



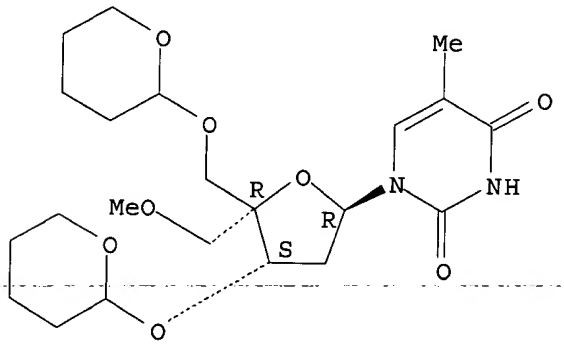
RN 183064-05-3 CAPLUS
CN Thymidine, 4'-C-[(benzoyloxy)methyl]-3',5'-bis-O-(tetrahydro-2H-pyran-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



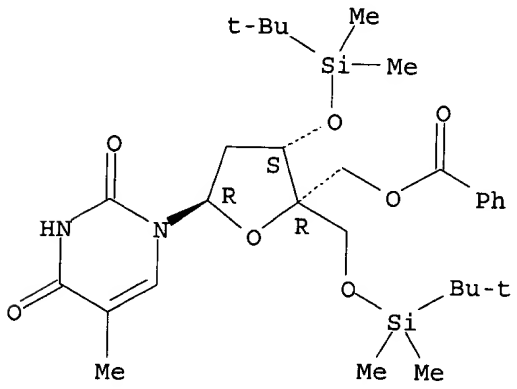
RN 183064-06-4 CAPLUS
CN Thymidine, 4'-C-(methoxymethyl)-3',5'-bis-O-(tetrahydro-2H-pyran-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



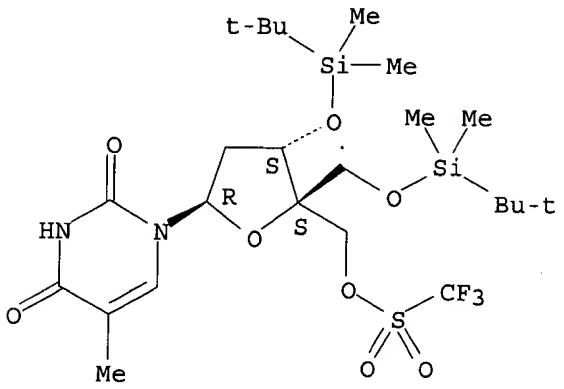
RN 183064-07-5 CAPLUS
CN Thymidine, 4'-C-[(benzoyloxy)methyl]-3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183064-08-6 CAPLUS
CN Thymidine, 3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-[[[(trifluoromethyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

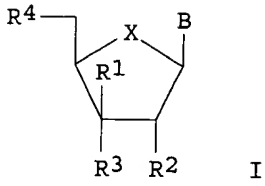


L13 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 1996:462341 CAPLUS
DN 125:115097
TI Preparation of sugar-modified nucleosides and their use for synthesis of oligodeoxyribonucleotides
IN Wang, Guangyi; Ramasamy, Kandasamy; Seifert, Wilfried
PA Icn Pharmaceuticals, USA
SO PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9614329	A1	19960517	WO 1995-US14600	19951102
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5681940	A	19971028	US 1994-333545	19941102
	CA 2202280	AA	19960517	CA 1995-2202280	19951102
	CA 2307311	AA	19960517	CA 1995-2307311	19951102
	AU 9641525	A1	19960531	AU 1996-41525	19951102
	AU 690394	B2	19980423		
	EP 789706	A1	19970820	EP 1995-939864	19951102
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1170412	A	19980114	CN 1995-196962	19951102
	HU 77516	A2	19980528	HU 1997-2445	19951102
	JP 10506915	T2	19980707	JP 1995-515519	19951102
	RU 2145964	C1	20000227	RU 1997-108591	19951102
	PL 184378	B1	20021031	PL 1995-319944	19951102
PRAI	US 1994-333545	A	19941102		
	CA 1995-2202280	A3	19951102		
	WO 1995-US14600	W	19951102		

OS MARPAT 125:115097
GI

09567863



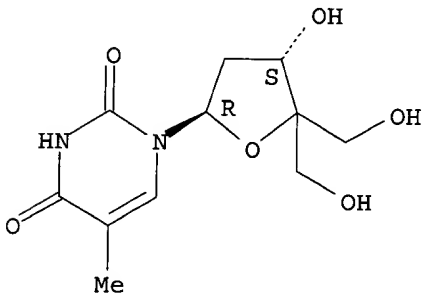
I

AB A no. of modified nucleosides I [B = adenine, cytosine, guanine, thymine, uracil; R1 = (un)substituted alkyl, aralkyl, aryl; R2 = H, OH, alkoxy, aralkoxy, aryloxy; R3 = OH, hydroxy blocking group; R4 = OH, hydroxy blocking group; X = O, S, NH, CH2] are disclosed composed of modified sugar moieties which contain substituents at C1 and C4 positions, or branched substituents at C3 and C5 positions of deoxyribose or ribose. Each nucleoside is converted to or properly protected and then converted to the corresponding phosphoramidities. These phosphoramidites are used to assemble oligodeoxyribonucleotides in which there is at least one of the fore-noted nucleosides. These sugar modified **oligonucleotides** have the potential to be used as antisense therapies since they are expected to enhance nuclease resistance and cellular uptake while they maintain sequence-specificity and affinity to nucleic acid targets in vitro or in vivo.

IT **63861-63-2P 139925-79-4P 179178-39-3P**
179178-40-6P 179178-41-7P 179178-42-8P
179178-43-9P 179178-44-0P 179178-45-1P
179178-46-2P 179178-47-3P 179178-48-4P
179178-49-5P 179178-50-8P 179178-51-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of sugar-modified nucleosides and their use for synthesis of oligodeoxyribonucleotides)

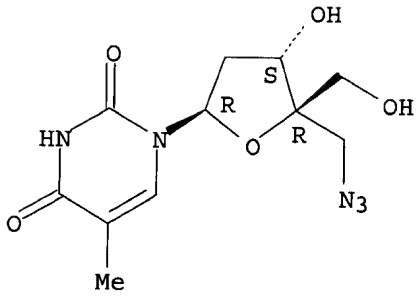
RN 63861-63-2 CAPLUS
CN Thymidine, 4'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



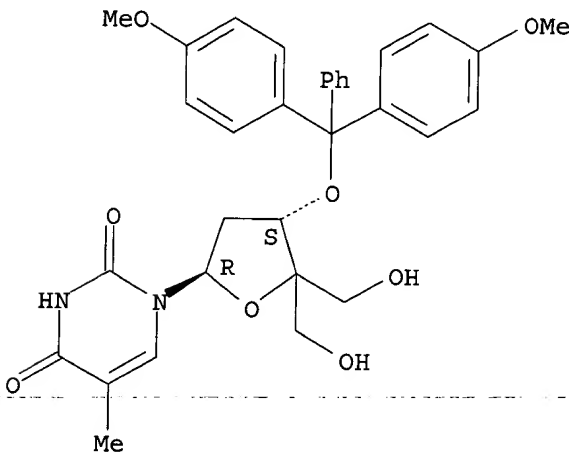
RN 139925-79-4 CAPLUS
CN Thymidine, 4'-(azidomethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



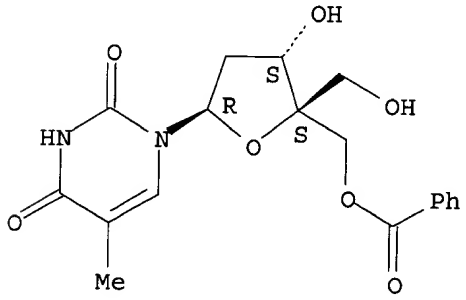
RN 179178-39-3 CAPLUS
CN Thymidine, 3'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-(hydroxymethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



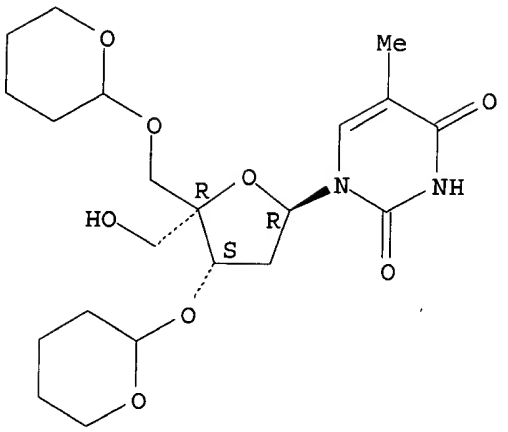
RN 179178-40-6 CAPLUS
CN Thymidine, 4'-C-[(benzoyloxy)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



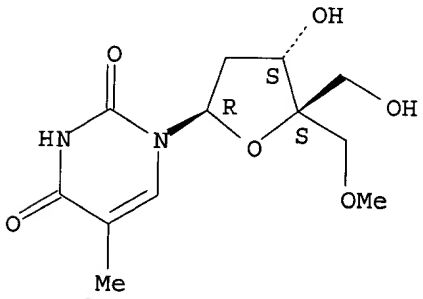
RN 179178-41-7 CAPLUS
CN Thymidine, 4'-C-(hydroxymethyl)-3',5'-bis-O-(tetrahydro-2H-pyran-2-yl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



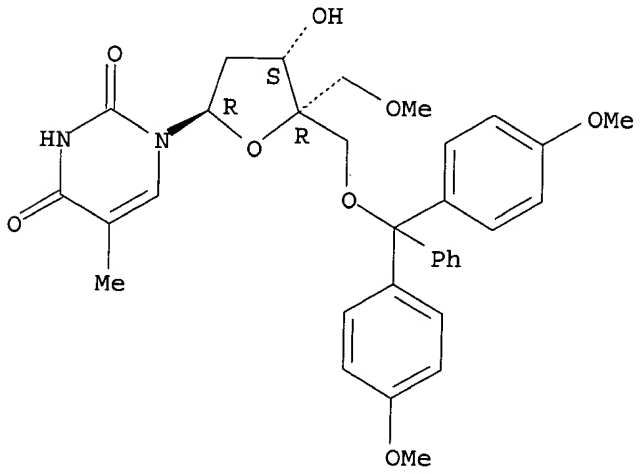
RN 179178-42-8 CAPLUS
CN Thymidine, 4'-C-(methoxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179178-43-9 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-(methoxymethyl)-
(9CI) (CA INDEX NAME)

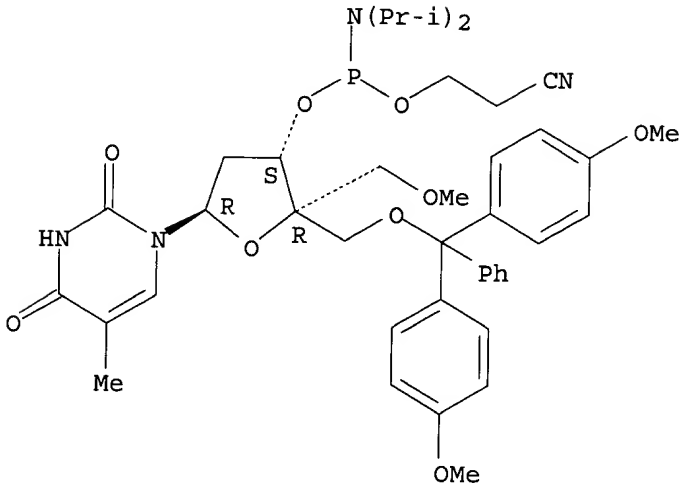
Absolute stereochemistry.



RN 179178-44-0 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-(methoxymethyl)-,

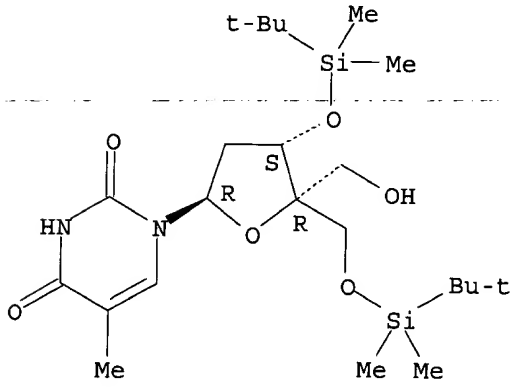
3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



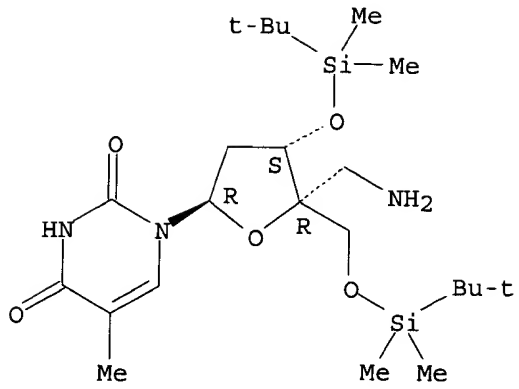
RN 179178-45-1 CAPLUS
CN Thymidine, 3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



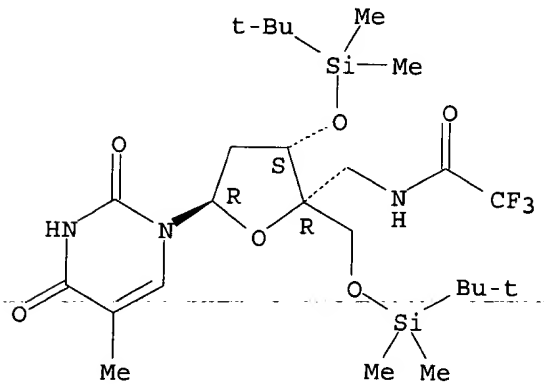
RN 179178-46-2 CAPLUS
CN Thymidine, 4'-C-(aminomethyl)-3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



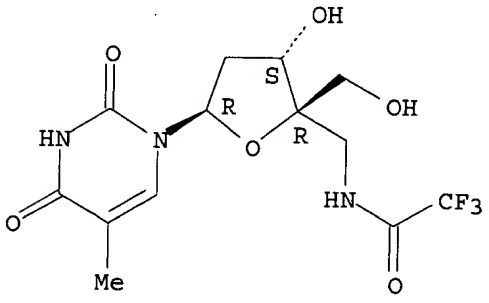
RN 179178-47-3 CAPLUS
CN Thymidine, 3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



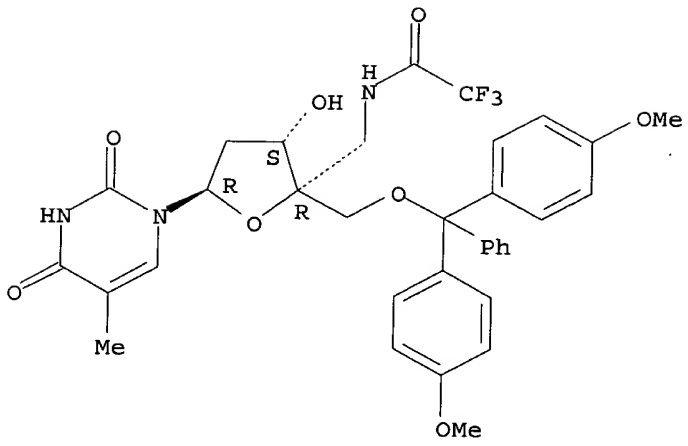
RN 179178-48-4 CAPLUS
CN Thymidine, 4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



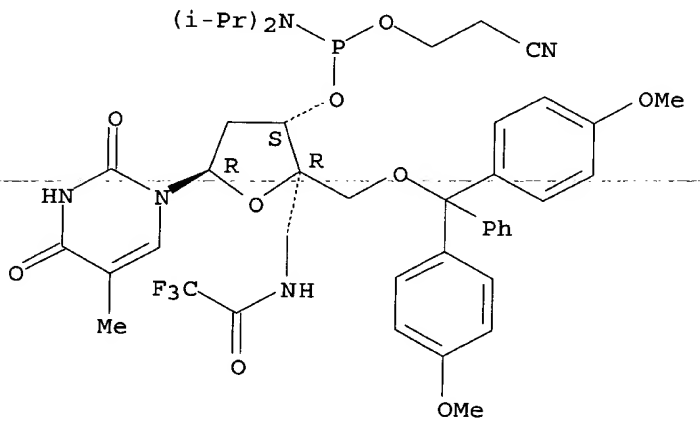
RN 179178-49-5 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179178-50-8 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-[[(trifluoroacetyl)amino]methyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

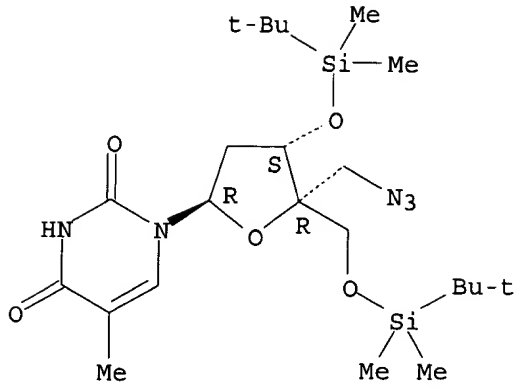
Absolute stereochemistry.



RN 179178-51-9 CAPLUS
CN Thymidine, 4'-C-(azidomethyl)-3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

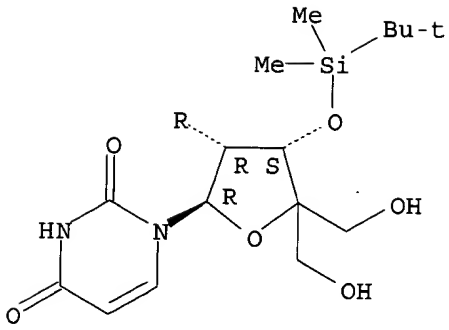
Absolute stereochemistry.

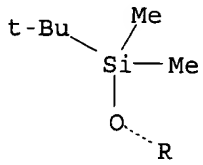
09567863



L13 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 1995:981715 CAPLUS
DN 124:202877
TI **Oligonucleotide** analogs containing 4'-C-(hydroxymethyl)uridine: synthesis, evaluation and mass spectrometric analysis
AU Nielsen, Kenneth Due; Kirpekar, Finn; Roepstorff, Peter; Wengel, Jesper
CS Dep. Chem., Odense Univ., Odense, DK-5230, Den.
SO Bioorganic & Medicinal Chemistry (1995), 3(11), 1493-502
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier
DT Journal
LA English
AB 2',3'-Di-O-tert-butyldimethylsilyl-4'-C-(hydroxymethyl)uridine was synthesized and converted into phosphoramidite building blocks. Novel oligodeoxynucleotide analogs contg. 4'-C-hydroxymethyl linked phosphodiester internucleoside linkages and 3'-hydroxyl linked phosphodiester internucleotide linkages were synthesized on an automated DNA-synthesizer. The latter modification introduced an addnl. 4'-C-hydroxymethyl functionality. Oligodeoxynucleotides with one or two modifications in the middle or in the ends of 17-mers, 15-mers and 14-mers have been evaluated with respect to hybridization properties and enzymic stability. Compared to unmodified oligomers, 3'-end-modified oligodeoxynucleotides were stabilized towards 3'-exonucleolytic degrdn., but showed moderately to strongly lowered hybridization properties towards complementary DNA. However, more promising results were obtained in melting expts. with complementary RNA were only small decreases in melting temps. were detected. Matrix-assisted laser desorption/ionization mass spectrometry (MALDI-MS) was used to identify products from syntheses of the modified oligodeoxynucleotide analogs.
IT **173846-42-9P 173846-43-0P 173846-44-1P**
173846-45-2P 173846-46-3P 173846-47-4P
173846-49-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 3'- and 4'-linked 4'-C-hydroxymethyluridine-contg. **oligonucleotide** analogs)
RN 173846-42-9 CAPLUS
CN Uridine, 2',3'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

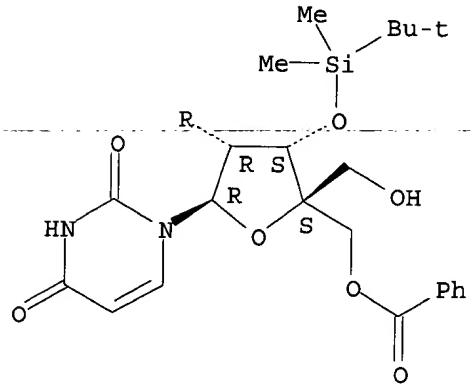
Absolute stereochemistry.

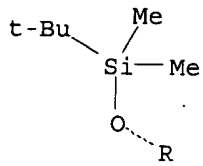




RN 173846-43-0 CAPLUS
CN Uridine, 4'-C-[(benzoyloxy)methyl]-2',3'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

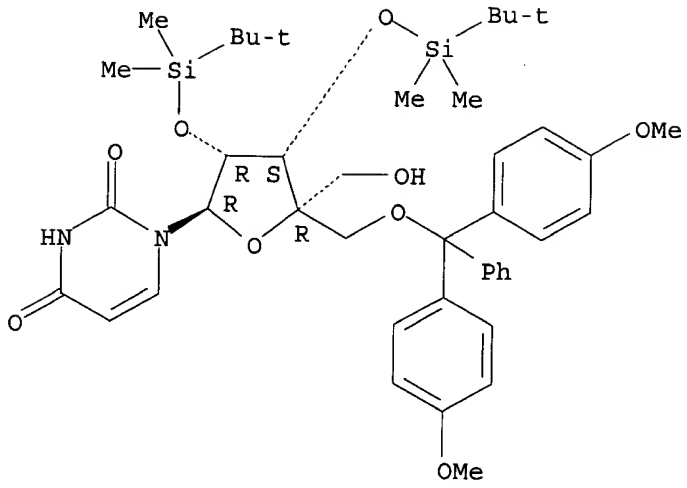
Absolute stereochemistry.



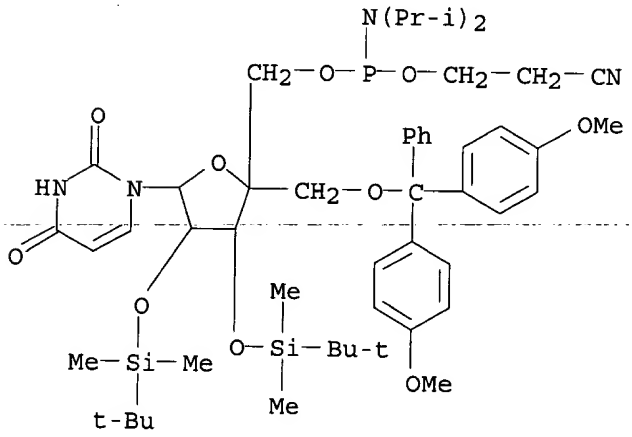


RN 173846-44-1 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2',3'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

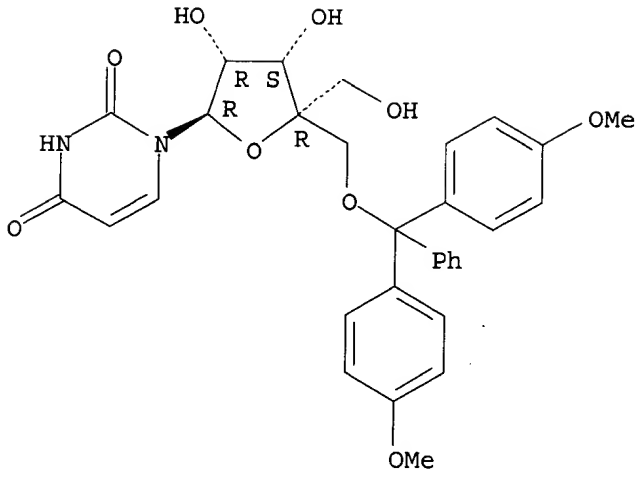


RN 173846-45-2 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-[[[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]oxy]methyl]-2',3'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

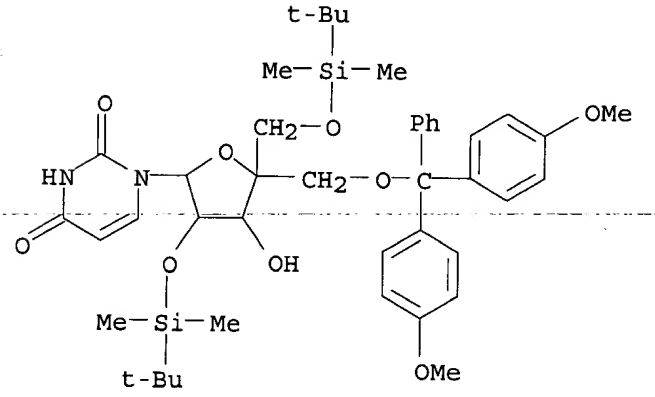


RN 173846-46-3 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

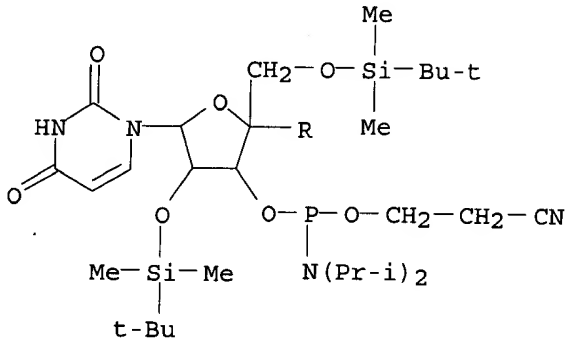
Absolute stereochemistry.



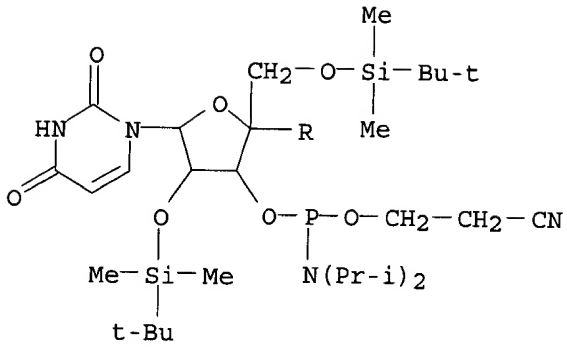
RN 173846-47-4 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]- (9CI) (CA INDEX NAME)



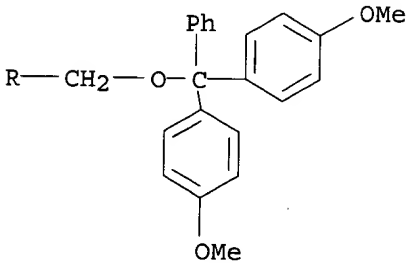
RN 173846-49-6 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)



PAGE 1-A

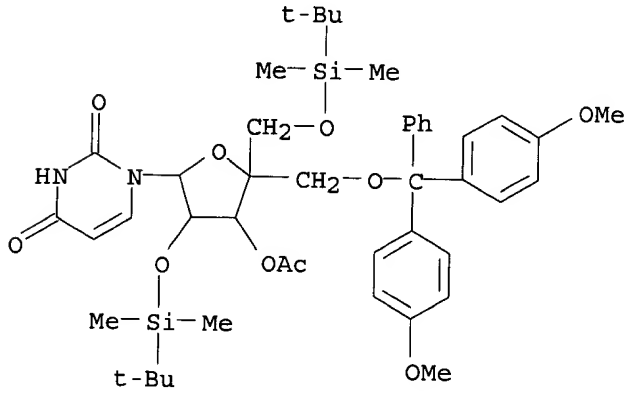


PAGE 2-A



IT **173846-48-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 3'- and 4'-linked 4'-C-hydroxymethyluridine-contg. **oligonucleotide** analogs)

RN 173846-48-5 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-, 3'-acetate (9CI) (CA INDEX NAME)



L13 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 1995:823441 CAPLUS
DN 124:176813
TI Preparation of **oligonucleotides** containing 4'-substituted nucleotides

09567863

IN Maag, Hans; Rose, Samuel J.; Schmidt, Beat
PA Syntex (U.S.A.) Inc., USA
SO U.S., 18 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5446137	A	19950829	US 1993-164893	19931209
	US 5446137	B1	19981006		
	US 5750343	A	19980512	US 1995-433855	19950502
PRAI	US 1993-164893		19931209		

OS MARPAT 124:176813
GI

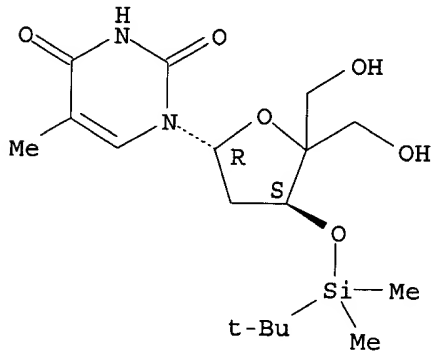
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB **Oligonucleotides** having at least one nucleotide that is substituted at the 4' position of the sugar moiety with a substituent other than hydrogen which are represented by the general formula [I; A = purine or pyrimidine; B, B1 = H, OH, F, OMe, or SMe, provided that at least one of B and B1 = H; D1 = OH, OP(O)(OH)OX, OP(S)(OH)OX, OP(S)(SH)OX, OP(O)MeOX; wherein X = H, a nucleotide, or a protecting group; E = RY; wherein Y = H or a substituent that said nucleotide modifiable, separable, or detectable and R = a linking group; F = OH, OP(O)(OH)OX, wherein X = same as above], are prepd. These **oligonucleotides** are useful as probes for hybridization assays and as therapeutic agents. Thus, Swern oxidn. of 4'-(hydroxymethyl)thymidine deriv. (II; E = CH2OH, R1 = H, R2 = SiMe2CMe3) with oxalyl chloride and DMSO in the presence of Et3N at -70.degree. to room temp. over 23 h and tritylation of the the resulting aldehyde II (E = CHO, R1 = H, R2 = SiMe2CMe3) with 4,4'-dimethoxytrityl chloride (DMTrCl) in the presence of 4-dimethylaminopyridine in pyridine gave II (E = CHO, R1 = DMTr, R2 = SiMe2CMe3). Treatment of 5-hexenyltriphenylphosphonium bromide with NaH in DMSO followed by Wittig reaction with the latter aldehyde gave 4'-(1,7-heptadien-1-yl)thymidine deriv. II (E = 1,7-heptadien-1-yl, R1 = DMTr, R2 = SiMe2CMe3) which underwent hydroboration-oxidn. with borane-Me sulfide complex in THF and aq. sodium perborate to give 4'-(7-hydroxy-1-hepten-1-yl)thymidine deriv. II (E = 7-hydroxy-1-hepten-1-yl, R1 = DMTr, R2 = SiMe2CMe3). Mesylation of the latter alc. with methanesulfonyl chloride in pyridine followed by azidolysis with NaN3 in the presence of Bu4NI in refluxing benzene to an azide II (E = 7-azido-1-hepten-1-yl, R1 = DMTr, R2 = SiMe2CMe3), redn. with 1,3-propanedithiol in the presence of Et3N in MeOH, and acylation with Et trifluoroacetate in the presence of Et3N in MeOH gave II [E = CF3CONH(CH2)5CH:CH, R1 = DMTr, R2 = SiMe2CMe3]. Desilylation of the latter compd. with Bu4NF in THF followed by condensation with 2-cyanoethyl N,N-diisopropylchlorophosphoramidite in the presence of diisopropylethylamine in THF gave a phosphoramidite II [E = CF3CONH(CH2)5CH:CH, R1 = DMTr, R2 = P(OCH2CH2CN)N(CHMe2)2] (III). III was incorporated into **oligonucleotides** by the solid-phase .beta.-cyanoethyl N,N-diisopropylphosphoramidite method on an automated DNA synthesizer (Milligen/Biosearch 8700), followed by labeling the resulting **oligonucleotides** with biotinyl-.epsilon.-caproic-N-hydroxy succinimide ester, to give biotin-labeled **oligonucleotides**, e.g. 5'-GTTCGCCTACGT*GGCCTTTG-3' (T* = Q) (IV). IV formed a double stranded DNA mol. with the target sequence 5'-CAAGCGGATGCACCGGAAAC-3' and showed Tm of 64.5.degree. as compared to 66.2.degree. for the unmodified sequence 5'-GTTCGCCTACGTGGCCTTTG-3'.

IT **139887-99-3P 139888-01-0P 172280-71-6P**
172280-72-7P 172280-73-8P 172280-74-9P
172280-75-0P 172280-76-1P 172280-77-2P
172280-78-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of **oligonucleotides** contg. 4'-substituted nucleotides as probes for DNA hybridization assay and as therapeutic agents)

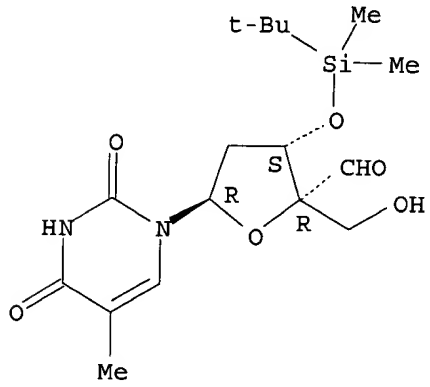
RN 139887-99-3 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



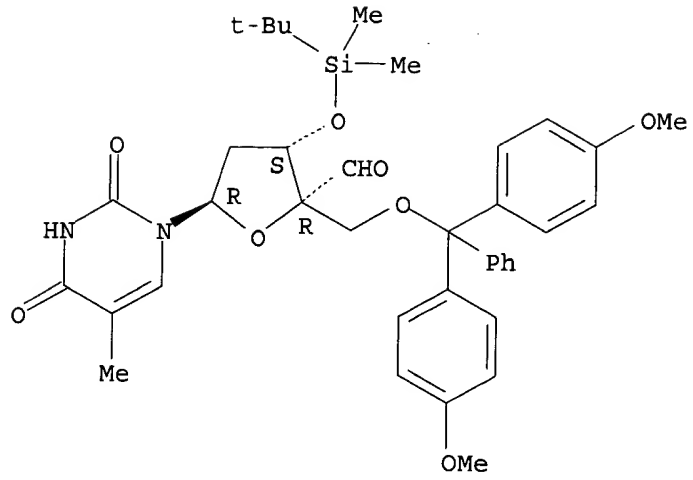
RN 139888-01-0 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-formyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



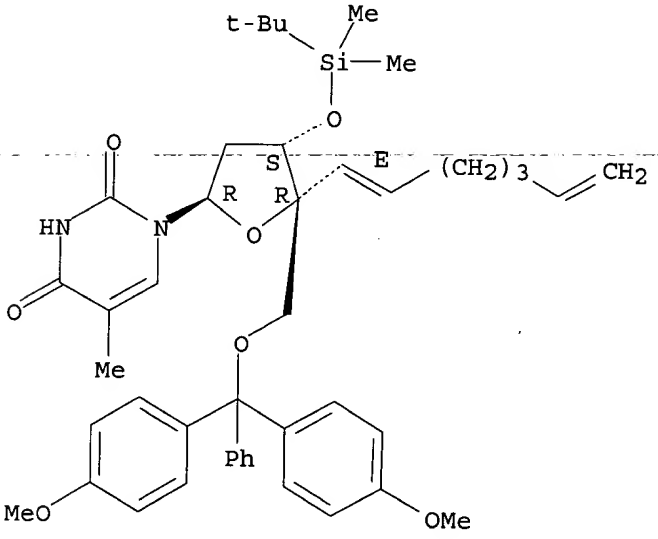
RN 172280-71-6 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-formyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



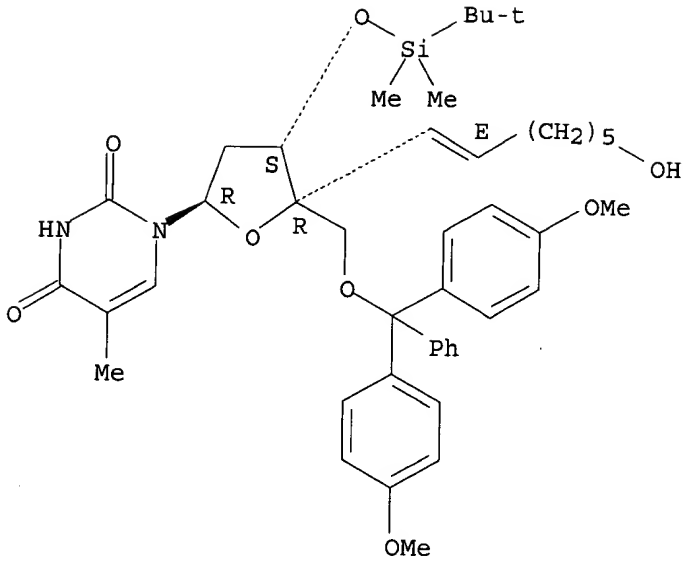
RN 172280-72-7 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-1,6-heptadienyl-, (E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



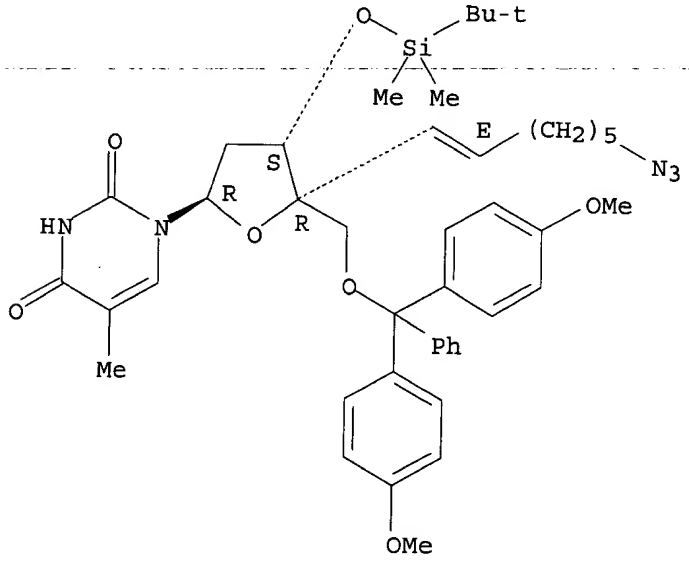
RN 172280-73-8 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-(7-hydroxy-1-heptenyl)-, (E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



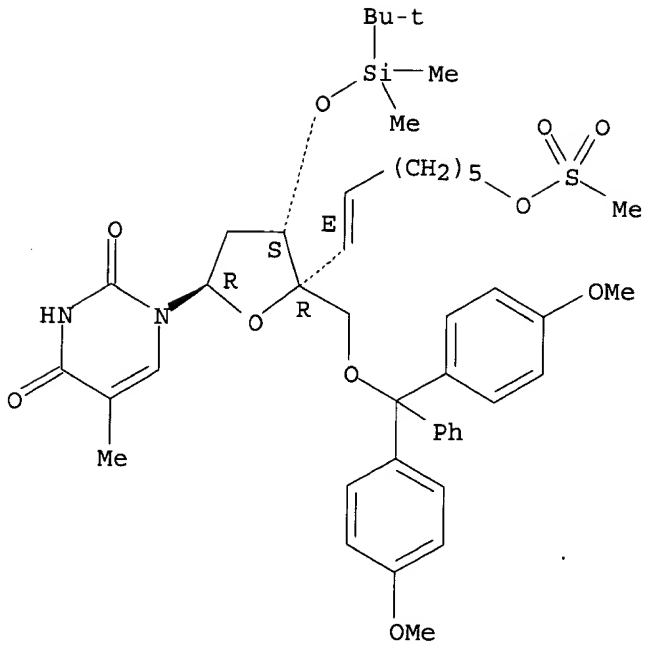
RN 172280-74-9 CAPLUS
CN Thymidine, 4'-C-(7-azido-1-heptenyl)-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(1,1-dimethylethyl)dimethylsilyl]-, (E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



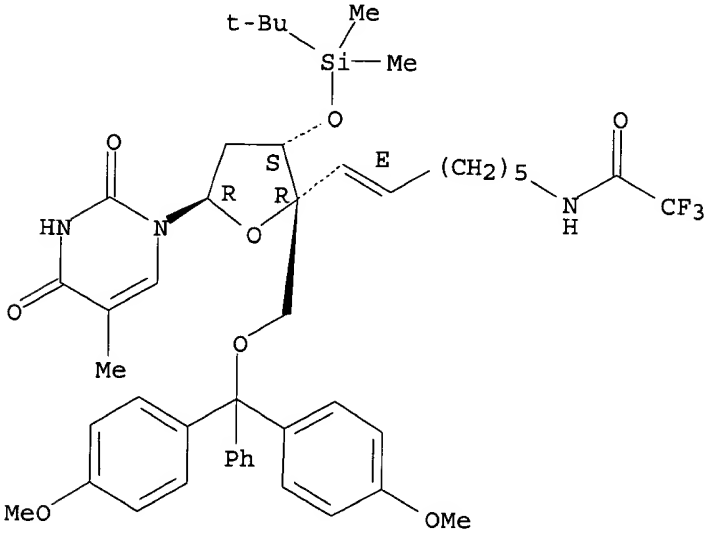
RN 172280-75-0 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-[7-[(methylsulfonyl)oxy]-1-heptenyl]-, (E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 172280-76-1 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-[7-[(trifluoroacetyl)amino]-1-heptenyl]-, (E)- (9CI) (CA INDEX NAME)

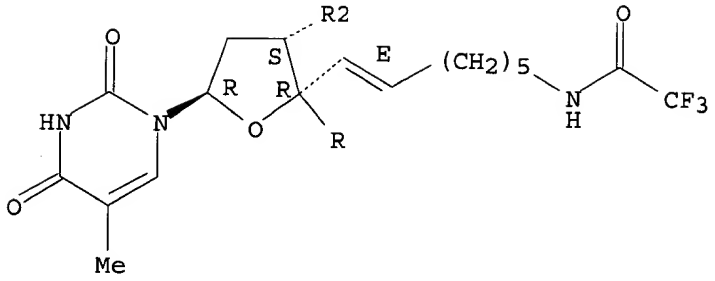
Absolute stereochemistry.
Double bond geometry as shown.



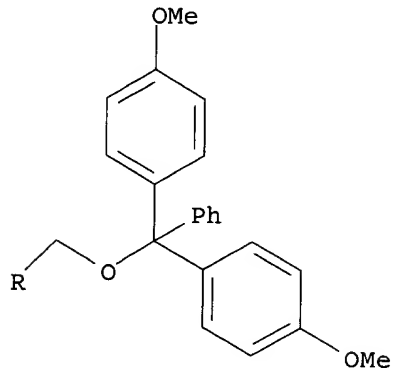
RN 172280-77-2 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-[7-[(trifluoroacetyl)amino]-1-heptenyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite], (E)- (9CI) (CA INDEX NAME)

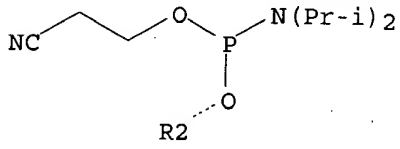
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



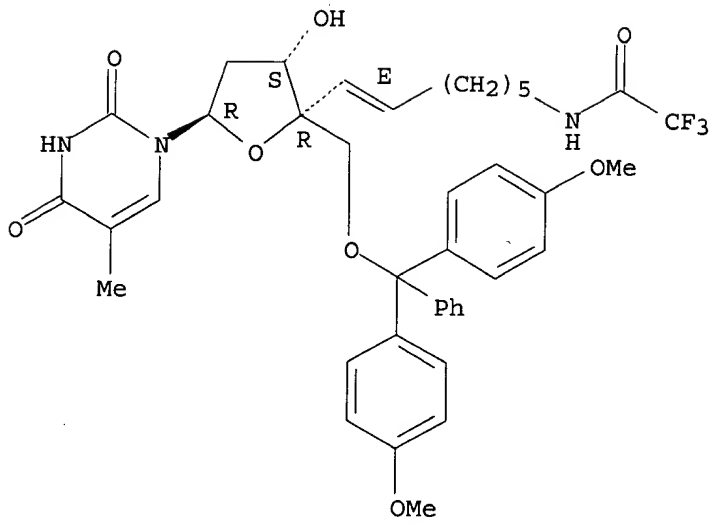
PAGE 2-A



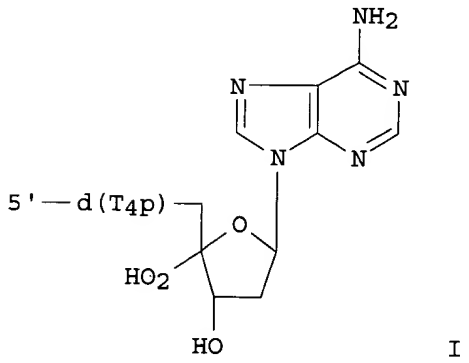


RN 172280-78-3 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-[7-[(trifluoroacetyl)amino]-1-heptenyl]-, (E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L13 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 1995:592255 CAPLUS
DN 123:83928
TI Cleavage of Single-Stranded 4'-**Oligonucleotide** Radicals in the Presence of O2
AU Giese, Bernd; Beyrich-Graf, Xenia; Erdmann, Peter; Giraud, Luc; Imwinkelried, Petra; Mueller, Stephan N.; Schwitter, Urs
CS Department of Chemistry, University of Basel, Basel, CH-4056, Switz.
SO Journal of the American Chemical Society (1995), 117(22), 6146-7
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
GI



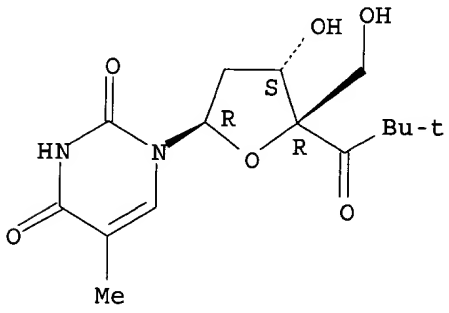
I

AB A 4'-deoxyribonucleotide radical was generated under aerobic conditions. Anal. of the reaction product (MALDI-TOF-MS) showed that cleavage of the C,O-phosphate bond occurs faster than trapping by O2. In labeling studies a 3'-hydroxy-4'-hydroperoxynucleotide I was identified as new intermediate. Model expts. proved that I is a precursor for glycolate and base propenal.

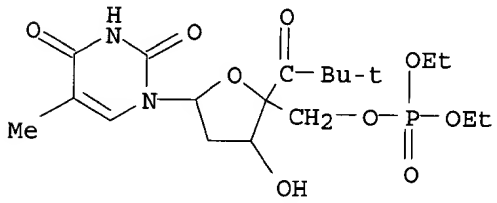
IT **162052-69-9 164864-70-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cleavage of single-stranded oligodeoxyribonucleotide radicals in the

presence of oxygen)
RN 162052-69-9 CAPLUS
CN Thymidine, 4'-C-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164864-70-4 CAPLUS
CN 5'-Thymidylic acid, 4'-C-(2,2-dimethyl-1-oxopropyl)-, diethyl ester (9CI) (CA INDEX NAME)



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09567863

R15

=>

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=> file reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	176.64	2411.30

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-24.09	-269.52

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STRUCTURE FILE UPDATES: 27 MAR 2003 HIGHEST RN 500857-77-2
DICTIONARY FILE UPDATES: 27 MAR 2003 HIGHEST RN 500857-77-2

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Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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L14 STRUCTURE UPLOADED

=> d l14
L14 HAS NO ANSWERS
L14 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l14
SAMPLE SEARCH INITIATED 14:57:53 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1289 TO ITERATE

77.6% PROCESSED 1000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

09567863

PROJECTED ITERATIONS: 23627 TO 27933
PROJECTED ANSWERS: 1235 TO 2373

L15 50 SEA SSS SAM L14

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.40	2411.70

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-269.52

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FILE COVERS 1907 - 28 Mar 2003 VOL 138 ISS 14
FILE LAST UPDATED: 27 Mar 2003 (20030327/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l15
L16 33 L15

=> s l16 and oligonucleotide?
61604 OLIGONUCLEOTIDE?
L17 4 L16 AND OLIGONUCLEOTIDE?

=> d l17 bib abs hitstr 1-4

L17 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS
AN 2000:688248 CAPLUS
DN 133:252664
TI Preparation of Xylo-Locked Nucleic Acid (LNA) Analogs
IN Wengel, Jesper
PA Exiqon A/S, Den.
SO PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000056748	A1	20000928	WO 2000-DK125	20000317

W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	EP 1161439	A1	20011212	EP 2000-910581	20000317
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	JP 2002540118	T2	20021126	JP 2000-606609	20000317
PRAI	DK 1999-382	A	19990318		
	DK 1999-1224	A	19990901		
	WO 2000-DK125	W	20000317		

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A bicyclic nucleoside deriv., wherein an intra-nucleoside ring locks the ring conformation of the nucleoside, is termed an LNA - a Locked Nucleic Acid. LNAs of the xylo -configuration, considered useful as therapeutic agents, diagnostic agents and useful for the formation of **oligonucleotides**, have been prepd. An oligomer comprising at least one nucleoside analog of the general formula I wherein X is selected from O, S, substituted N or carbon; B is selected from hydrogen, hydroxy, optionally substituted alkoxy, alkyl, acyloxy, nucleobase, DNA intercalators, photochem. active groups, thermochem. active groups, chelating groups, reporter groups, and ligands; P designates the radical position for an internucleoside linkage to a succeeding monomer, or a 5'-terminal group, such internucleoside linkage or 5'-terminal group optionally including the substituent R5 or equally applicable the substituent R5*; P* designates an internucleoside linkage to a preceding monomer, or a 3'-terminal group; R2* and R4* designate biradicals consisting of 1-4 groups/atoms selected from substituted -C-, -C=C-, -C=N-, -O-, -Si-, -S-, -SO2-, -N-, -C(O)-, -C(S), imine, each of the substituents R1*, R2, R3*, R5, R5*, R6, and R6* are independently selected from hydrogen, alkyl, alkenyl, alkynyl, hydroxy, alkoxy, alkenyloxy, carboxy, alkoxycarbonyl, alkylcarbonyl, formyl, aryl, aryloxy carbonyl, aryloxy, arylcarbonyl, heteroaryl, heteroaryloxy-carbonyl, heteroaryloxy, heteroarylcarbonyl, amino, carbamoyl, aminocarbonyl, carbamido, alkanoyloxy, sulfono, alkylsulfonyloxy, nitro, azido, sulphanyl, alkylthio, halogen. Furthermore, **oligonucleotides** comprising LNAs of the xylo configuration are useful for high-affinity targeting of complementary single stranded and double stranded DNA and RNA and have interesting activity with regards to specificity and affinity to **oligonucleotides**. These **oligonucleotides** are also useful as a therapeutic and in diagnostic fields. Thus, nucleoside II was prepd. and incorporated into locked nucleic acid duplexes.

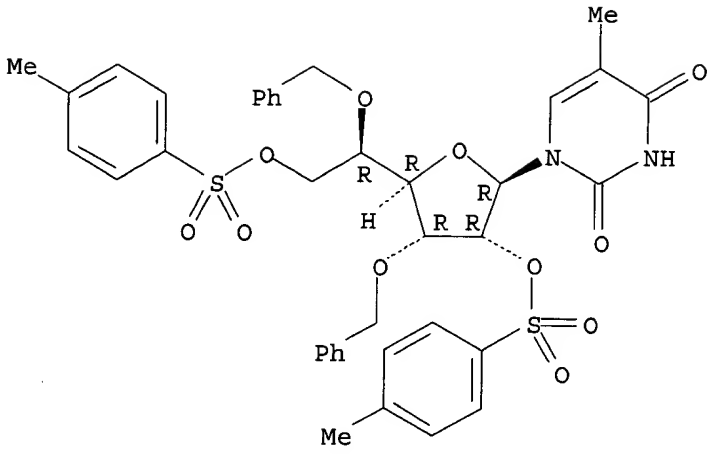
IT **230631-34-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of xylo-locked nucleic acid (LNA) analogs)

RN 230631-34-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,6-bis-O-[(4-methylphenyl)sulfonyl]-3,5-bis-O-(phenylmethyl)-.beta.-D-allofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09567863

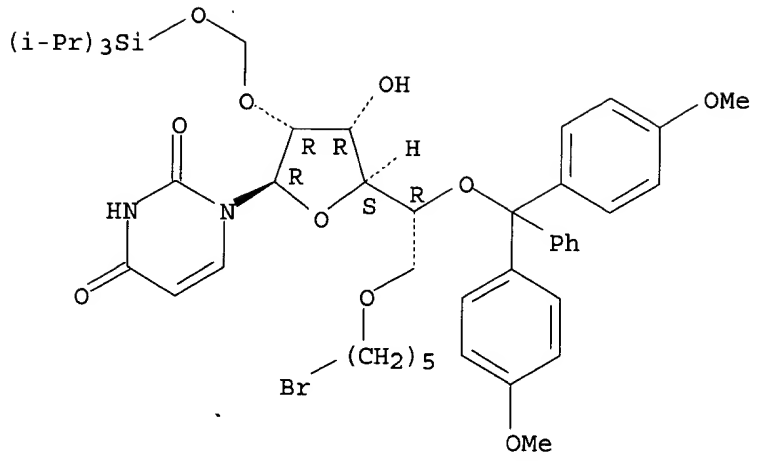


RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS
AN 2000:438387 CAPLUS
DN 133:208110
TI Synthesis of 5'-C- and 2'-O-(bromoalkyl)-substituted ribonucleoside phosphoramidites for the post-synthetic functionalization of **oligonucleotides** on solid support
AU Wu, Xiaolin; Pitsch, Stefan
CS Laboratorium fur Organische Chemie, ETH-Zentrum, Zurich, CH-8092, Switz.
SO Helvetica Chimica Acta (2000), 83(6), 1127-1144
CODEN: HCACAV; ISSN: 0018-019X
PB Verlag Helvetica Chimica Acta
DT Journal
LA English
OS CASREACT 133:208110
AB The prepn. of building blocks for the incorporation of 6'-O-(5-bromopentyl)-substituted .beta.-D-allofuranosylnucleosides and 2'-O-[(3-bromopropoxy)methyl]-substituted ribonucleosides into **oligonucleotide** sequences is presented. These reactive building blocks can be modified with a variety of soft nucleophiles while the (fully protected) sequence is still attached to the solid support. As an example of this strategy, we carried out some preliminary solid-phase substitution and conjugation reactions with DNA sequences contg. a 2'-O-[(3-bromopropoxy)methyl]-substituted ribonucleoside and detd. the pairing properties of duplexes obtained therefrom.
IT **289891-35-6P 289891-39-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of and bromoalkylsubstituted ribonucleoside phosphoramidites for the postsynthetic functionalization of **oligonucleotides** on solid support)
RN 289891-35-6 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-6-O-(5-bromopentyl)-2-O-[[[tris(1-methylethyl)silyl]oxy]methyl]-.beta.-D-allofuranosyl]- (9CI) (CA INDEX NAME)

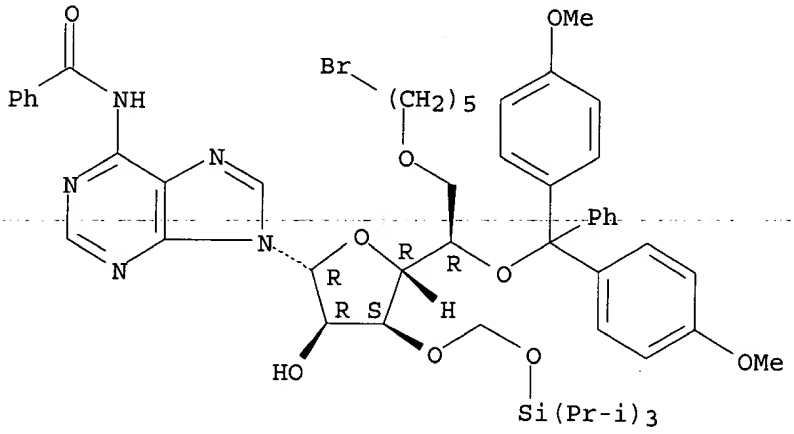
Absolute stereochemistry.

09567863



RN 289891-39-0 CAPLUS
CN Benzamide, N-[9-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-6-O-(5-bromopentyl)-3-O-[[[tris(1-methylethyl)silyl]oxy]methyl]-.beta.-D-allofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS
AN 1998:79376 CAPLUS
DN 128:154351
TI Preparation of 3'-, 4'-, and 5'-C-branched deoxyribonucleosides and their use for synthesis of **oligonucleotides**
IN Wang, Guangyi
PA ICN Pharmaceuticals, USA
SO U.S., 30 pp., Cont.-in-part of U.S. 5,681,940.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

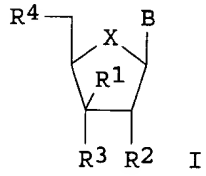
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5712378	A	19980127	US 1995-552363	19951102
	US 5681940	A	19971028	US 1994-333545	19941102
	CA 2202280	AA	19960517	CA 1995-2202280	19951102

09567863

	CA 2307311	AA	19960517	CA 1995-2307311	19951102
	CN 1170412	A	19980114	CN 1995-196962	19951102
	HU 77516	A2	19980528	HU 1997-2445	19951102
	US 6191266	B1	20010220	US 1996-766991	19961216
PRAI	US 1994-333545	A2	19941102		
	CA 1995-2202280	A3	19951102		
	US 1995-552363	A3	19951102		

OS MARPAT 128:154351

GI



I

AB Modified nucleotides I (R1 = substituted alkyl, aralkyl, aryl; R2 = H, OH, alkoxy, aralkoxy, aryloxy; R3, R4 = independently OH, internucleotide linkage and hydroxyl blocking group; X = O, CH2; B = Adenine, guanine, cytosine, uracil, thymine) were prepd. Each nucleoside is converted to or properly protected and then converted to the corresponding phosphoramidites. These phosphoramidites are used to assemble **oligonucleotides** in which there is at least one of the fore-noted nucleosides. Thus, I [R1 = Me; R2 = H; R3 = OP(OCH2CH2CN)N(iPr)2; R4 = dimethoxytrityloxy; X = O; B = thymine] was prepd. and has the potential to be used as antisense therapy since it is expected to enhance nuclease resistance and cellular uptake while maintaining sequence-specificity and affinity to nucleic acid targets in vitro or in vivo.

IT **177490-93-6P**

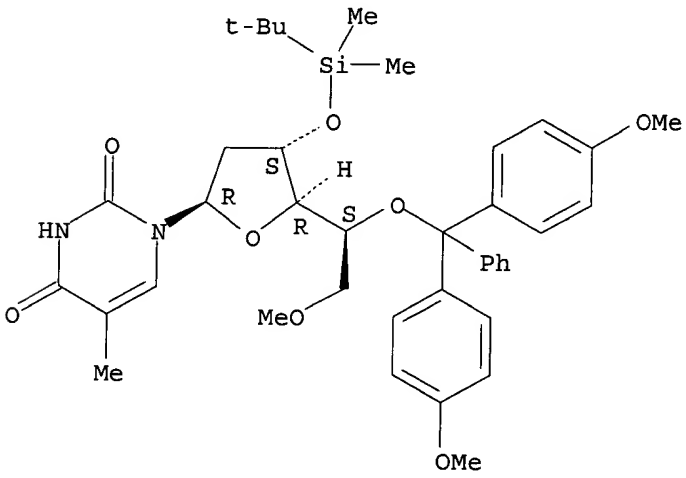
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 3'-, 4'-, and 5'-C-branched nucleosides and their use for synthesis of **oligonucleotides**)

RN 177490-93-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-2-deoxy-3-O-[(1,1-dimethylethyl)dimethylsilyl]-6-O-methyl-.alpha.-L-lyxo-hexofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

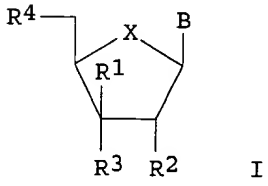
Absolute stereochemistry.



L17 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS
AN 1996:462341 CAPLUS
DN 125:115097
TI Preparation of sugar-modified nucleosides and their use for synthesis of oligodeoxyribonucleotides
IN Wang, Guangyi; Ramasamy, Kandasamy; Seifert, Wilfried
PA Icn Pharmaceuticals, USA
SO PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9614329	A1	19960517	WO 1995-US14600	19951102
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5681940	A	19971028	US 1994-333545	19941102
	CA 2202280	AA	19960517	CA 1995-2202280	19951102
	CA 2307311	AA	19960517	CA 1995-2307311	19951102
	AU 9641525	A1	19960531	AU 1996-41525	19951102
	AU 690394	B2	19980423		
	EP 789706	A1	19970820	EP 1995-939864	19951102
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1170412	A	19980114	CN 1995-196962	19951102
	HU 77516	A2	19980528	HU 1997-2445	19951102
	JP 10506915	T2	19980707	JP 1995-515519	19951102
	RU 2145964	C1	20000227	RU 1997-108591	19951102
	PL 184378	B1	20021031	PL 1995-319944	19951102
PRAI	US 1994-333545	A	19941102		
	CA 1995-2202280	A3	19951102		
	WO 1995-US14600	W	19951102		

OS MARPAT 125:115097
GI



I

AB A no. of modified nucleosides I [B = adenine, cytosine, guanine, thymine, uracil; R1 = (un)substituted alkyl, aralkyl, aryl; R2 = H, OH, alkoxy, aralkoxy, aryloxy; R3 = OH, hydroxy blocking group; R4 = OH, hydroxy blocking group; X = O, S, NH, CH2] are disclosed composed of modified sugar moieties which contain substituents at C1 and C4 positions, or branched substituents at C3 and C5 positions of deoxyribose or ribose. Each nucleoside is converted to or properly protected and then converted to the corresponding phosphoramidities. These phosphoramidites are used to assemble oligodeoxyribonucleotides in which there is at least one of the fore-noted nucleosides. These sugar modified **oligonucleotides** have the potential to be used as antisense therapies since they are expected to enhance nuclease resistance and cellular uptake while they maintain sequence-specificity and affinity to nucleic acid targets in

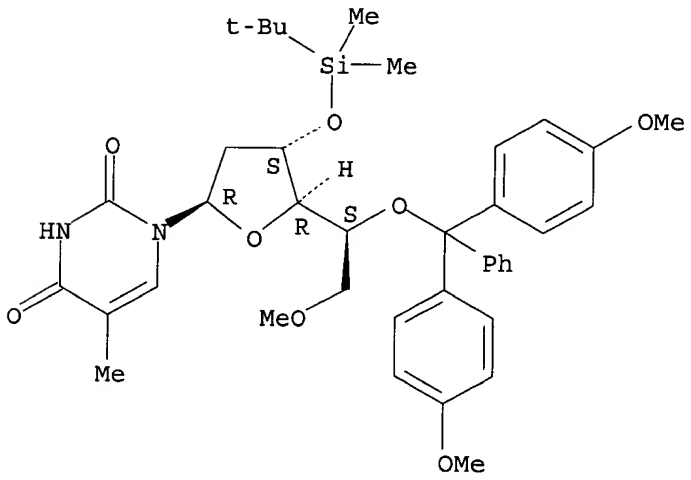
vitro or in vivo.

IT **177490-93-6P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of sugar-modified nucleosides and their use for synthesis of oligodeoxyribonucleotides)

RN 177490-93-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-2-deoxy-3-O-[(1,1-dimethylethyl)dimethylsilyl]-6-O-methyl-.alpha.-L-lyxo-hexofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	20.70	2432.40
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.60	-272.12

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STRUCTURE FILE UPDATES: 27 MAR 2003 HIGHEST RN 500857-77-2
DICTIONARY FILE UPDATES: 27 MAR 2003 HIGHEST RN 500857-77-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP

09567863

PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

*** YOU HAVE NEW MAIL ***

=> s l14 full
FULL SEARCH INITIATED 14:59:16 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 25174 TO ITERATE

100.0% PROCESSED 25174 ITERATIONS 1760 ANSWERS
SEARCH TIME: 00.00.02

L18 1760 SEA SSS FUL L14

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.15	2580.55

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-272.12

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FILE COVERS 1907 - 28 Mar 2003 VOL 138 ISS 14
FILE LAST UPDATED: 27 Mar 2003 (20030327/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l18
L19 436 L18

=> s l19 and oligonucleotide?
61604 OLIGONUCLEOTIDE?
L20 21 L19 AND OLIGONUCLEOTIDE?

=> s l20 not l17
L21 17 L20 NOT L17

=> d l21 bib abs 1-17 hitstr

L21 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2003 ACS
AN 2002:284191 CAPLUS
DN 137:79168

09567863

TI Oligonucleosides with a nucleobase-including backbone, Part 7, syn and anti conformations of a (5'-8)-ethynediyl-linked adenosine dimer

AU Bhardwaj, Punit Kumar; Vasella, Andrea

CS Laboratorium fur Organische Chemie, ETH-Honggerberg, HCI, Zurich, CH-8093, Switz.

SO Helvetica Chimica Acta (2002), 85(3), 699-711
CODEN: HCACAV; ISSN: 0018-019X

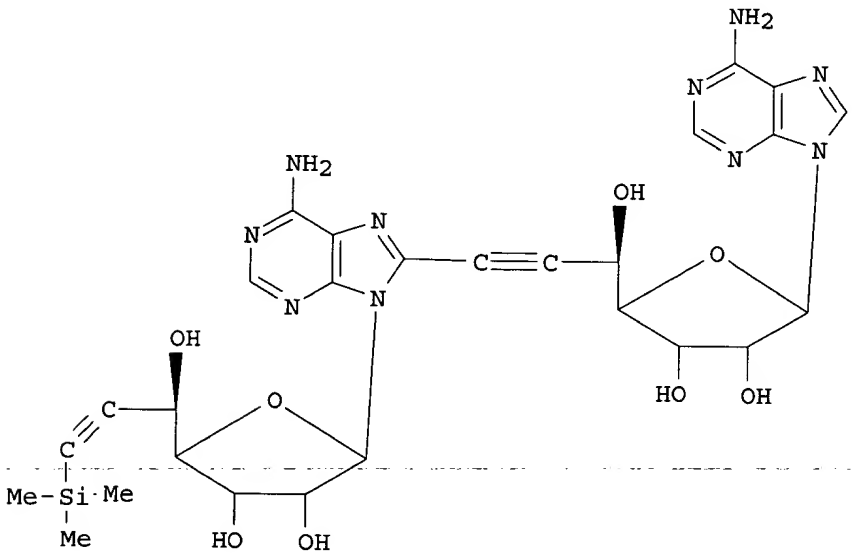
PB Verlag Helvetica Chimica Acta

DT Journal

LA English

OS CASREACT 137:79168

GI



I

AB The conformational anal. of (I) was carried out in (D6)DMSO and in mixts. of (D6)DMSO and CDCl3 to evaluate the syn/anti conformations, relevant to the pairing propensity of this type of nucleotide analog. The HO-C(5') of (right) unit a and of (left) unit b of I form an intramol. H-bond to N(3). In (D6)DMSO, the C(5')-OH...N(3) H-bond in unit a is partially broken, while that in unit b persists to a larger extent. The syn conformation prevails for unit a and particularly for unit b. The furanosyl moieties adopt predominantly a 2'-endo conformation that is largely independent of the solvent.

IT **440356-23-0P**

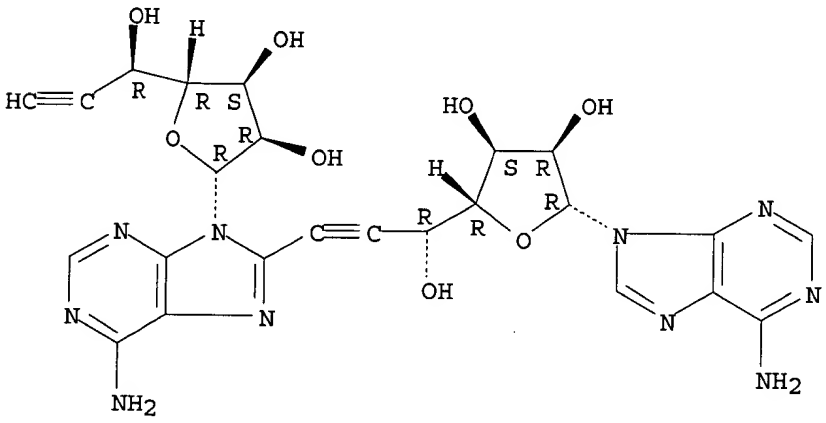
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of and conformational anal. of (5'-8)-ethynediyl-linked adenosine dimer and the effects of intramol. hydrogen bonds)

RN 440356-23-0 CAPLUS

CN 9H-Purin-6-amine, 9-[7-[6-amino-9-(6,7-dideoxy-.beta.-D-allo-hept-6-ynofuranosyl)-9H-purin-8-yl]-6,7-dideoxy-.beta.-D-allo-hept-6-ynofuranosyl]- (9CI) (CA INDEX NAME)

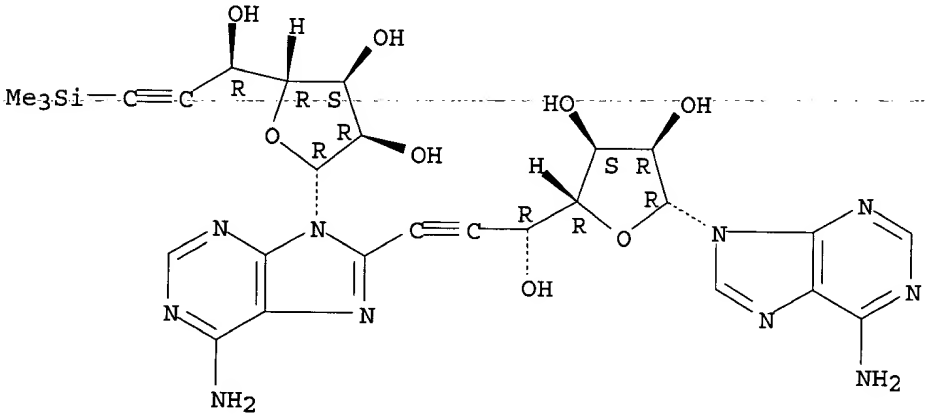
Absolute stereochemistry.

09567863



IT **440356-22-9P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn., reaction of, and conformational anal. of and the effects of intramol. hydrogen bonds)
RN 440356-22-9 CAPLUS
CN 9H-Purin-6-amine, 9-[7-[6-amino-9-[6,7-dideoxy-7-(trimethylsilyl)-.beta.-D-allo-hept-6-ynofuranosyl]-9H-purin-8-yl]-6,7-dideoxy-.beta.-D-allo-hept-6-ynofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

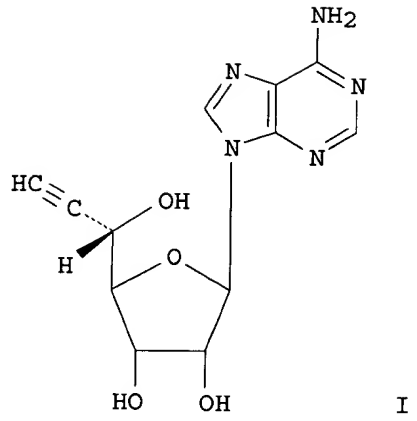


RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS
AN 2000:502875 CAPLUS
DN 133:238228
TI Oligonucleosides with a nucleobase-including backbone part 2 synthesis and structure determination of adenosine-derived monomers
AU Gunji, Hiroki; Vasella, Andrea
CS Laboratorium fur Organische Chemie, ETH-Zentrum, Zurich, CH-8092, Switz.
SO Helvetica Chimica Acta (2000), 83(7), 1331-1345
CODEN: HCACAV; ISSN: 0018-019X
PB Verlag Helvetica Chimica Acta
DT Journal
LA English
OS CASREACT 133:238228

09567863

GI



I

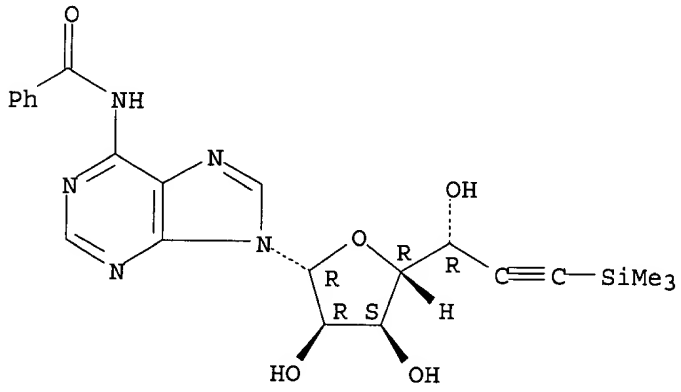
AB The synthesis and structure detn. of adenosine-derived monomeric, e.g. I, building blocks for new **oligonucleotides** via addn. of propargylic silyl ethers with partially protected adenosine, are described.

IT **292642-39-8P 292642-40-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and structure detn. of adenosine-derived monomers via addn. of propargylic silyl ethers with partially protected adenosines)

RN 292642-39-8 CAPLUS

CN Benzamide, N-[9-[6,7-dideoxy-7-(trimethylsilyl)-.beta.-D-allo-hept-6-ynofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

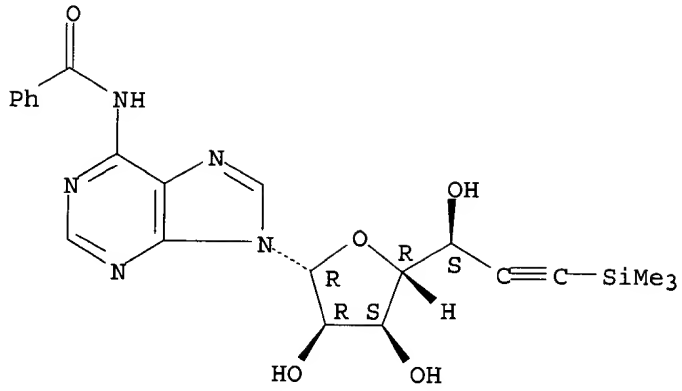
Absolute stereochemistry. Rotation (-).



RN 292642-40-1 CAPLUS

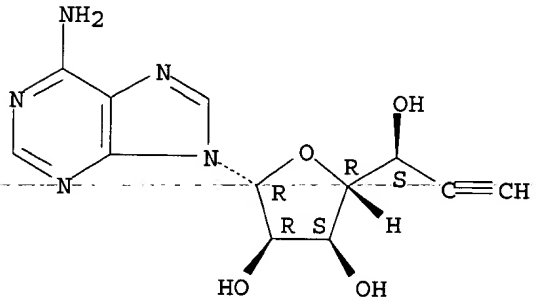
CN Benzamide, N-[9-[6,7-dideoxy-7-(trimethylsilyl)-.alpha.-L-talo-hept-6-ynofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



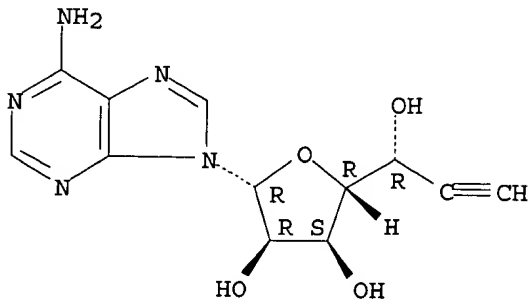
IT **211677-83-7P 211677-84-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and structure detn. of adenosine-derived monomers via addn. of propargylic silyl ethers with partially protected adenosines)
RN 211677-83-7 CAPLUS
CN 9H-Purin-6-amine, 9-(6,7-dideoxy-.alpha.-L-talo-hept-6-ynofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 211677-84-8 CAPLUS
CN 9H-Purin-6-amine, 9-(6,7-dideoxy-.beta.-D-allo-hept-6-ynofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



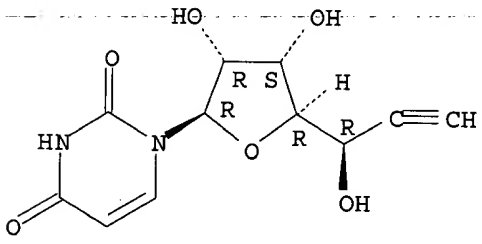
RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2003 ACS

09567863

AN 2000:502874 CAPLUS
DN 133:238240
TI Oligonucleosides with a nucleobase-including backbone, part 1: concept, force-field calculations, and synthesis of uridine-derived monomers and dimers
AU Eppacher, Simon; Solladie, Nathalie; Bernet, Bruno; Vasella, Andrea
CS Laboratorium fur Organische Chemie, ETH-Zentrum, Zurich, CH-8092, Switz.
SO Helvetica Chimica Acta (2000), 83(7), 1311-1330
CODEN: HCACAV; ISSN: 0018-019X
PB Verlag Helvetica Chimica Acta
DT Journal
LA English
AB A new type of oligonucleosides has been devised to investigate the potential of oligoribonucleotides with a nucleobase-including backbone to form homo- and/or hetero-duplexes. It is characterized by ethynyl-linkages between C(5') and C(6) of uridine, and between C(5') and C(8) of adenosine. Force-field calcns. and Maruzen model studies suggest that such oligonucleosides form autonomous pairing systems and hybridize with RNA. We describe the syntheses of uridine-derived monomers from uridine-5'-carbaldehyde, suitable for the construction of oligomers, and of a dimer.
IT **292637-03-7P 292637-11-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(concept force-field calcns. and synthesis of uridine-derived monomers and RNA duplexes)
RN 292637-03-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(6,7-dideoxy-.beta.-D-allo-hept-6-ynofuranosyl)- (9CI) (CA INDEX NAME)

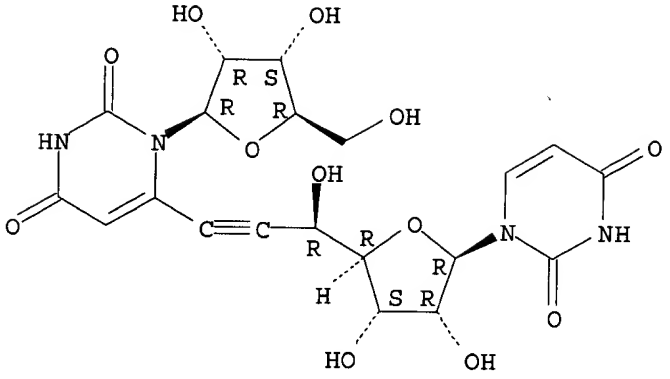
Absolute stereochemistry. Rotation (-).



RN 292637-11-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[6,7-dideoxy-7-(1,2,3,6-tetrahydro-2,6-dioxo-3-.beta.-D-ribofuranosyl-4-pyrimidinyl)-.beta.-D-allo-hept-6-ynofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

09567863

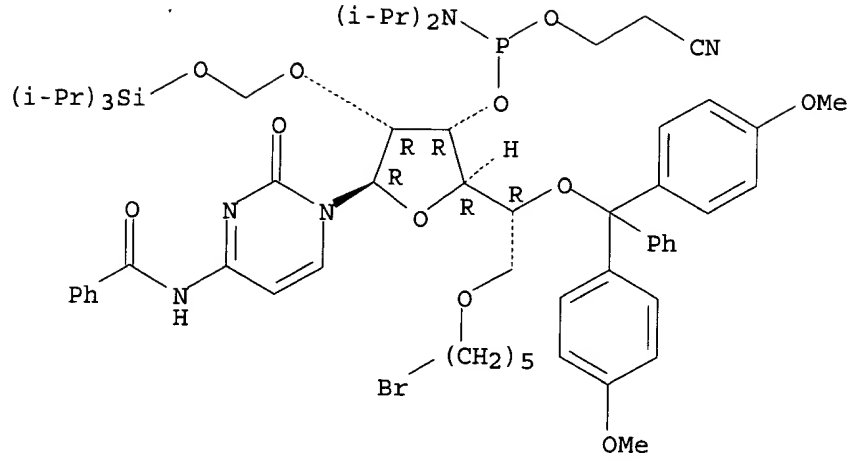


RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS
AN 1999:670450 CAPLUS
DN 132:108220
TI Functionalization of the Sugar Moiety of Oligoribonucleotides on Solid Support
AU Wu, Xiaolin; Pitsch, Stefan
CS Organisch-Chemisches Laboratorium, Eidgenoessischen Technischen Hochschule, Zurich, CH-8092, Switz.
SO Bioconjugate Chemistry (1999), 10(6), 921-924
CODEN: BCCHES; ISSN: 1043-1802
PB American Chemical Society
DT Journal
LA English
AB A solid-phase method for the introduction of a variety of different side chains into oligoribonucleotides is presented. It is based on a .beta.-D-allofuranosyl phosphoramidite with a bromopentyl-substituent tethered to the 6'-O position. After its incorporation into fully protected, immobilized RNA sequences, the bromine was substituted with a variety of soft nucleophiles which, in some cases, allowed further transformations. After deprotection and detachment, the corresponding functionalized oligoribonucleotides were purified and characterized. Incorporation of such side chains led to a slight lowering of transition temps., but some of them led to a significant enthalpic stabilization of an A-type RNA duplex.
IT **254753-28-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of for the synthesis of nucleotides for the functionalization of the sugar moiety of oligoribonucleotides during solid-phase synthesis)
RN 254753-28-1 CAPLUS
CN Benzamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-6-O-(5-bromopentyl)-2-O-[[[tris(1-methylethyl)silyl]oxy]methyl]-.beta.-D-allofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

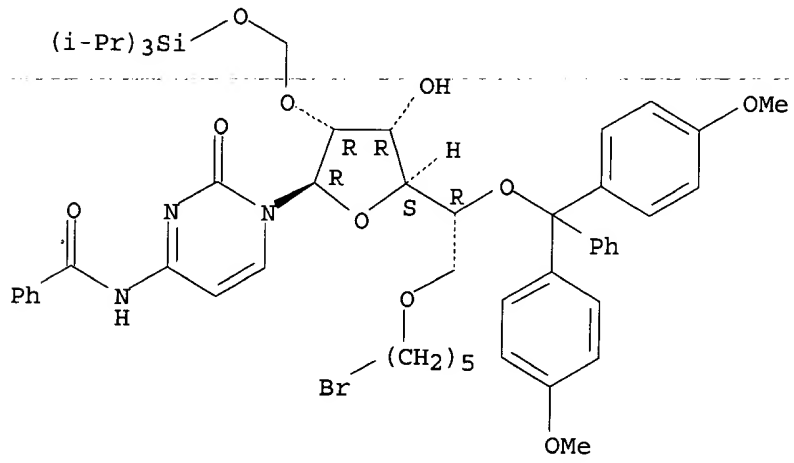
Absolute stereochemistry.

09567863



IT **217300-18-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of for the synthesis of nucleotides for the functionalization of the sugar moiety of oligoribonucleotides during solid-phase synthesis)
RN 217300-18-0 CAPLUS
CN Benzamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-6-O-(5-bromopentyl)-2-O-[[[tris(1-methylethyl)silyl]oxy]methyl]-.beta.-D-allofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



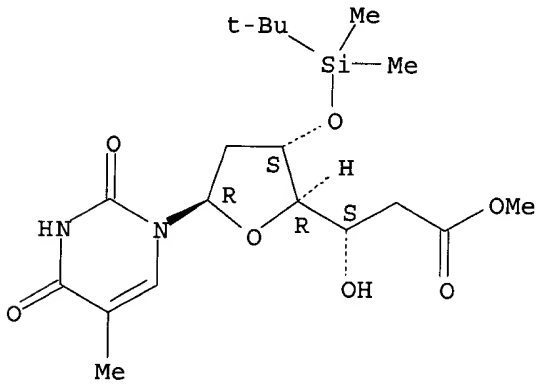
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS
AN 1999:514978 CAPLUS
DN 131:228933
TI 5'-C-tosyloxyalkylnucleosides. Models for **oligonucleotide** coupling with nucleophiles
AU Banuls, V.; Sarramegna, V.; Froment, C.; Escudier, J-M.; Gorrichon, L.
CS Laboratoire de synthese et physicochimie organique associe au C.N.R.S., Universite Paul Sabatier, Toulouse, 31062, Fr.
SO Nucleosides & Nucleotides (1999), 18(6 & 7), 1527-1529
CODEN: NUNUD5; ISSN: 0732-8311
PB Marcel Dekker, Inc.

09567863

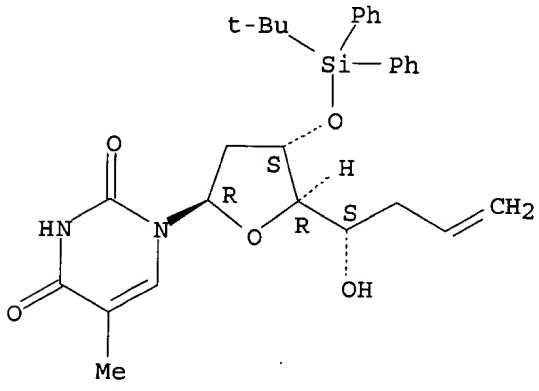
DT Journal
LA English
AB 5'-C-substituted nucleosides with an hydroxyalkyl chain are synthesized. The stereochem. of the new stereogenic center is defined. After introduction of a tosyl group, dimer models are prepd. to evaluate the conjugation with amines used as nucleophiles.
IT **181035-04-1P 244035-21-0P 244035-22-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereochem. and prepn. of 5'-C-tosyloxyalkylnucleosides as models for **oligonucleotide** coupling with nucleophiles)
RN 181035-04-1 CAPLUS
CN .alpha.-L-lyxo-Heptofuranuronic acid, 1,2,6-trideoxy-1-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-3-O-[(1,1-dimethylethyl)dimethylsilyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



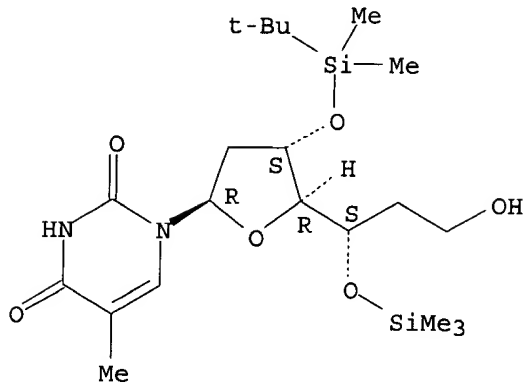
RN 244035-21-0 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S,5R)-4-[[(1,1-dimethylethyl)diphenylsilyl]oxy]tetrahydro-5-[(1S)-1-hydroxy-3-butenyl]-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



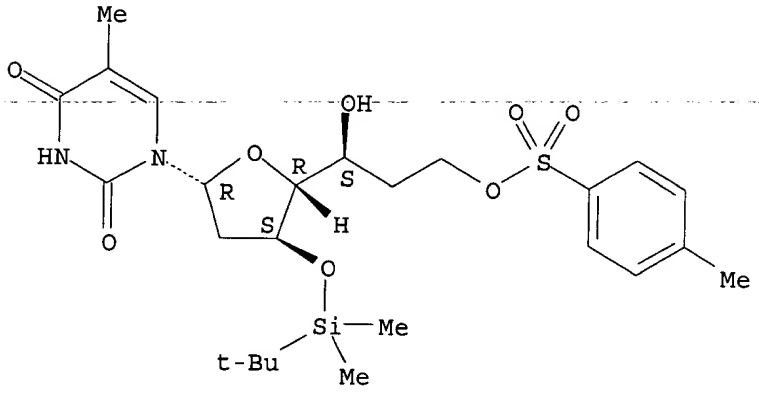
RN 244035-22-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,6-dideoxy-3-O-[(1,1-dimethylethyl)dimethylsilyl]-5-O-(trimethylsilyl)-.alpha.-L-lyxo-heptofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **181035-13-2P 244035-23-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereochem. and prepn. of 5'-C-tosyloxyalkylnucleosides as models for **oligonucleotide** coupling with nucleophiles)
RN 181035-13-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,6-dideoxy-3-O-[(1,1-dimethylethyl)dimethylsilyl]-7-O-[(4-methylphenyl)sulfonyl]-.alpha.-L-lyxo-heptofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

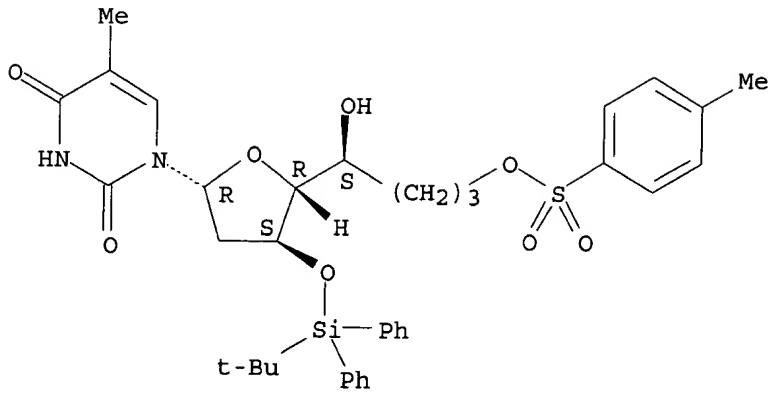
Absolute stereochemistry.



RN 244035-23-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,6,7-trideoxy-3-O-[(1,1-dimethylethyl)diphenylsilyl]-8-O-[(4-methylphenyl)sulfonyl]-.alpha.-L-lyxo-octofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

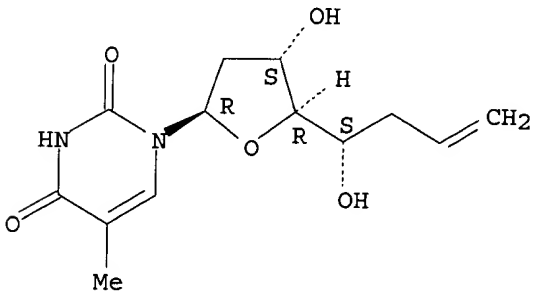
09567863



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

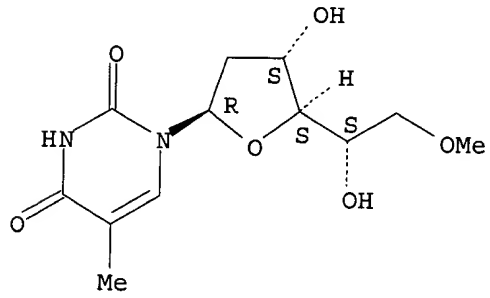
L21 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2003 ACS
AN 1999:275293 CAPLUS
DN 131:84409
TI Biophysical and biochemical properties of oligodeoxynucleotides containing 4'-C- and 5'-C-substituted thymidines
AU Wang, Guangyi; Middleton, Patrick J.; Lin, Catherine; Pietrzkowski, Zbigniew
CS Research Department, ICN Pharmaceuticals, Inc., Costa Mesa, CA, 92626, USA
SO Bioorganic & Medicinal Chemistry Letters (1999), 9(6), 885-890
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB We have previously reported oligodeoxynucleotides (ODNs) contg. 4'-C- and 5'-C-substituted thymidines, which demonstrated certain favorable biophys. and biochem. properties. In this communication, the hybridization and nuclease stability data of the ODNs along with their capability to induce RNase H activity are presented.
IT **177491-07-5 177491-08-6 229017-87-2**
229017-88-3 229017-89-4 229017-90-7
229017-91-8
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(biophys. and biochem. properties of oligodeoxynucleotides contg. 4'-C- and 5'-C-substituted thymidines)
RN 177491-07-5 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[(2R,4S,5R)-tetrahydro-4-hydroxy-5-[(1S)-1-hydroxy-3-butenyl]-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



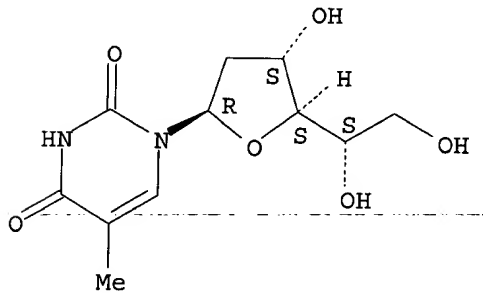
RN 177491-08-6 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-6-O-methyl-.alpha.-L-lyxo-hexofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



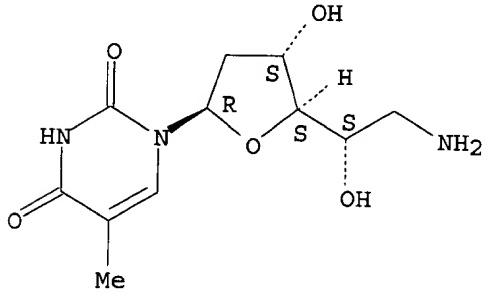
RN 229017-87-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-.alpha.-L-lyxo-hexofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 229017-88-3 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(6-amino-2,6-dideoxy-.alpha.-L-lyxo-hexofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

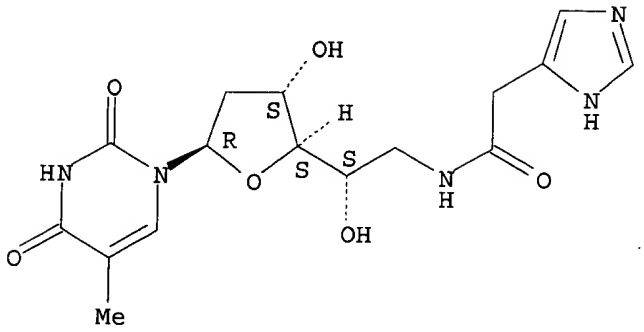
Absolute stereochemistry.



RN 229017-89-4 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,6-dideoxy-6-[(1H-imidazol-4-ylacetyl)amino]-.alpha.-L-lyxo-hexofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

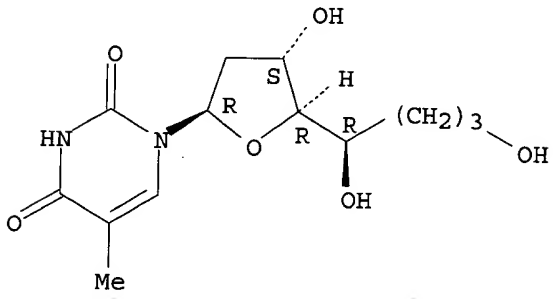
09567863

Absolute stereochemistry.



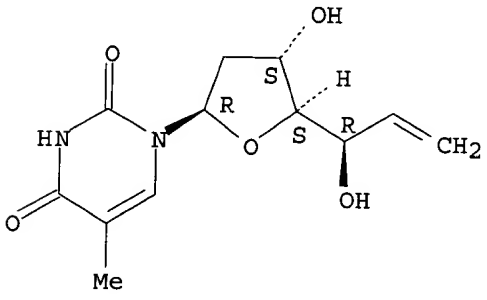
RN 229017-90-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-(2,6,7-trideoxy-.beta.-D-ribo-octofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 229017-91-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-(2,6,7-trideoxy-.beta.-D-ribo-hept-6-enofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



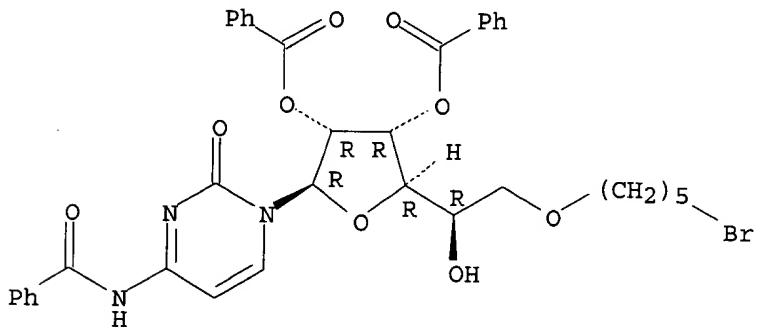
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS
AN 1998:678162 CAPLUS
DN 130:66720
TI Synthesis and pairing properties of oligoribonucleotide analogs containing a metal-binding site attached to .beta.-D-allofuranosyl cytosine

09567863

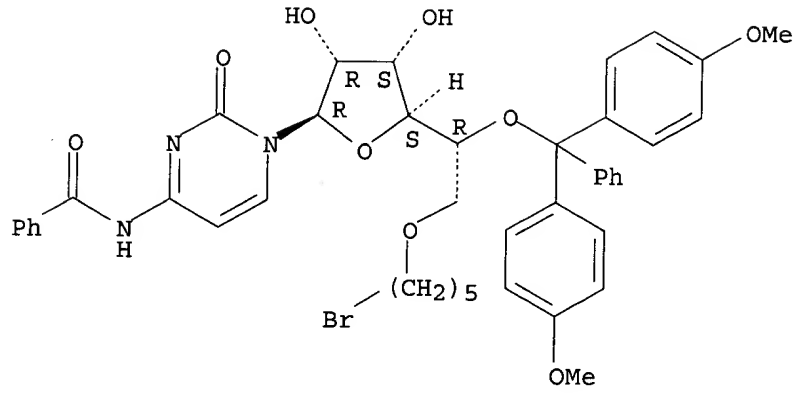
AU Wu, Xiaolin; Pitsch, Stefan
CS Universitatstrasse 16, Organisch-Chemisches Laboratorium der Eidgenossischen Technischen Hochschule, Zurich, CH-8092, Switz.
SO Nucleic Acids Research (1998), 26(19), 4315-4323
CODEN: NARHAD; ISSN: 0305-1048
PB Oxford University Press
DT Journal
LA English
AB A method for the facile prepn. of oligoribonucleotide analogs contg. .beta.-D-allo-furanosyl nucleosides with addnl. functional groups tethered to the 6'-O positions is presented. It is based on the synthesis in two protected nucleosides carrying a 6'-O-bromopentyl and a 6'-O-methylaminopentyl substituent. By a simple two-step procedure, these key intermediates were transformed into two phosphoramidites carrying a 1-aza-18-crown-6 and a triethyleneglycol group, resp., each capable of complexing metal ions. By automated synthesis, these functionalized nucleoside analogs were efficiently incorporated into short oligoribonucleotides. Under physiol. conditions (150 mM NaCl, 2 mM MgCl2, pH 7.4), incorporation of a single allo-furanosyl cytosine substituted with a triethyleneglycol moiety led to a significant enthalpic stabilization of an A-type RNA duplex. This observation is in agreement with a metal ion-mediated stabilizing interaction between the two pairing strands.
IT **217300-15-7P 217300-16-8P 217300-18-0P**
217300-20-4P 217300-21-5P 217300-23-7P
217300-24-8P 217300-25-9P 217300-26-0P
217300-27-1P 217300-28-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and pairing properties of oligoribonucleotide analogs contg. a metal-binding site attached to .beta.-D-allo-furanosyl cytosine)
RN 217300-15-7 CAPLUS
CN Benzamide, N-[1-[2,3-di-O-benzoyl-6-O-(5-bromopentyl)-.beta.-D-allofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



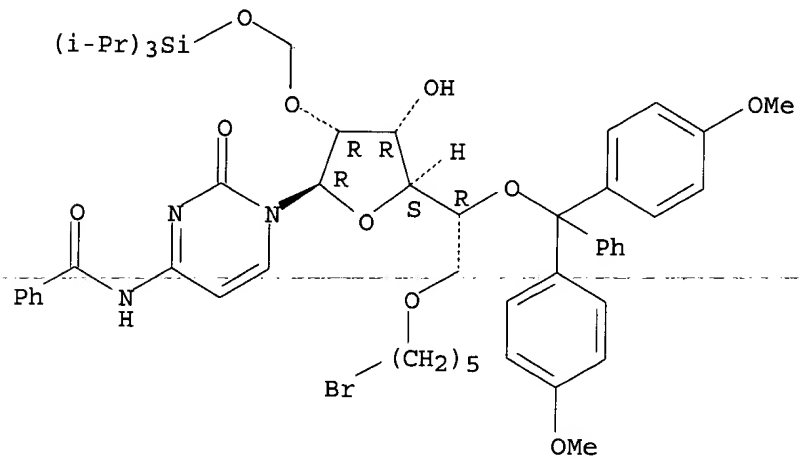
RN 217300-16-8 CAPLUS
CN Benzamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-6-O-(5-bromopentyl)-.beta.-D-allofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



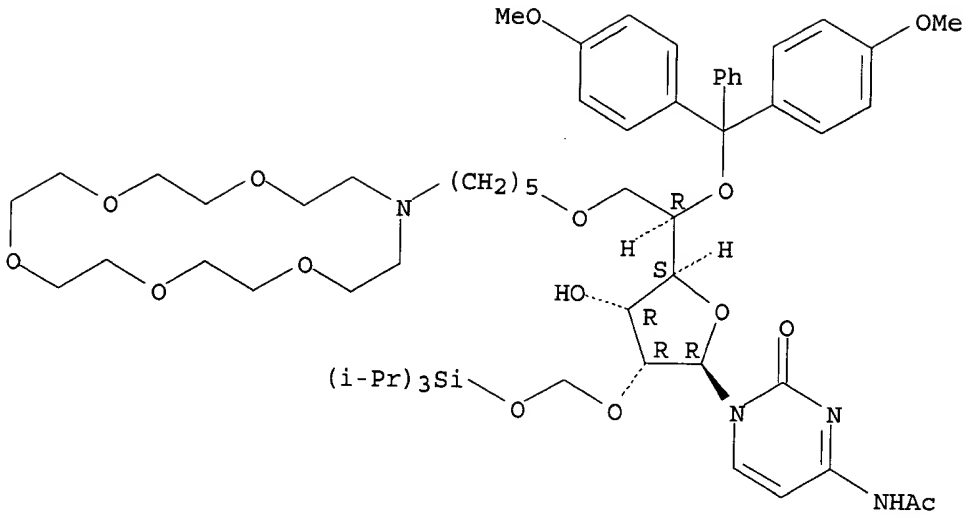
RN 217300-18-0 CAPLUS
CN Benzamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-6-O-(5-bromopentyl)-2-O-[[[tris(1-methylethyl)silyl]oxy]methyl]-.beta.-D-allofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



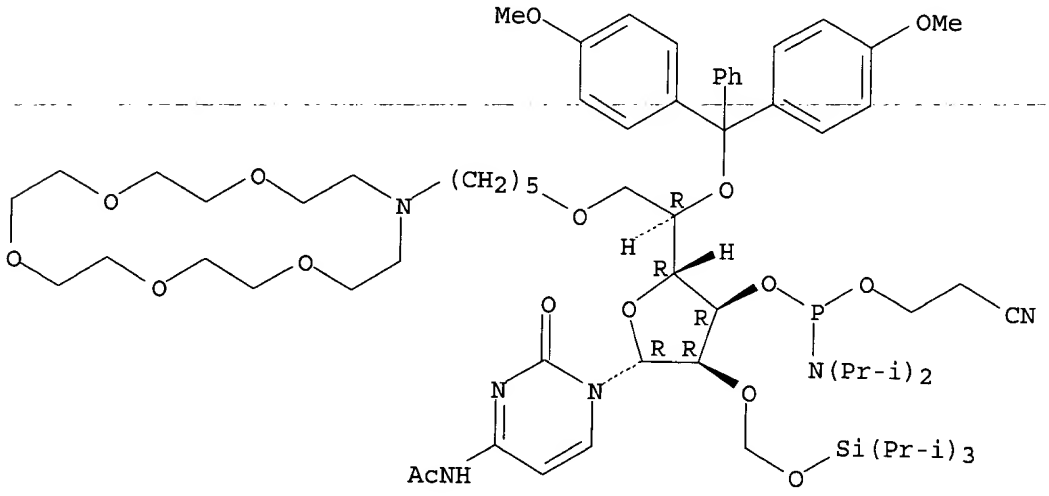
RN 217300-20-4 CAPLUS
CN Acetamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-6-O-[5-(1,4,7,10,13-pentaoxa-16-azacyclooctadec-16-yl)pentyl]-2-O-[[[tris(1-methylethyl)silyl]oxy]methyl]-.beta.-D-allofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



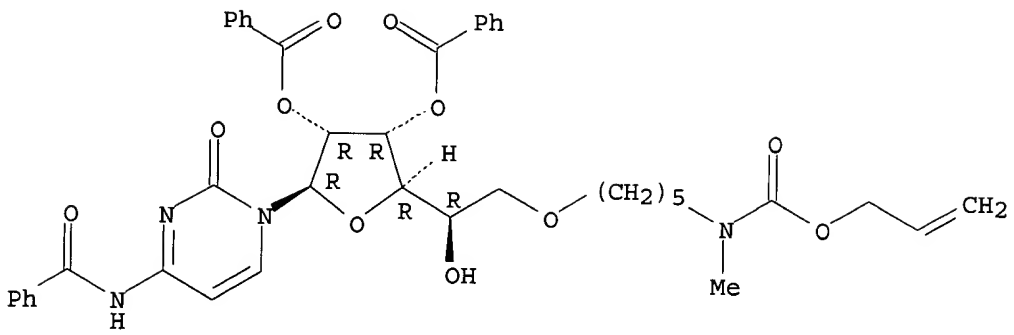
RN 217300-21-5 CAPLUS
CN Acetamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-6-O-[5-(1,4,7,10,13-pentaoxa-16-azacyclooctadec-16-yl)pentyl]-2-O-[[[tris(1-methylethyl)silyl]oxy]methyl]-.beta.-D-allofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 217300-23-7 CAPLUS
CN Benzamide, N-[1-[2,3-di-O-benzoyl-6-O-[5-[methyl[(2-propenyloxy)carbonyl]amino]pentyl]-.beta.-D-allofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

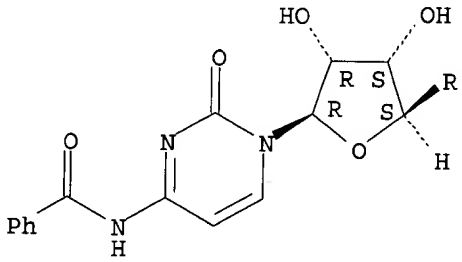
Absolute stereochemistry. Rotation (-).



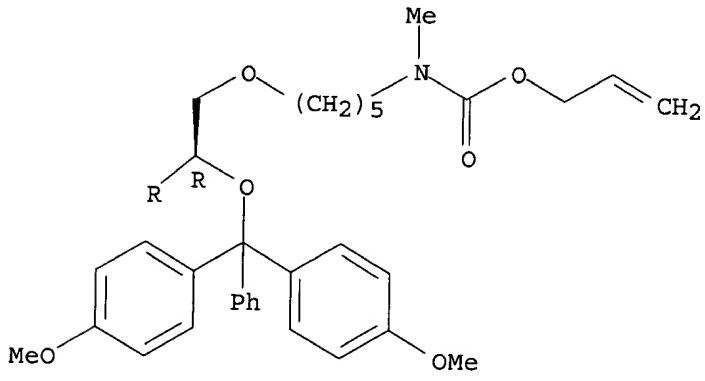
RN 217300-24-8 CAPLUS
CN Benzamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-6-O-[5-[methyl[(2-propenyloxy)carbonyl]amino]pentyl]-.beta.-D-allofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A

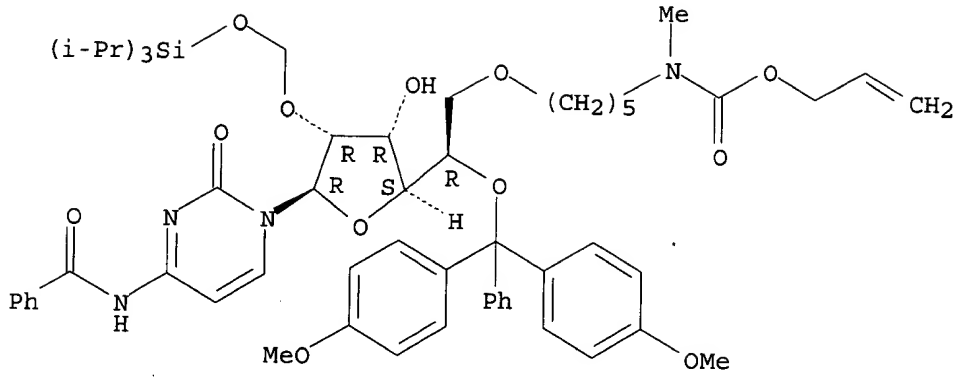


PAGE 2-A



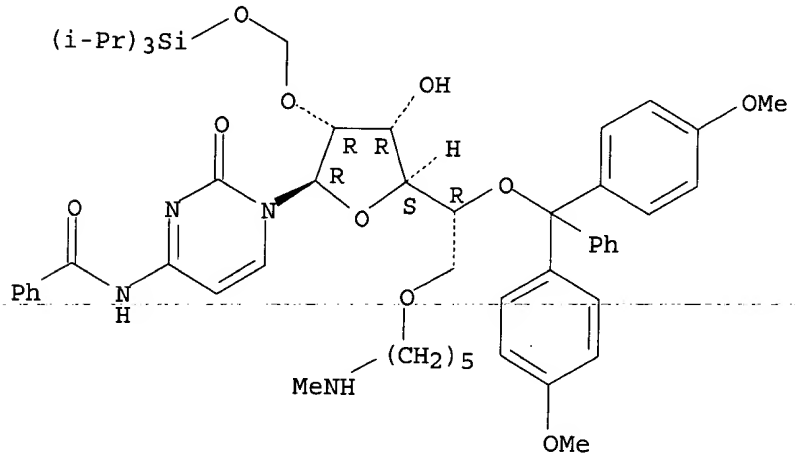
RN 217300-25-9 CAPLUS
CN Benzamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-6-O-[5-[methyl[(2-propenyloxy)carbonyl]amino]pentyl]-2-O-[[[tris(1-methylethyl)silyl]oxy]methyl]-.beta.-D-allofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



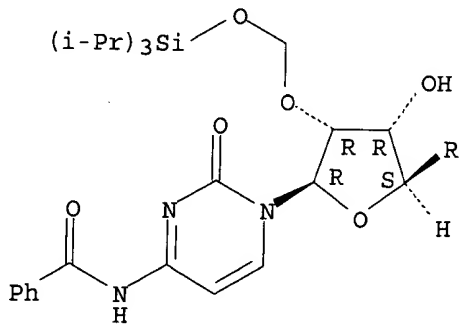
RN 217300-26-0 CAPLUS
CN Benzamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-6-O-[5-(methylamino)pentyl]-2-O-[[[tris(1-methylethyl)silyl]oxy]methyl]-.beta.-D-allofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

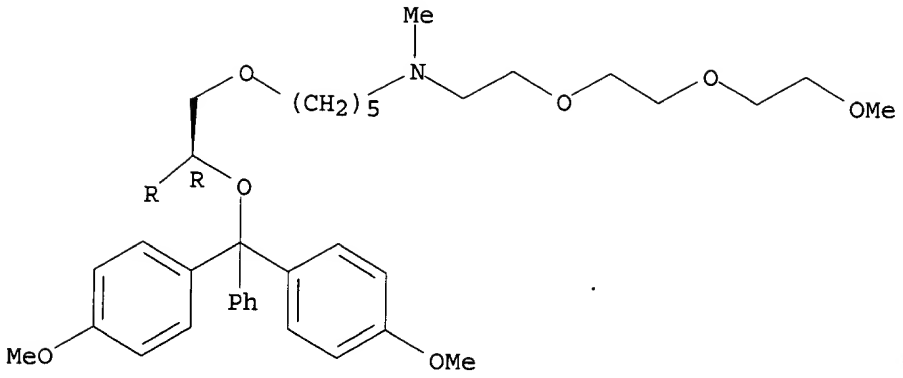
Absolute stereochemistry. Rotation (+).



RN 217300-27-1 CAPLUS
CN Benzamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-6-O-(6-methyl-9,12,15-trioxa-6-azahexadec-1-yl)-2-O-[[[tris(1-methylethyl)silyl]oxy]methyl]-.beta.-D-allofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

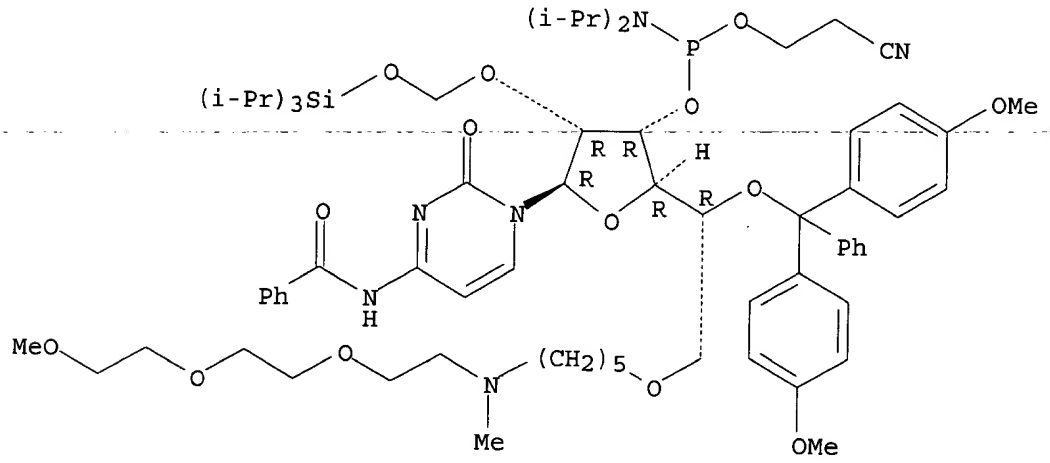
Absolute stereochemistry.





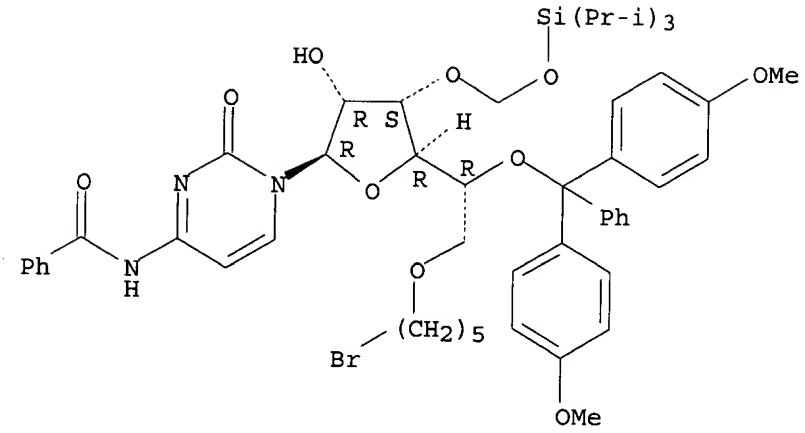
RN 217300-28-2 CAPLUS
CN Benzamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-6-O-(6-methyl-9,12,15-trioxa-6-azahexadec-1-yl)-2-O-[[[tris(1-methylethyl)silyl]oxy]methyl]-.beta.-D-allofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



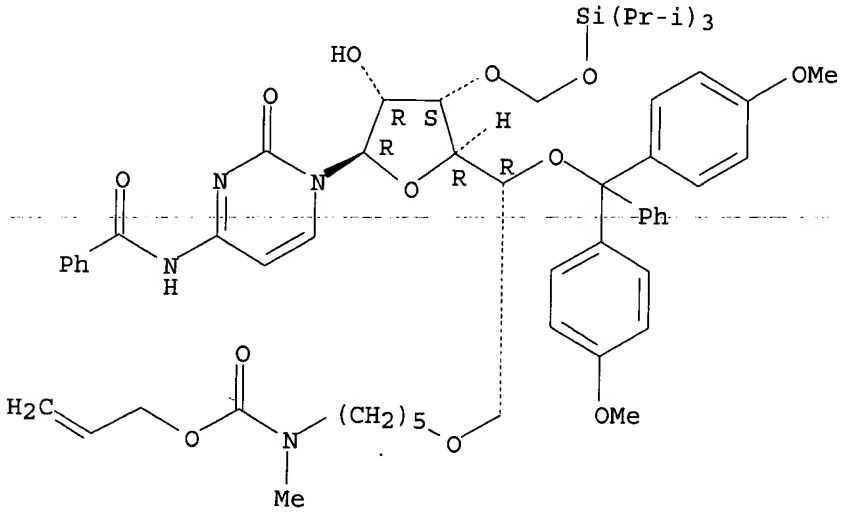
IT **217300-19-1P 217300-29-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and pairing properties of oligoribonucleotide analogs contg. a metal-binding site attached to .beta.-D-allo-furanosyl cytosine)
RN 217300-19-1 CAPLUS
CN Benzamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-6-O-(5-bromopentyl)-3-O-[[[tris(1-methylethyl)silyl]oxy]methyl]-.beta.-D-allofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 217300-29-3 CAPLUS
CN Benzamide, N-[1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-6-O-[5-[methyl[(2-propenyloxy)carbonyl]amino]pentyl]-3-O-[[[tris(1-methylethyl)silyl]oxy]methyl]-.beta.-D-allofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS
AN 1998:55647 CAPLUS
DN 128:128247
TI Preparation of amide-linked oligodeoxyribonucleotides
IN De Mesmaeker, Alain; Wendeborn, Sebastian; Lebreton, Jacques
PA Novartis A.-G., Switz.; De Mesmaeker, Alain; Wendeborn, Sebastian; Lebreton, Jacques
SO PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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09567863

PI WO 9800434 A1 19980108 WO 1997-EP3192 19970619
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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AU 9733407 A1 19980121 AU 1997-33407 19970619
ZA 9705742 A 19971229 ZA 1997-5742 19970627
PRAI EP 1996-810431 A 19960628
WO 1997-EP3192 W 19970619
OS MARPAT 128:128247
GI

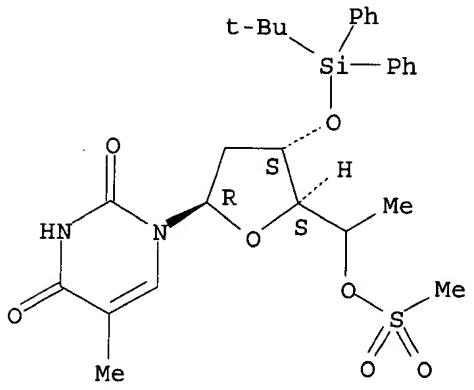
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB **Oligonucleotide** 5'-(U)n-3'(U is an identical or different radical of a natural or a synthetic nucleoside; n = 2-200), in which the oligodeoxyribonucleotide comprises at least one structural unit of formula I [R1 = H, alkyl, alkoxy; R2 = H, alkyl, Ph, alkylphenyl, heteroaryl, alkylheteroaryl, (un)substituted aryl or heteroaryl by OH, R4, alkoxy; R3 = OH, NR42 or NHR4; R4 = H, alkyl; X, Y = H, OH, OR4, amine-contg. ether; A, B = a purine or pyrimidine], were prepd. as diagnostics for the detection of viral infection or of genetically related diseases (no data). Thus, II was prepd. for the synthesis of oligodeoxyribonucleotide , 5'-GCGTsTTsTTsTTsTTsTGCG-3' (TsT = II).

IT **199458-04-3P 201795-39-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of amide-linked oligodeoxyribonucleotides)

RN 199458-04-3 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(5.xi.)-2,6-dideoxy-3-O-[(1,1-dimethylethyl)diphenylsilyl]-5-O-(methylsulfonyl)-.beta.-D-erythro-hexofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

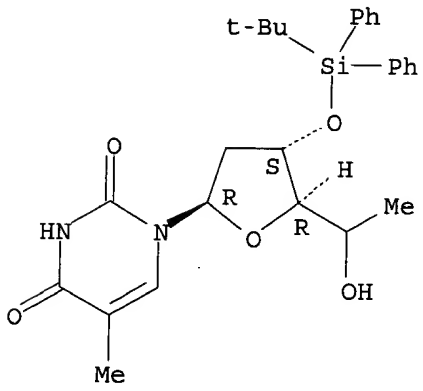
Absolute stereochemistry.



RN 201795-39-3 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(5.xi.)-2,6-dideoxy-3-O-[(1,1-dimethylethyl)diphenylsilyl]-.beta.-D-erythro-hexofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

09567863

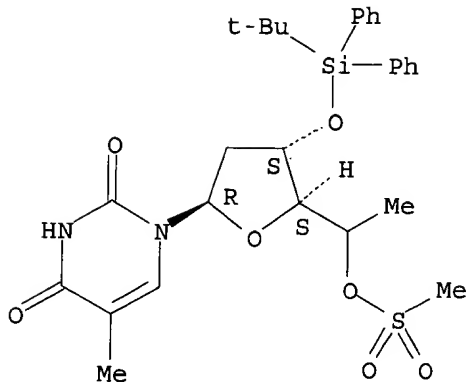
Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2003 ACS
AN 1997:749991 CAPLUS
DN 128:23089
TI Amide-modified **oligonucleotides** with preorganized backbone and furanose rings. Highly increased thermodynamic stability of the duplexes formed with their RNA and DNA complements
AU De Mesmaeker, Alain; Lebreton, Jacques; Jouanno, Chantal; Fritsch, Valerie; Wolf, Romain M.; Wendeborn, Sebastian
CS Novartis A.-G., Basel, Switz.
SO Synlett (1997), (11), 1287-1290
CODEN: SYNLES; ISSN: 0936-5214
PB Georg Thieme Verlag
DT Journal
LA English
AB The amide backbone modification C(3')-CH2CONH-C(5') was further modified by introducing Me at C(5'), either in R or in S configuration. Only the S stereoisomer can adopt the required geometry to fit into a duplex with complementary RNA. Addnl. OMe groups at C(2') of the furanose generate antisense **oligonucleotides** with considerably improved binding affinity to complementary RNA (.DELTA.Tm .ltoreq. 4.4.degree. per modification).
IT **199458-04-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn., conformation, and DNA duplex stability of amide-modified **oligonucleotides** with preorganized backbone and furanose rings)
RN 199458-04-3 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(5.xi.)-2,6-dideoxy-3-O-[(1,1-dimethylethyl)diphenylsilyl]-5-O-(methylsulfonyl)-.beta.-D-erythro-hexofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

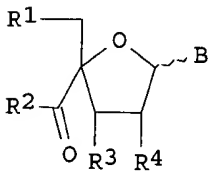
Absolute stereochemistry.



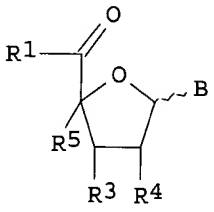
L21 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS
AN 1997:678934 CAPLUS
DN 127:331695
TI Preparation of modified nucleotides and their enzymic incorporation into DNA
IN Marx, Andreas; Giese, Bernd
PA Novartis A.-G., Switz.
SO Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 799834	A1	19971008	EP 1996-810216	19960404
R: DE				
PRAI EP 1996-810216		19960404		

OS MARPAT 127:331695
GI



I



II

AB The current invention concerns new modified nucleotides I and II [B = nucleobase; R1 = phosphate; R2 = alkyl, haloalkyl, CHO, acyl, CH2OH, alkoxymethyl, phenoxymethyl, (un)substituted Ph; R3, R4 = independently H, alkoxy,aminoalkoxy: R5 = H, OH, Ch2OH, Me, Et, CH2CH2OH] that are prepd. and accepted by reverse transcriptases and incorporated in to a growing oligodeoxyribonucleotides but are not accepted by polymerases. **Oligonucleotides** comprising the new modified nucleotides can be cleaved photolytically. Thus, I (B = thymine; R1 = OP3O9H3; R2 = Me, Et, Ph; R3 = OH; R4 = H) was prepd. and incorporated into DNA in presence of reverse transcriptase.

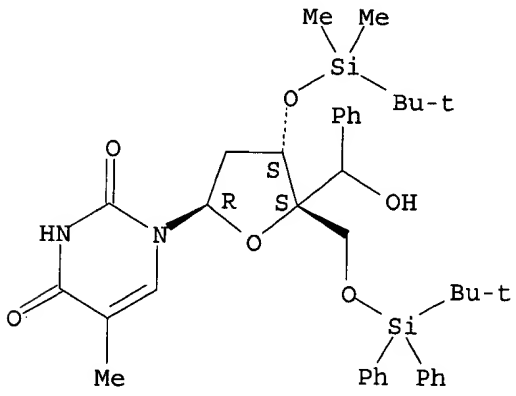
IT **183892-43-5P 197070-46-5P 197070-47-6P**
197070-48-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of modified nucleotides and their enzymic incorporation into DNA)

RN 183892-43-5 CAPLUS

CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-(hydroxyphenylmethyl)- (9CI) (CA INDEX NAME)

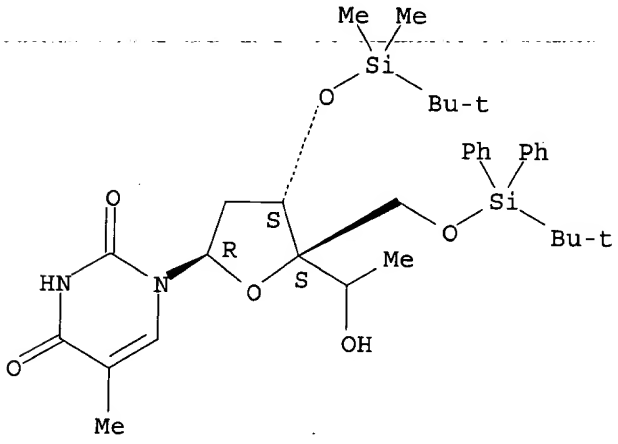
Absolute stereochemistry.



RN 197070-46-5 CAPLUS

CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-(1-hydroxyethyl)- (9CI) (CA INDEX NAME)

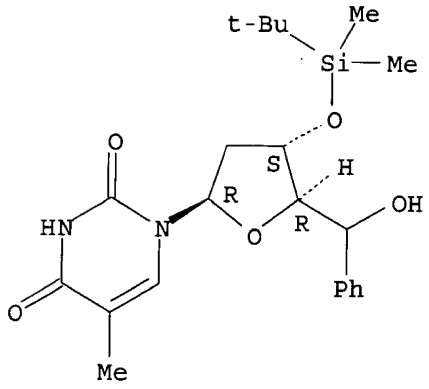
Absolute stereochemistry.



RN 197070-47-6 CAPLUS

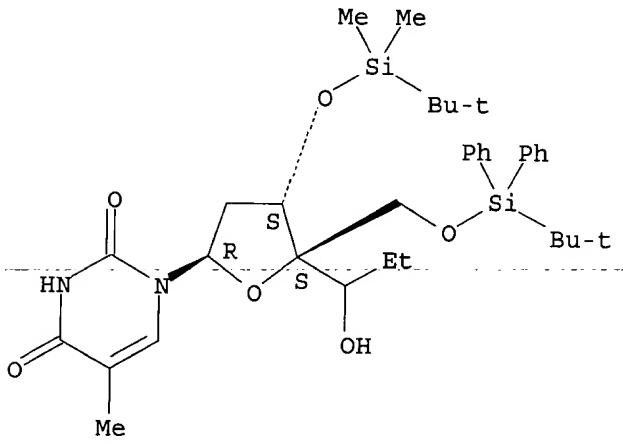
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-C-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

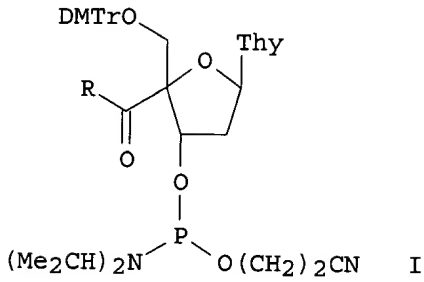


RN 197070-48-7 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-(1-hydroxypropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS
AN 1996:689002 CAPLUS
DN 126:19159
TI Synthesis of 4'-C-acylated thymidines
AU Marx, Andreas; Erdmann, Peter; Senn, Martin; Koerner, Steffi; Jungo, Tobias; Petretta, Mario; Imwinkelried, Petra; Dussy, Adrian; Kulicke, Klaus J.; et al.
CS Departement Chemie, Universitaet Basel, Basel, CH-4056, Switz.
SO Helvetica Chimica Acta (1996), 79(7), 1980-1994
CODEN: HCACAV; ISSN: 0018-019X
PB Verlag Helvetica Chimica Acta
DT Journal
LA English
OS CASREACT 126:19159
GI



I

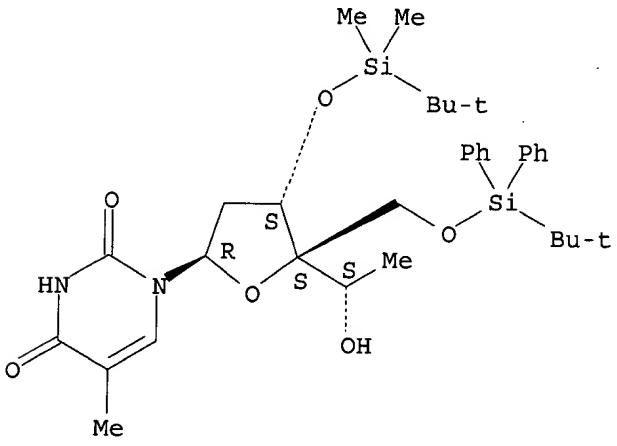
AB Two synthetic pathways towards 4'-C-acylthymidines are presented. These modified mononucleosides are precursors of the 2'-deoxyribonucleotide 4'-C-radical. They were converted into their corresponding 3'-O-[(2-cyanoethyl)-N,N-diisopropylphosphoramidites] I (R = Me, Ph, CMe3; Thy = thymidyl; DMTr = 4,4'-dimethoxytrityl) and were incorporated in **oligonucleotides** by solid-phase synthesis. The structure of some modified nucleosides was revealed by x-ray crystal-structure anal.

IT **183892-39-9P 183892-40-2P 183892-41-3P**
183892-42-4P 183892-43-5P 183892-51-5P
183892-52-6P 183892-53-7P 183892-54-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of C-acylated thymidines and incorporation in **oligonucleotides**)

RN 183892-39-9 CAPLUS

CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-[(1S)-1-hydroxyethyl]- (9CI) (CA INDEX NAME)

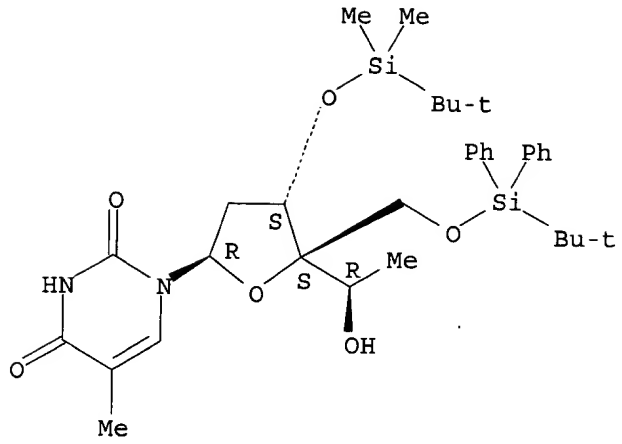
Absolute stereochemistry.



RN 183892-40-2 CAPLUS

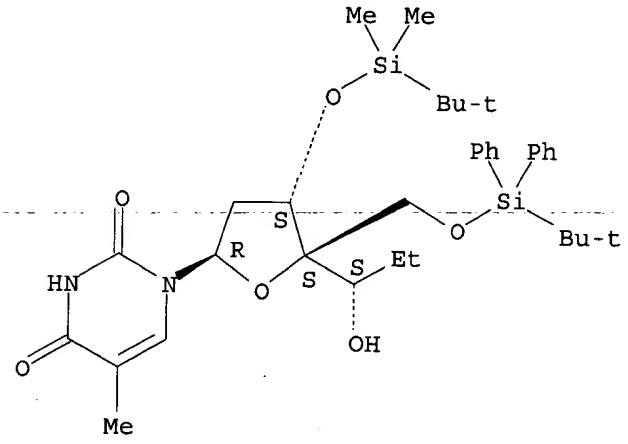
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-[(1R)-1-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



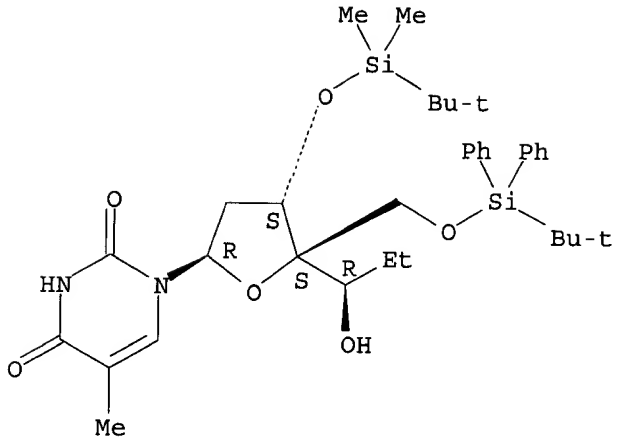
RN 183892-41-3 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-[(1S)-1-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



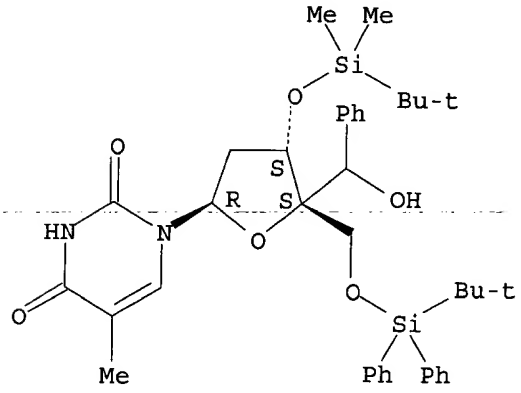
RN 183892-42-4 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-[(1R)-1-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



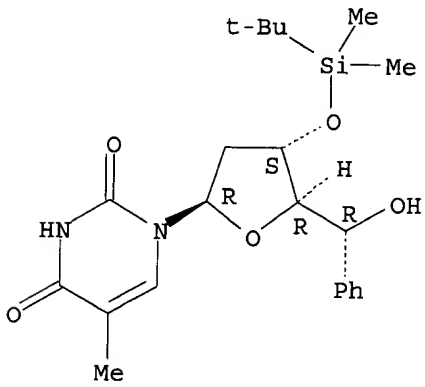
RN 183892-43-5 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-(hydroxyphenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



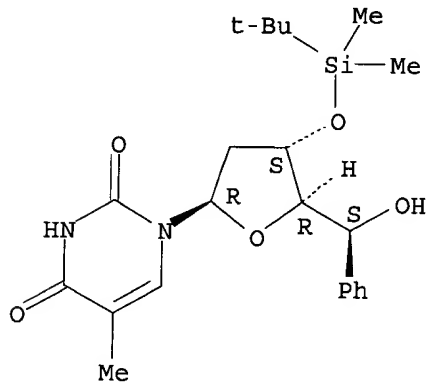
RN 183892-51-5 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-C-phenyl-, (5'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



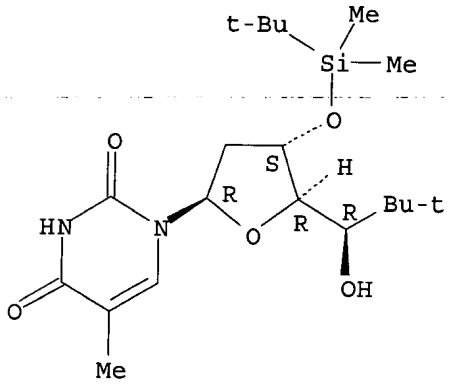
RN 183892-52-6 CAPLUS
CN Thymidine, 3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5'-C-phenyl-, (5'S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183892-53-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[2,6,7-trideoxy-3-O-[(1,1-dimethylethyl)dimethylsilyl]-6,6-dimethyl-.beta.-D-ribo-heptofuranosyl]-(9CI) (CA INDEX NAME)

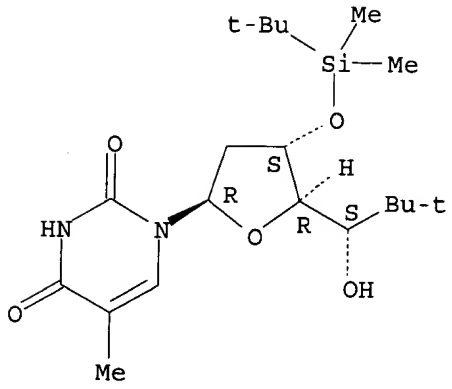
Absolute stereochemistry.



RN 183892-54-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[2,6,7-trideoxy-3-O-[(1,1-dimethylethyl)dimethylsilyl]-6,6-dimethyl-.alpha.-L-lyxo-heptofuranosyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

09567863



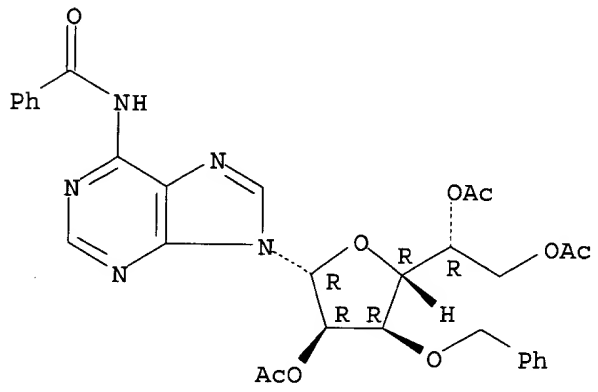
L21 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2003 ACS
AN 1996:667077 CAPLUS
DN 126:16174
TI Alteration of DNA Primary Structure by DNA Topoisomerase I. Isolation of the Covalent Topoisomerase I-DNA Binary Complex in Enzymically Competent Form
AU Henningfeld, Kristine A.; Arslan, Tuncer; Hecht, Sidney M.
CS Department of Chemistry, University of Virginia, Charlottesville, VA, 22901, USA
SO Journal of the American Chemical Society (1996), 118(47), 11701-11714
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
AB DNA ligation by DNA topoisomerase I was investigated employing synthetic DNA substrates contg. a single strand nick. Site-specific cleavage of the DNA by topoisomerase I in proximity to the nick resulted in uncoupling of the cleavage and ligation reactions of the enzyme, thereby trapping the covalent enzyme-DNA intermediate. DNA cleavage could be reversed by the addn. of acceptor **oligonucleotides** contg. a free 5'-OH group and capable of hybridizing to the noncleaved strand of the "suicide substrates". Utilizing acceptors with partial complementarity, modification of nucleic acid structure has been obtained. Modifications included the formation of DNA insertions, deletions, and mismatches. To further evaluate the potential of topoisomerase I to mediate structural transformations of DNA, acceptor **oligonucleotides** contg. nucleophiles other than OH groups at the 5'-end were studied as substrates for the topoisomerase I-mediated ligation reaction. Toward this end, **oligonucleotides** contg. 5'-thio, amino, and hydroxymethylene moieties were synthesized. Initial investigations utilizing a coupled cleavage-ligation assay suggested that only the modified acceptor contg. an addnl. methylene group underwent efficient enzyme-mediated ligation. However, as linear DNA is not a preferred substrate for topoisomerase I, the enzyme-DNA intermediate was purified to homogeneity, thereby allowing investigation of the ligation reaction independent of the forward reaction that formed the covalent binary complex. The isolated complex consisted of equimolar enzyme and DNA, with topoisomerase I covalently bound to a specific site on the DNA duplex in an enzymically competent form. Displacement of the enzyme-linked tyrosine moiety of the enzyme-DNA binary complex was effected by all the modified acceptor **oligonucleotides**, affording unnatural internucleosidicd linkages at a specific site. Characterization of the formed linkages was effected both by enzymic and chem. degrdn. studies. Comparative anal. revealed overall differences in the efficiency and rate of the topoisomerase I-mediated ligation of the modified acceptors. Moreover, the facility of ligation of the amino

acceptor was significantly enhanced at increasing pH values. In addn., the method utilized to obtain the topoisomerase I-DNA intermediate is capable of affording large quantities required for further mechanistic and physicochem. characterization of the formed binary complex.

IT **184229-60-5P 184229-61-6P 184229-62-7P 184229-63-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(alteration of DNA primary structure by DNA topoisomerase I - isolation of the covalent topoisomerase I-DNA binary complex in enzymically competent form)

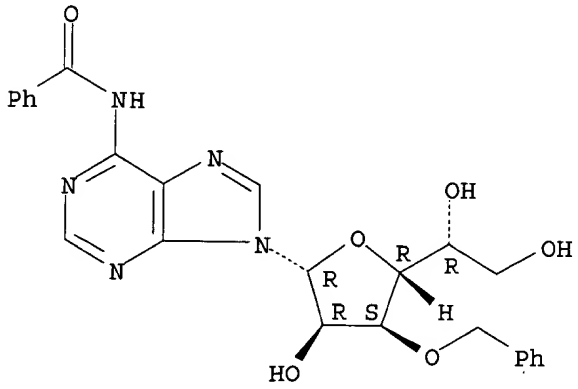
RN 184229-60-5 CAPLUS
CN Benzamide, N-[9-[2,5,6-tri-O-acetyl-3-O-(phenylmethyl)-.beta.-D-allofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 184229-61-6 CAPLUS
CN Benzamide, N-[9-[3-O-(phenylmethyl)-.beta.-D-allofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

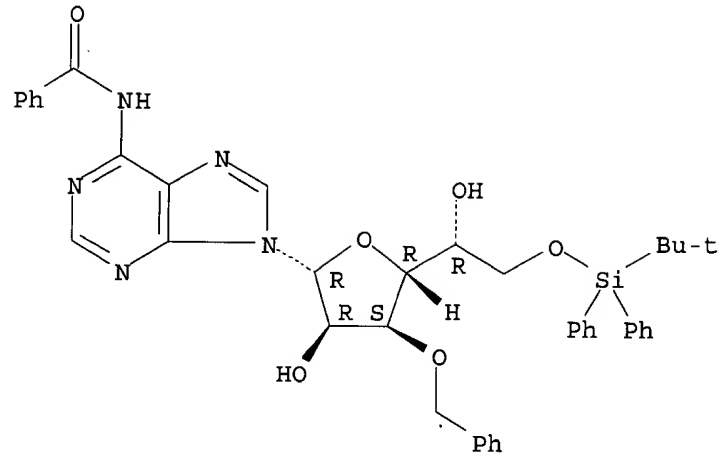
Absolute stereochemistry.



RN 184229-62-7 CAPLUS
CN Benzamide, N-[9-[6-O-[(1,1-dimethylethyl)diphenylsilyl]-3-O-(phenylmethyl)-.beta.-D-allofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

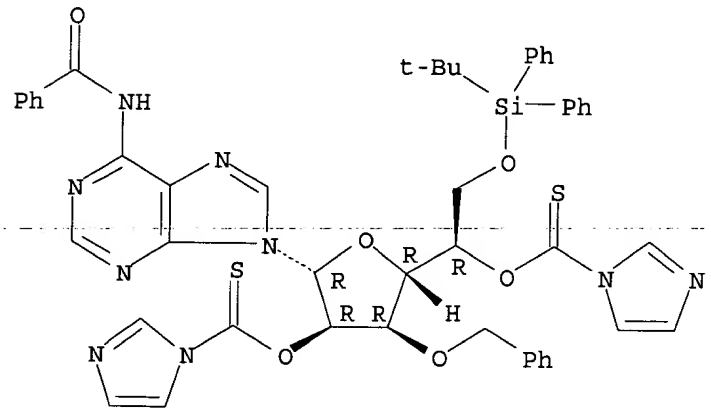
Absolute stereochemistry.

09567863



RN 184229-63-8 CAPLUS
CN Benzamide, N-[9-[6-O-[(1,1-dimethylethyl)diphenylsilyl]-2,5-bis-O-(1H-imidazol-1-ylthioxomethyl)-3-O-(phenylmethyl)-.beta.-D-allofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS
AN 1995:909574 CAPLUS
DN 123:330000
TI Ribozymes in method for inhibiting the expression of disease-related genes
IN Stinchcomb, Dan T.; Chowrira, Bharat; Direnzo, Anthony; Draper, Kenneth G.; Dudycz, Lech W.; Grimm, Susan; Karpeisky, Alexander; Kisich, Kevin; Matulic-Adamic, Jasenka; McSwiggen, James A.; Woolf, Tod; Modak, Anil; Pavco, Pamela; Sullivan, Sean M.; Sweedler, David; Tracz, Danuta; Usman, Nassim; Beigelman, Leonid; Thompson, James D,.; Wincott, Francine E.
PA Ribozyme Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 405 PP.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 33

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9523225	A2	19950831	WO 1995-IB156	19950223
	WO 9523225	A3	19960201		

W: AU, CA, JP, KR, MX

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

JP	09509323	T2	19970922	JP 1995-522236	19950223
US	5686599	A	19971111	US 1995-432876	19950502
US	6469158	B1	20021022	US 1995-433218	19950502
US	5631360	A	19970520	US 1995-435232	19950505
US	5804683	A	19980908	US 1995-435113	19950505
US	5985621	A	19991116	US 1996-710113	19960912
US	5837855	A	19981117	US 1996-773297	19961223
US	5977343	A	19991102	US 1997-911869	19970815
US	5831071	A	19981103	US 1997-919568	19970829
AU	9851819	A1	19980611	AU 1998-51819	19980112
AU	729657	B2	20010208		
US	6022962	A	20000208	US 1998-98293	19980616
US	6353098	B1	20020305	US 1998-99083	19980617
AU	9939188	A1	19990916	AU 1999-39188	19990713
US	6437117	B1	20020820	US 1999-363238	19990727
US	6365374	B1	20020402	US 1999-376687	19990818
US	2002197684	A1	20021226	US 2002-104956	20020321

PRAI	US 1994-201109	A	19940223
	US 1994-218934	A	19940329
	US 1994-222795	A	19940404
	US 1994-224483	A	19940407
	US 1994-227958	A	19940415
	US 1994-228041	A	19940415
	US 1994-245736	A	19940518
	US 1994-271280	A	19940706
	US 1994-291932	A	19940815
	US 1994-291433	A	19940816
	US 1994-292620	A	19940817
	US 1994-293520	A	19940819
	US 1994-300000	A	19940902
	US 1994-303039	A	19940908
	US 1994-311486	A	19940923
	US 1994-311749	A	19940923
	US 1994-314397	A	19940928
	US 1994-316771	A	19941003
	US 1994-319492	A	19941007
	US 1994-321993	A	19941011
	US 1994-334847		19941104
	US 1994-337608		19941110
	US 1994-345516		19941128
	US 1994-357577		19941216
	US 1994-363233		19941223

US 1995-380734		19950130
US 1992-882822	A1	19920514
US 1992-884436	B2	19920514
US 1992-987132	B2	19921207
US 1992-989849	B2	19921207
US 1993-8895	B2	19930119
US 1993-22145	A	19930225
WO 1993-US6313	A	19930702
CN 1993-116487	A	19930713
US 1993-143832	B2	19931027
US 1993-167586	B2	19931214
US 1994-193922	B2	19940207
WO 1994-US1972	W	19940225
US 1994-245466	B2	19940518
WO 1995-IB156	W	19950223
US 1995-432876	A1	19950502
US 1995-434559	B1	19950502
AU 1995-26422	A3	19950518
US 1996-623891	A	19960325
US 1996-710113	A1	19960912
US 1996-773297	A1	19961223
US 1997-911869	A1	19970815
US 1997-919568	A1	19970829
US 1999-376687	A1	19990818

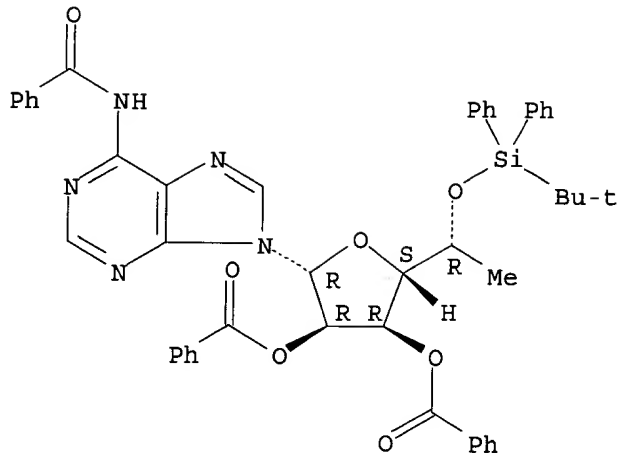
AB Enzymic RNA mols. which cleave ICAM-I mRNA, IL-5 mRNA, rel A mRNA, TNF-.alpha. mRNA, RSV mRNA or RSV genomic RNA, or CML assocd. mRNA, and use of these mols. for the treatment of pathol. conditions related to those mRNA-levels; ribonucleosides or nucleotides modified in 2', 3' or 5', methods for their synthesis, purifn. and deprotection; vectors contg. multiple enzymic nucleic acids, optionally in chimeric form with tRNAs; method for introducing enzymic nucleic acids into cells by forming a complex with a second nucleic acid, where the complex is capable of taking an R-loop base-paired structure; method for altering a mutant nucleic acid in vivo by hybridization with an **oligonucleotide** capable of activating dsRNA deaminase, comprising an enzymic activity or a chem. mutagen. Further are disclosed trans-cleaving or -ligating hairpin ribozymes lacking a substrate RNA moiety, as well as hammerhead ribozymes having an interconnecting loop between base pairs in stem II.

IT **170024-57-4P 170024-59-6P 170024-60-9P**
170024-61-0P 170024-65-4P 170112-57-9P
170112-58-0P 170112-59-1P 170112-60-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(ribozymes in method for inhibiting expression of disease-related genes)

RN 170024-57-4 CAPLUS

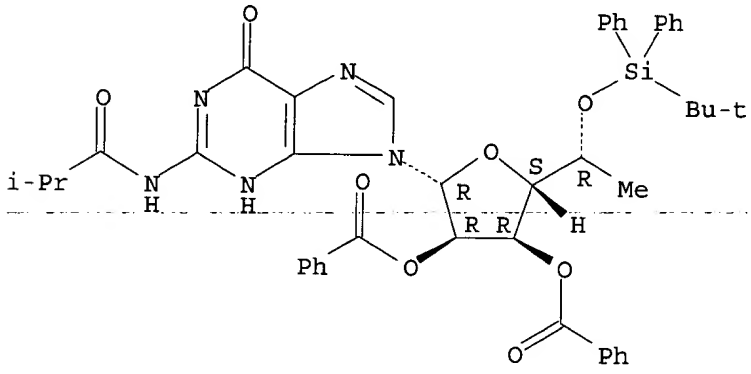
CN Benzamide, N-[9-[2,3-di-O-benzoyl-6-deoxy-5-O-[(1,1-dimethylethyl)diphenylsilyl]-.beta.-D-allofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



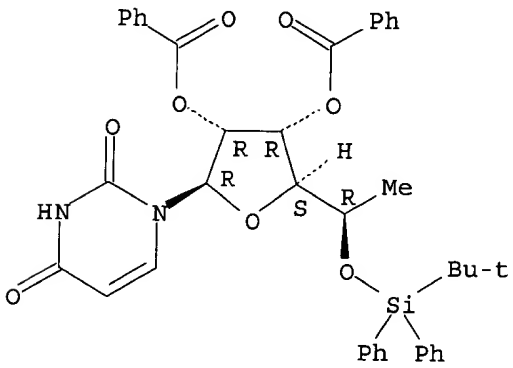
RN 170024-59-6 CAPLUS
CN Propanamide, N-[9-[2,3-di-O-benzoyl-6-deoxy-5-O-[(1,1-dimethylethyl)diphenylsilyl]-.beta.-D-allofuranosyl]-6,9-dihydro-6-oxo-1H-purin-2-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 170024-60-9 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,3-di-O-benzoyl-6-deoxy-5-O-[(1,1-dimethylethyl)diphenylsilyl]-.beta.-D-allofuranosyl]- (9CI) (CA INDEX NAME)

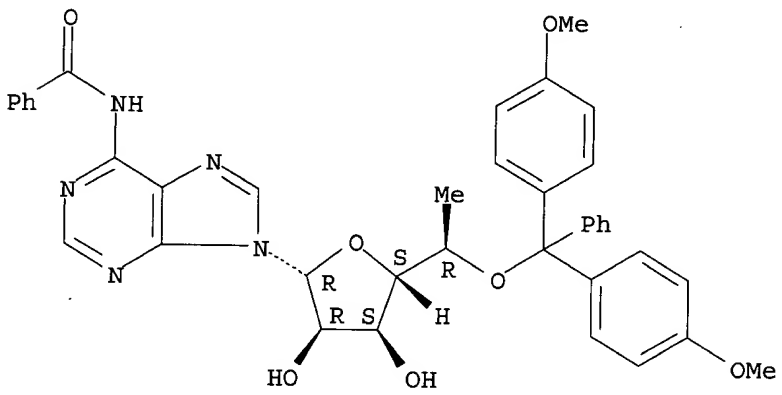
Absolute stereochemistry.



09567863

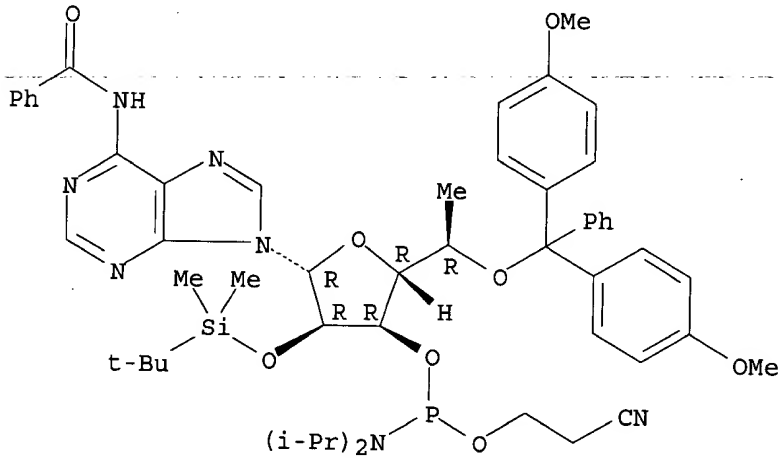
RN 170024-61-0 CAPLUS
CN Benzamide, N-[9-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-6-deoxy-.beta.-D-allofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



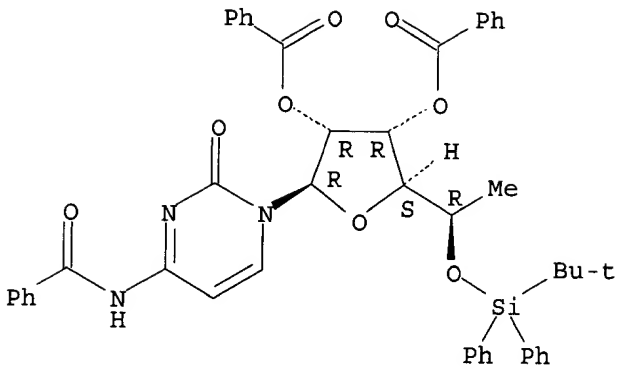
RN 170024-65-4 CAPLUS
CN Benzamide, N-[9-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-6-deoxy-2-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-allofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



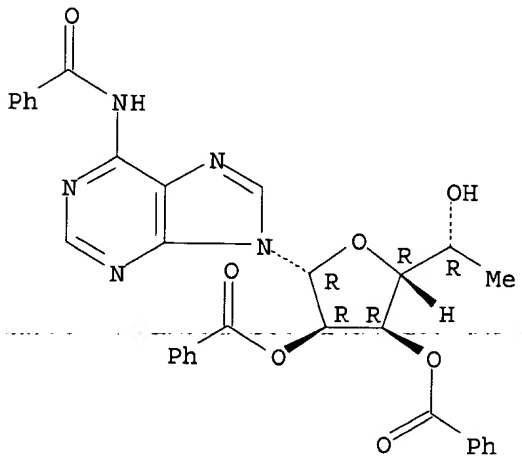
RN 170112-57-9 CAPLUS
CN Benzamide, N-[1-[2,3-di-O-benzoyl-6-deoxy-5-O-[(1,1-dimethylethyl)diphenylsilyl]-.beta.-D-allofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



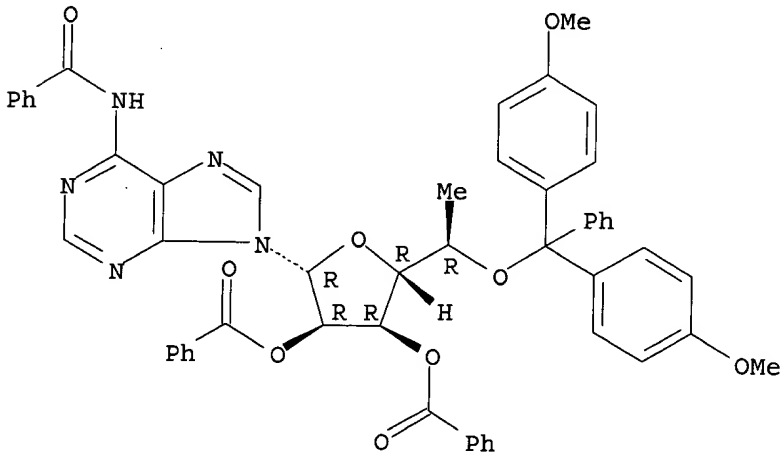
RN 170112-58-0 CAPLUS
CN Benzamide, N-[9-(2,3-di-O-benzoyl-6-deoxy-.beta.-D-allofuranosyl)-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 170112-59-1 CAPLUS
CN Benzamide, N-[9-[2,3-di-O-benzoyl-5-O-[bis(4-methoxyphenyl)phenylmethyl]-6-deoxy-.beta.-D-allofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

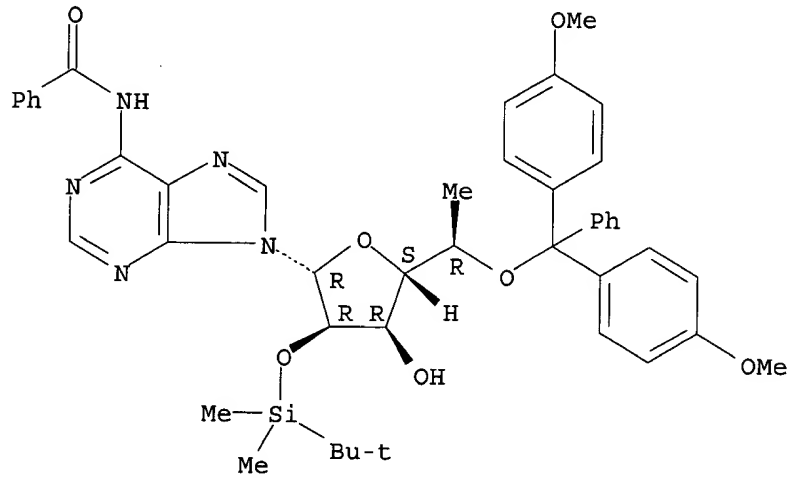
Absolute stereochemistry.



09567863

RN 170112-60-4 CAPLUS
CN Benzamide, N-[9-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-6-deoxy-2-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-allofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

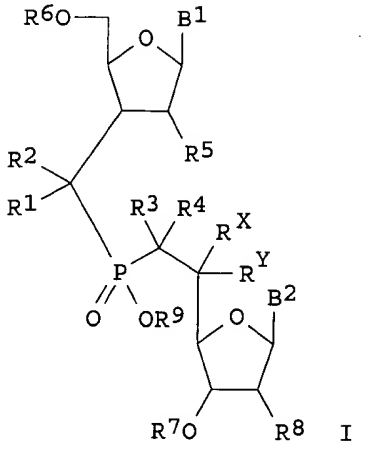
Absolute stereochemistry.



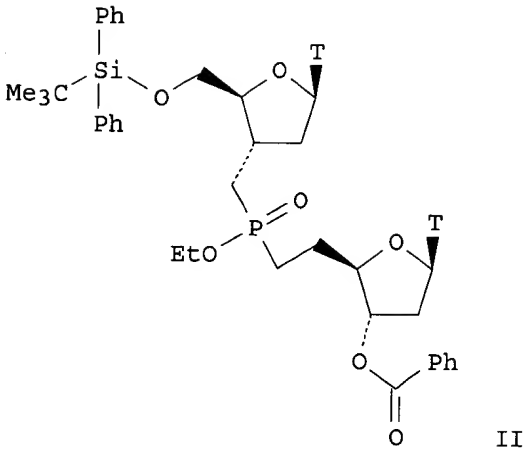
L21 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2003 ACS
AN 1995:777638 CAPLUS
DN 123:228784
TI Preparation of dinucleotide and **oligonucleotide** analogs useful as drugs and diagnostics.
IN Baxter, Anthony David; Baylis, Eric Keith; Collingwood, Stephen Paul; Taylor, Roger John; De Mesmaeker, Alain; Schmit, Chantal
PA Ciba-Geigy A.-G., Switz.
SO Eur. Pat. Appl., 73 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 614907	A1	19940914	EP 1994-301443	19940301
	R: AT, BE, CH, DE, DK, ES, FR, GB, IE, IT, LI, LU, NL, PT, SE				
	US 5466677	A	19951114	US 1994-204020	19940228
	ZA 9401527	A	19940906	ZA 1994-1527	19940304
	CA 2117009	AA	19940907	CA 1994-2117009	19940304
	AU 9457590	A1	19940908	AU 1994-57590	19940304
	AU 675104	B2	19970123		
	JP 08003185	A2	19960109	JP 1994-58381	19940304
	US 5670489	A	19970923	US 1995-463139	19950602
PRAI	GB 1993-4618		19930306		
	US 1994-204020		19940228		

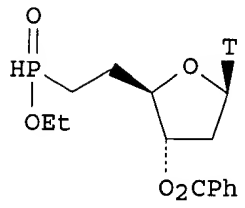
OS MARPAT 123:228784
GI



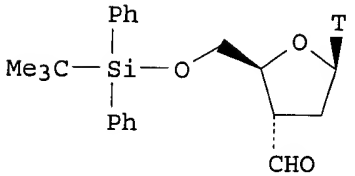
I



II



III



IV

AB Title compds. [I; B1, B2 = nucleoside base; R1 = R1a, Z; R1a, R2, R3, R4 = H, halo, OH; R5 = R5a, Z; R6 = H, R6a; R7 = H, alkyl-N,N-dialkylphosphoramidyl, R7a; R8 = R8a, Z; R8R7O = isopropylidenedioxy; R5a, R8a = H, halo, OH, OR10, OCOR10, trihydrocarbylsilyloxy; R6a, R7a = aliphatyl, aryl, araliphatyl, COR11, SO2R11, trihydrocarbylsilyl; R9 = H, aliphatyl, cycloaliphatyl, aryl, araliphatyl, alkali metal, ammonium; R10, R11 = aliphatyl, cycloaliphatyl, aryl, araliphatyl; Rx, Ry = H, halo, OH, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, alkoxy, alkenyloxy, aryloxy, (substituted) aralkoxy, OCORz; Rz = (substituted) alkyl, alkenyl, cycloalkyl, aryl, aralkyl; Z = (substituted) aryloxythiocarbonyloxy], and **oligonucleotides** contg. I, were prepd. Thus, title compd. (II; T = 1-thyminyl), prepd. via coupling of phosphinate III with aldehyde IV in THF in the presence of DBU, inhibited human cytomegalovirus with IC50 <10 .mu.M. **Oligonucleotides** contg. I were prepd. and hybridized with their complimentary RNA sequences; they are resistant to nucleases and are suitable for antisense technol.

IT **167398-84-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

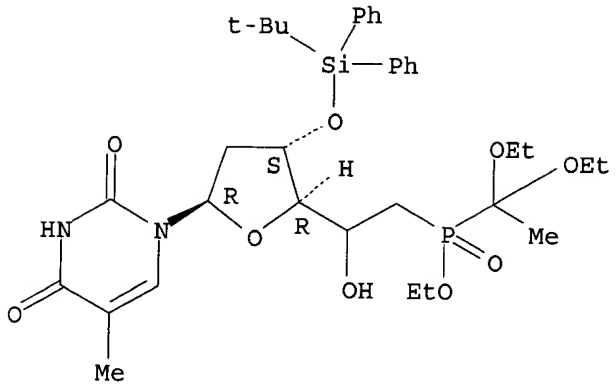
(prepn. of dinucleotide and **oligonucleotide** analogs useful as drugs and diagnostics)

RN 167398-84-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,6-dideoxy-6-[(1,1-diethoxyethyl)ethoxyphosphinyl]-3-O-[(1,1-dimethylethyl)diphenylsilyl]-.beta.-D-erythro-hexofuranosyl]-5-methyl-, (5'.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09567863



L21 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2003 ACS
AN 1995:763497 CAPLUS
DN 123:286527
TI Preparation of novel 5'-substituted nucleosides and antisense oligomers produced therefrom
IN Saha, Ashis Kumar
PA Sterling Winthrop Inc., USA
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9422890	A1	19941013	WO 1994-US2993	19940321
	W: AU, BR, CA, CZ, FI, HU, JP, KR, NO, NZ, RU, SK, UA				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2159632	AA	19941013	CA 1994-2159632	19940321
	AU 9464492	A1	19941024	AU 1994-64492	19940321
	EP 691979	A1	19960117	EP 1994-912265	19940321
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 08508490	T2	19960910	JP 1994-522131	19940321
PRAI	US 1993-40750		19930331		
	WO 1994-US2993		19940321		

OS MARPAT 123:286527
GI

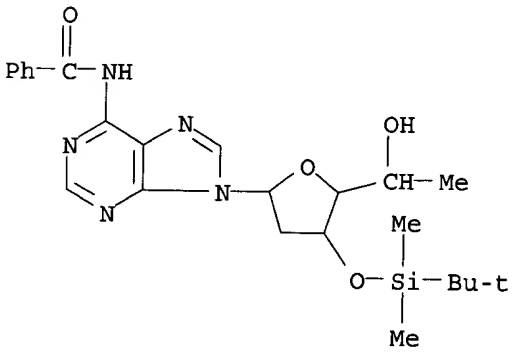
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Disclosed are novel 5'-substituted nucleosides and **oligonucleotide** analog compds. prepd. therefrom having 2-60 bases and having an internucleoside backbone contg. one or more 3'-OP(O)(OH)O-5'-CR1R2 (R1, R2 = H, OH, alkyl, alkenyl, cycloalkyl, etc.) internucleoside linkages instead of the naturally occurring backbone of phosphodiester internucleoside linkages. Said 5'-substituted nucleosides are represented by a general formula [I; Q = H, (un)protected OH, NHR, CHO, phosphate, alkyl, alkenyl, alkoxy, alkenyloxy, OCH2Ph, aminoalkyl, N3, etc.; L = OP(OCH2CH2CN)N(iso-Pr)2, H, OH, NHR, phosphate, alkyl, alkenyl, alkoxy, alkenyloxy, aminoalkyl, aminoalkoxy, N3, halo, epoxyethyl, phosphonium salt, phosphonate, Me3CSiMe2; R = H, OZ, SZ, NHZ; wherein Z = H, alkyl, alkenyl, aryl, Ac, protecting group for O, S, and N; R1, R2 = H, OH, alkyl, alkenyl, cycloalkyl, epoxyethyl, aminoalkyl, aminoalkoxy, alkoxy,

alkenyloxy; R3, R4 = H, alkyl, alkenyl, alkoxy, alkenyloxy; E = group listed in L except Me3CSiMe2; n = 0, 1-4; B = optionally modified adenine, cytosine, guanine, thymine, or uracil]. Said antisense **oligonucleotides** contg. nucleosides I are represented by a general formula [II; Q, L, R - R4, B, E, n = same as above; W = 3'-OP(O)(OH)O-5'-CR1R2 or a natural phosphodiester internucleoside linkage, provided that at least one W = 3'-OP(O)(OH)O-5'-CR1R2; q = 0, 1-60]. A method of synthesizing **oligonucleotide** compds. II having 2-60 bases and having an internucleoside backbone contg. one or more 3'-OP(O)(OH)O-5'-CR1R2 internucleoside linkages instead of the naturally occurring backbone of phosphodiester internucleoside linkages comprises prepn. of 5'-substituted nucleoside compds. I and utilizes them as synthons in automated DNA synthesizers. **Oligonucleotide** analogs II inhibit the expression of a gene by hybridizing to a nucleotide sequence of the gene and are useful as nuclease-resistant, sequence specific antisense compds. Thus, 5'-TTTTTTTTTT*T-3' (* signifies the location of a 5'-Me phosphodiester bond) was prepd. by using a DNA synthesizer (Applied Biosystems model 380B) and a 2'-deoxy-5'-methylthymidine phosphoramidite deriv. [(5'RS)-III] (prepn. given). This 11-mer was rapidly digested in 10% fetal calf serum which serves as a source of 3'->5' exonuclease activity to give an extremely stable 10-mer as the result of cleavage of the 3'-terminal thymidylate residue by the enzyme. The 10-mer remained undigested for up to 120 min in the presence of the serum. The 3'-modification provides protection to the remaining oligomer against further digestion by inhibiting the activity of the exonuclease in the serum. It is concluded that the Me group present at the 5' position of the sugar moiety interferes with the hydrolysis of the phosphodiester bond by the nuclease enzyme.

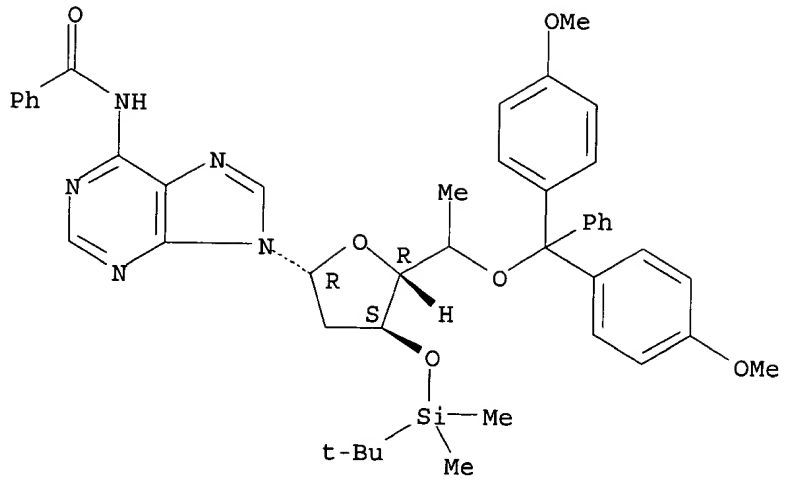
IT **167080-26-4P 167080-27-5P 167080-28-6P**
167080-29-7P 167080-30-0P 167080-31-1P
167080-32-2P 167080-33-3P 169275-36-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for prepn. of novel 5'-substituted nucleosides and antisense **oligonucleotides** produced therefrom)

RN 167080-26-4 CAPLUS
CN Benzamide, N-[9-[2,6-dideoxy-3-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-erythro-hexofuranosyl]-9H-purin-6-yl]-, (5'.xi.)- (9CI) (CA INDEX NAME)



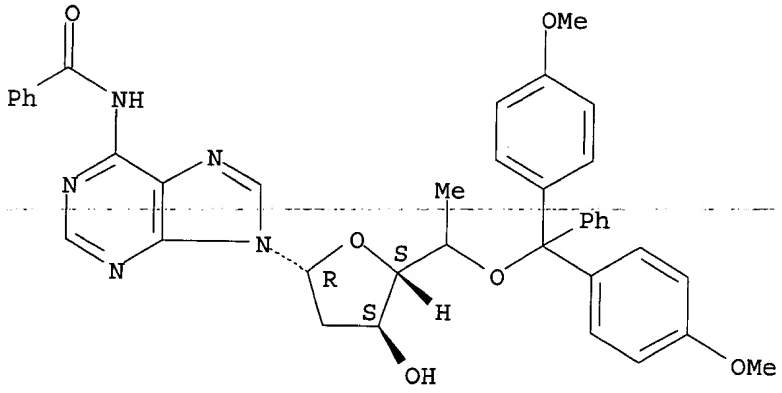
RN 167080-27-5 CAPLUS
CN Benzamide, N-[9-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-2,6-dideoxy-3-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-erythro-hexofuranosyl]-9H-purin-6-yl]-, (5'.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



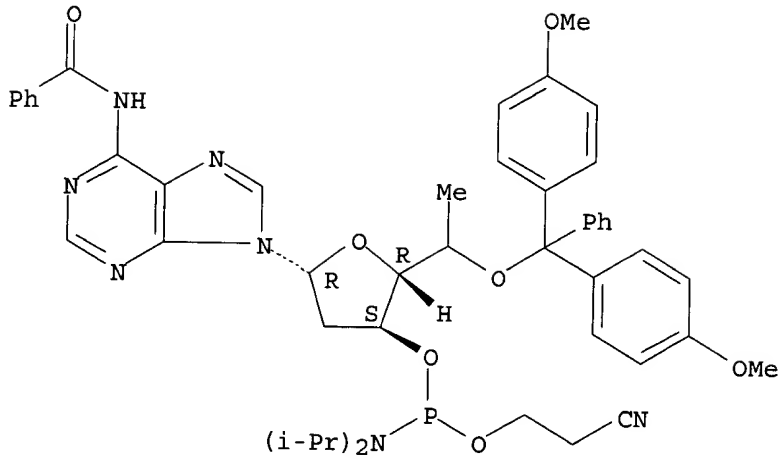
RN 167080-28-6 CAPLUS
CN Benzamide, N-[9-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-2,6-dideoxy-.beta.-D-erythro-hexofuranosyl]-9H-purin-6-yl]-, (5'.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



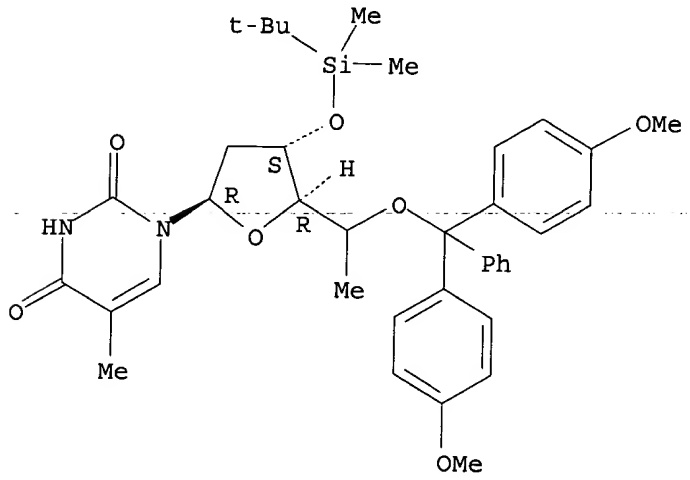
RN 167080-29-7 CAPLUS
CN Benzamide, N-[9-[(5.xi.)-5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2,6-dideoxy-.beta.-D-erythro-hexofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



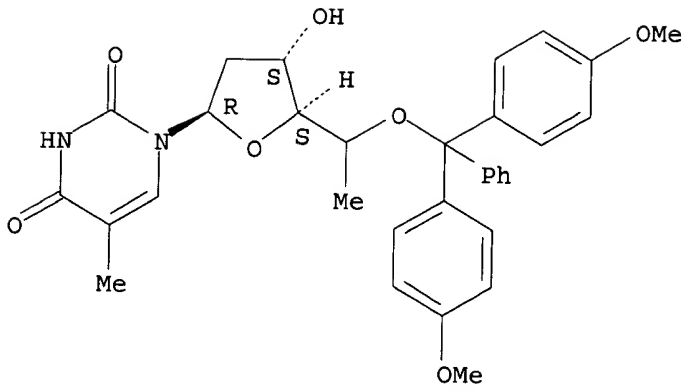
RN 167080-30-0 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-2,6-dideoxy-3-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-erythro-hexofuranosyl]-5-methyl-, (5'.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



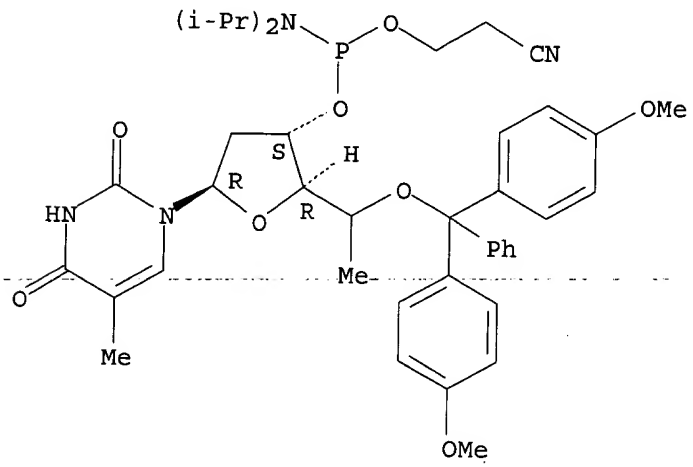
RN 167080-31-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-2,6-dideoxy-.beta.-D-erythro-hexofuranosyl]-5-methyl-, (5'.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



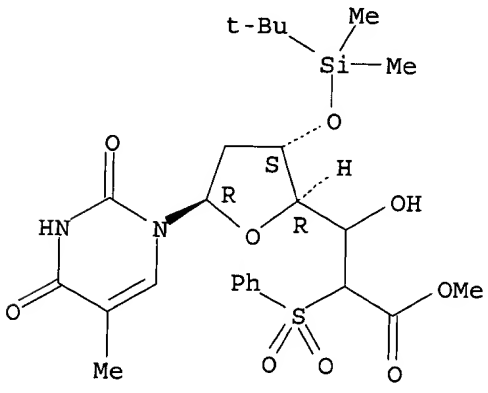
RN 167080-32-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2,6-dideoxy-.beta.-D-erythro-hexofuranosyl]-5-methyl-, (5'.xi.)- (9CI) (CA INDEX NAME)

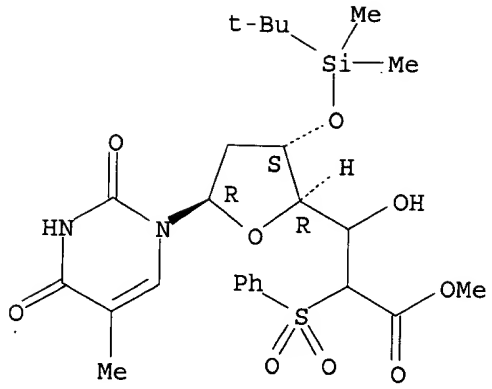
Absolute stereochemistry.



RN 167080-33-3 CAPLUS
CN .beta.-D-erythro-Heptofuranuronic acid, 1,2,6-trideoxy-1-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-3-O-[(1,1-dimethylethyl)dimethylsilyl]-6-(phenylsulfonyl)-, methyl ester, (5.xi.,6.xi.)- (9CI) (CA INDEX NAME)

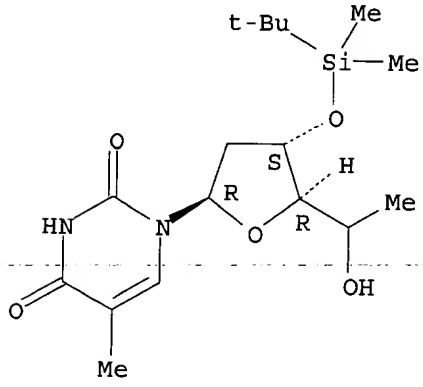
Absolute stereochemistry.





RN 169275-36-9 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,6-dideoxy-3-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-erythro-hexofuranosyl]-5-methyl-, (5.xi.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



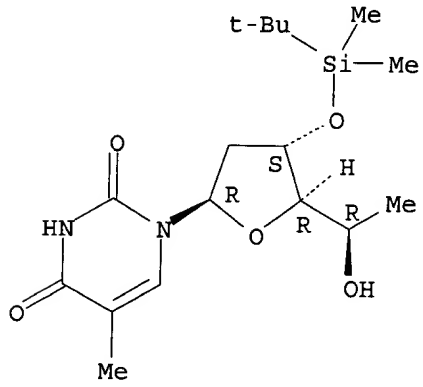
L21 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2003 ACS
AN 1995:364549 CAPLUS
DN 123:228761
TI 5'-Methyl-DNA-A New **Oligonucleotide** Analog: Synthesis and Biochemical Properties
AU Saha, Ashis K.; Waychunas, Cheryl; Caulfield, Thomas J.; Upson, Donald A.; Hobbs, Cheryl; Yawman, Anne M.
CS Sterling Winthrop Pharmaceuticals Research Division, Sterling Winthrop, Collegeville, PA, 19426, USA
SO Journal of Organic Chemistry (1995), 60(4), 788-9
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
AB Analogs of antisense oligodeoxyribonucleotides are of interest as potential antiviral, antibacterial and anti-cancer agents. Various phosphodiester mimicks have been evaluated, however, each has limitations. We describe a new modification, 3'-OP(O2)-O-CH(CH3)-5' as a promising new internucleoside linkage. Building blocks for 5'-methyl-DNA are readily prepd. for incorporation in automated DNA synthesis. Incorporation of this linkage (up to three consecutive substitutions) leads to almost no loss in binding affinity (DNA/DNA .DELTA.Tm +/- 0.2.degree.C). These

results contrast with 1-3.degree.C drop in Tm per phosphorothioate or Me phosphonate backbone incorporation. Importantly, these linkages are also stable to degrdn. by 3'-exonucleases.

IT **135585-51-2P 135585-52-3P 167700-46-1P 167700-47-2P 167934-46-5P 167934-47-6P 167934-48-7P 167934-49-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Merrifield synthesis and exonuclease resistance of 5'-Me oligodeoxyribonucleotides)

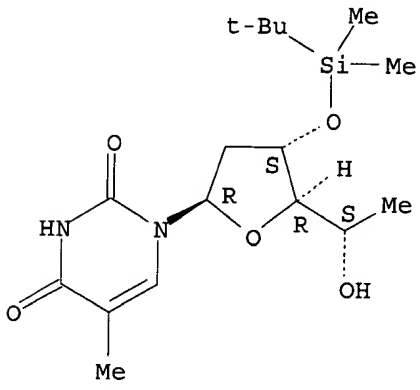
RN 135585-51-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,6-dideoxy-3-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-ribo-hexofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



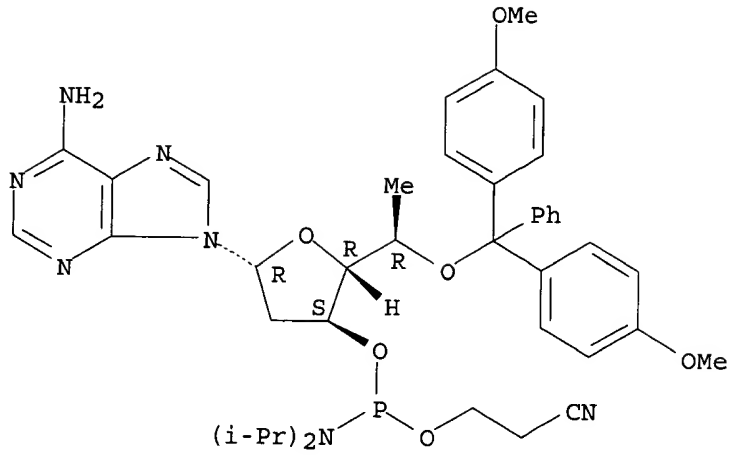
RN 135585-52-3 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,6-dideoxy-3-O-[(1,1-dimethylethyl)dimethylsilyl]-.alpha.-L-lyxo-hexofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



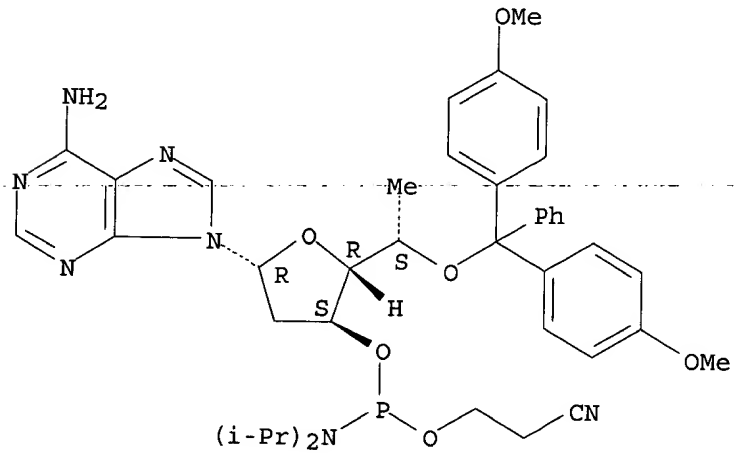
RN 167700-46-1 CAPLUS
CN 9H-Purin-6-amine, 9-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2,6-dideoxy-.beta.-D-ribo-hexofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



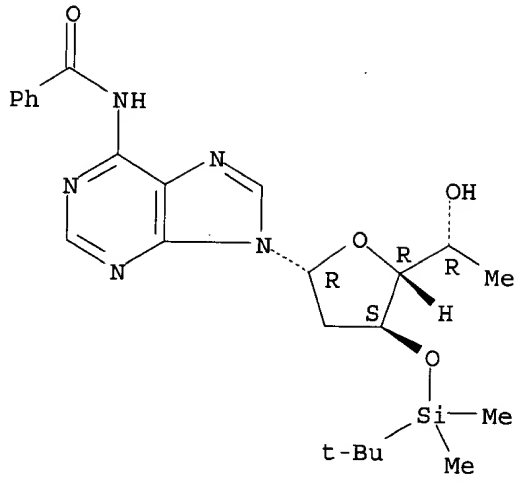
RN 167700-47-2 CAPLUS
CN 9H-Purin-6-amine, 9-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2,6-dideoxy-.alpha.-L-lyxo-hexofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



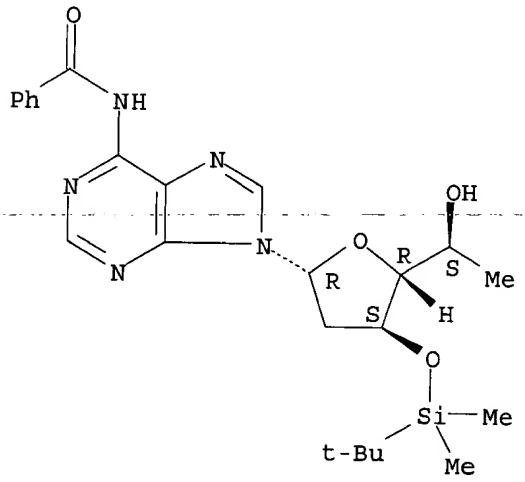
RN 167934-46-5 CAPLUS
CN Benzamide, N-[9-[2,6-dideoxy-3-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-ribo-hexofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 167934-47-6 CAPLUS
CN Benzamide, N-[9-[2,6-dideoxy-3-O-[(1,1-dimethylethyl)dimethylsilyl]-.alpha.-L-lyxo-hexofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

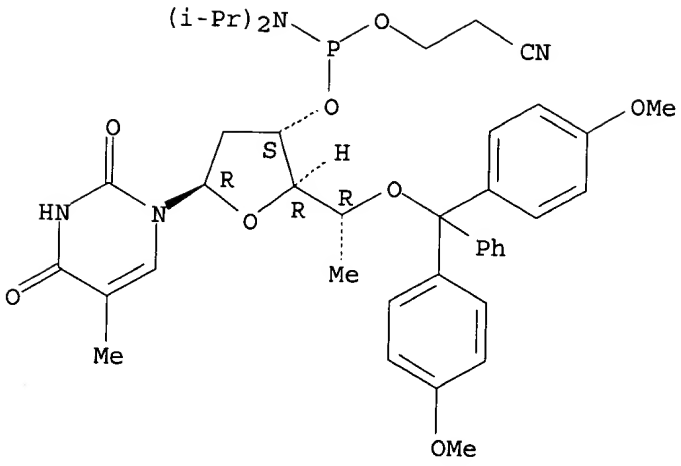
Absolute stereochemistry.



RN 167934-48-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2,6-dideoxy-.beta.-D-ribo-hexofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

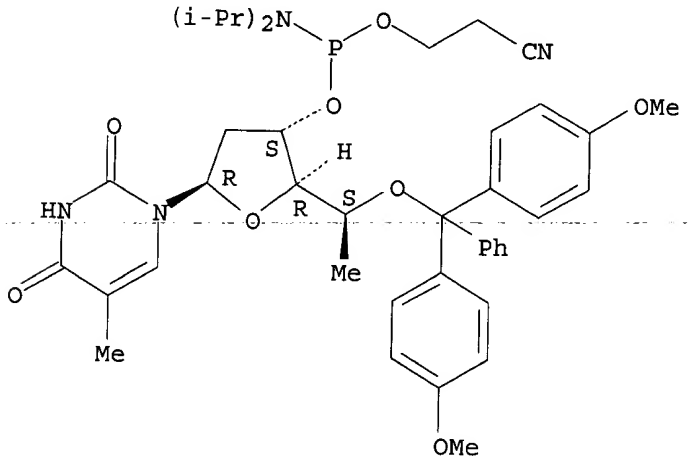
Absolute stereochemistry.

09567863



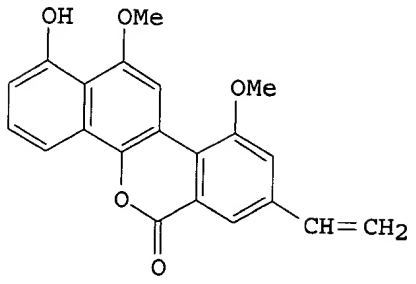
RN 167934-49-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2,6-dideoxy-.alpha.-L-lyxo-hexofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS
AN 1987:210129 CAPLUS
DN 106:210129
TI Light-induced modifications of DNA by gilvocarcin V and its aglycone
AU Tse-Dinh, Yuk Ching; McGee, Lawrence R.
CS Cent. Res. Dev. Dep., E. I. du Pont de Nemours and Co., Wilmington, DE, 19898, USA
SO Biochemical and Biophysical Research Communications (1987), 143(3), 808-12
CODEN: BBRCA9; ISSN: 0006-291X
DT Journal
LA English
GI

09567863



I

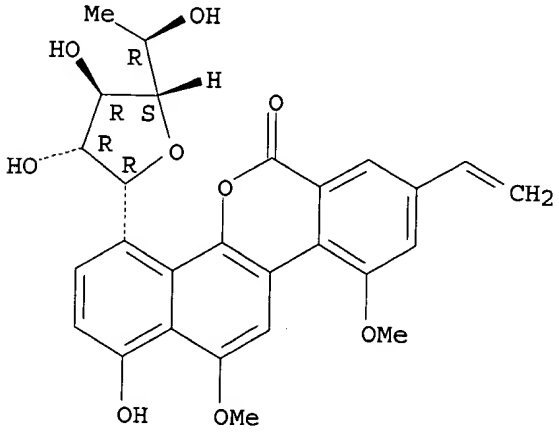
AB Gilvocarcins are antitumor agents that have been reported to damage DNA upon activation by visible light. This activation is dependent on interaction with DNA. Here, it is shown that gilvocarcin V (I) and its synthetic aglyclone analog (II) can both introduce single-strand scission into plasmid DNA. Light irradn. is required for the reaction. The binding of I V to plasmid DNA in the absence of light decreased the DNA linking no. in a fashion similar to known intercalating agents such as ethidium bromide. The use of **oligonucleotides** as substrates for I demonstrated that 1 of the steps of the reaction following binding of I to DNA involves covalent modification at thymidine and to a lesser extent, cytosine residues.

IT **77879-90-4**, Gilvocarcin V
RL: BIOL (Biological study)
(DNA damage from light and)

RN 77879-90-4 CAPLUS

CN 6H-Benzo[d]naphtho[1,2-b]pyran-6-one, 4-(6-deoxy-.alpha.-D-galactofuranosyl)-8-ethenyl-1-hydroxy-10,12-dimethoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



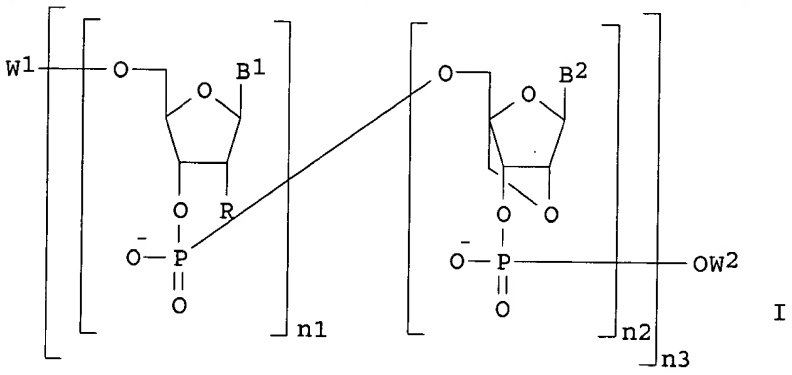
=>

09567863

PA Japan
SO PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9839352	A1	19980911	WO 1998-JP945	19980309
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GM, GW, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	JP 10304889	A2	19981117	JP 1998-55114	19980306
	AU 9861209	A1	19980922	AU 1998-61209	19980309
	AU 720472	B2	20000601		
	EP 1013661	A1	20000628	EP 1998-905804	19980309
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6268490	B1	20010731	US 1999-380638	19990907
	AU 742476	B2	20020103	AU 2000-53349	20000814
PRAI	JP 1997-53409	A	19970307		
	WO 1998-JP945	W	19980309		

OS MARPAT 129:245421
GI



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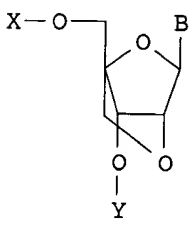
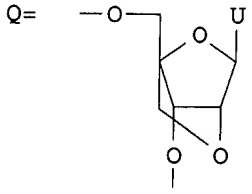


II



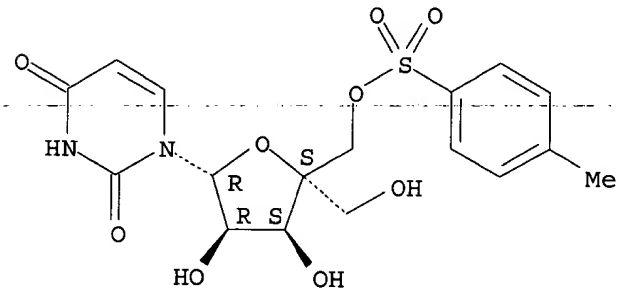
AB Oligo- or polynucleotide analogs (I; B1, B2 = pyrimidine or purine nucleic acid base or its analog; R = H, OH, halo, alkoxy; W1, W2 = H, alkyl,

alkenyl, alkynyl, cycloalkyl, aralkyl, aryl, acyl, silyl, PO3H2, natural nucleoside bonded through a phosphodiester linkage or its analog or oligo- or polynucleotide contg. these nucleoside; n1, n2 = an integer of 1-50; provided that n1 and n2 are not simultaneously 0 or all n2 is not 0; n3 = an integer of 1-50; provide when n1 and/or n2 is .gtoreq.2, B and B1 are not necessarily identical or R is not necessarily identical) are prepd. from nucleoside analogs (II; B = pyrimidine or purine nucleic acid base or analog; X, Y = H, alkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, aryl, acyl, silyl) or its amidite deriv. They can provide antisense mols. of **oligonucleotide** analogs that are less likely to undergo enzymic hydrolysis in vivo, have a high capability of binding to sense chains, and can be easily synthesized. Thus, 5'-GTTTTTTTTTXXC-3' (X = Q), which was prepd. by a Pharmacia Gene Assembler Plus on a controlled pore glass using the phosphoramidite II [B = uracil residue, X = 4,4'-dimethoxytrityl, Y = P[N(CHMe2)2]OCH2CH2CN], showed much higher resistance against hydrolysis by snake venom than natural 5'-GTTTTTTTTTTTC-3'.

IT **195705-15-8P 200435-89-8P 212970-75-7P**
212970-76-8P 212970-77-9P 212970-78-0P
212970-79-1P 212970-80-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of antisense bicyclonucleoside-contg. **oligonucleotide** analogs with resistance against enzymic hydrolysis)

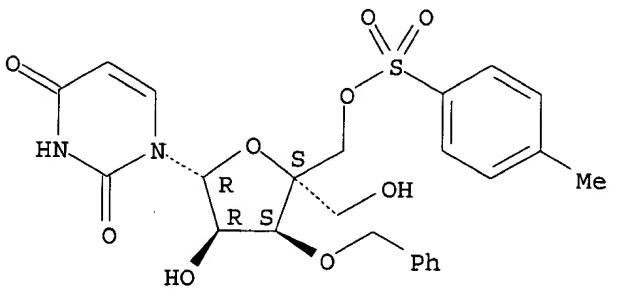
RN 195705-15-8 CAPLUS
CN Uridine, 4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 200435-89-8 CAPLUS
CN Uridine, 4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3'-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

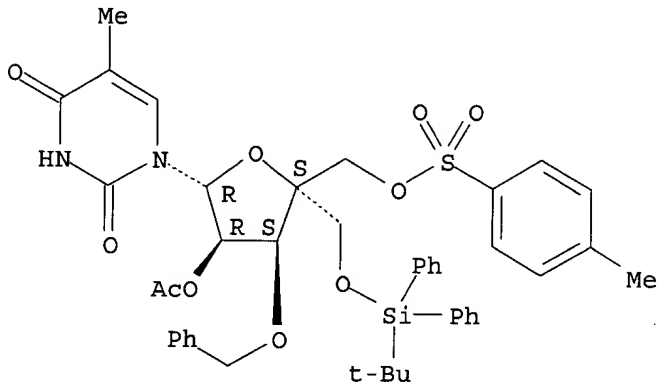


RN 212970-75-7 CAPLUS
CN Uridine, 5'-O-[(1,1-dimethylethyl)diphenylsilyl]-5-methyl-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3'-O-(phenylmethyl)-, 2'-acetate (9CI)

09567863

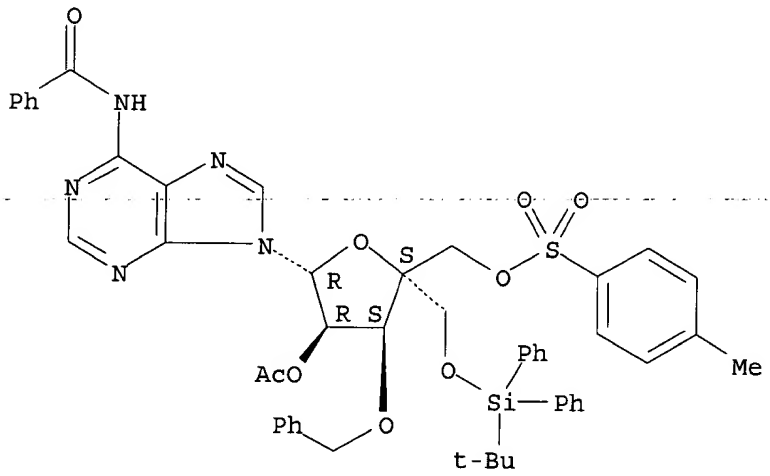
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



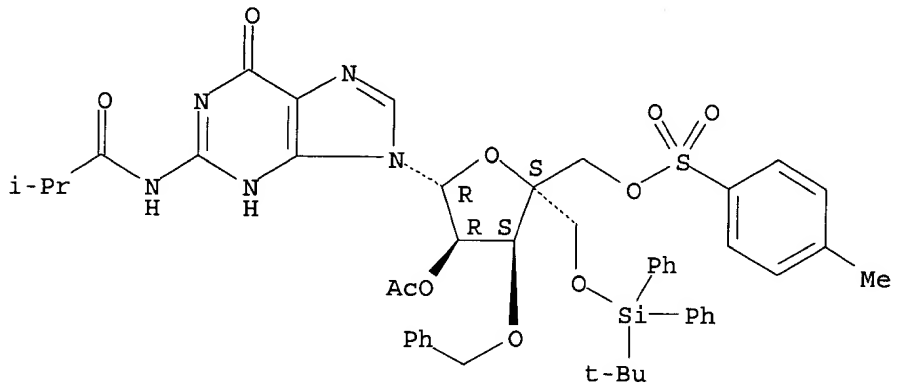
RN 212970-76-8 CAPLUS
CN Adenosine, N-benzoyl-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3'-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



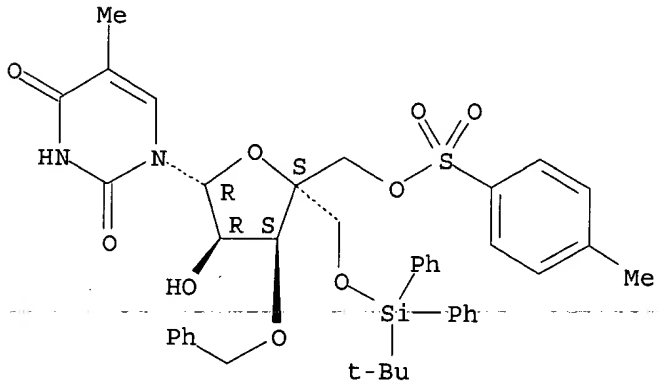
RN 212970-77-9 CAPLUS
CN Guanosine, 5'-O-[(1,1-dimethylethyl)diphenylsilyl]-N-(2-methyl-1-oxopropyl)-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3'-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



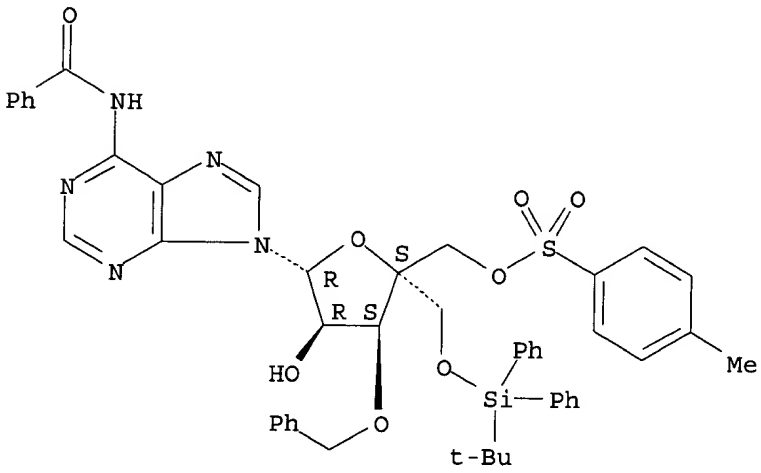
RN 212970-78-0 CAPLUS
CN Uridine, 5'-O-[(1,1-dimethylethyl)diphenylsilyl]-5-methyl-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3'-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 212970-79-1 CAPLUS
CN Adenosine, N-benzoyl-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3'-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

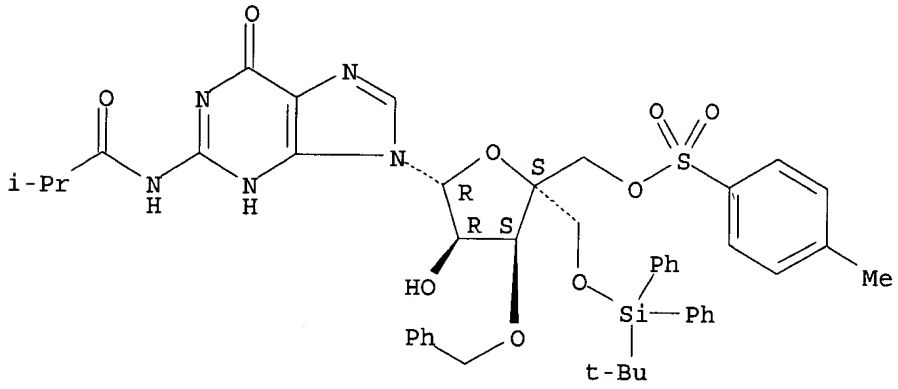
Absolute stereochemistry. Rotation (-).



09567863

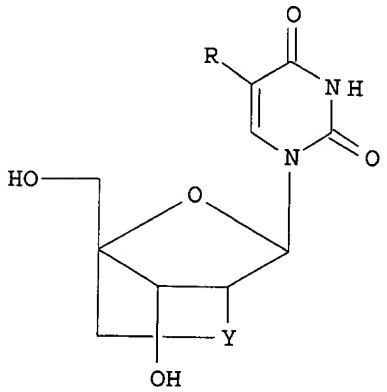
RN 212970-80-4 CAPLUS
CN Guanosine, 5'-O-[(1,1-dimethylethyl)diphenylsilyl]-N-(2-methyl-1-oxopropyl)-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3'-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



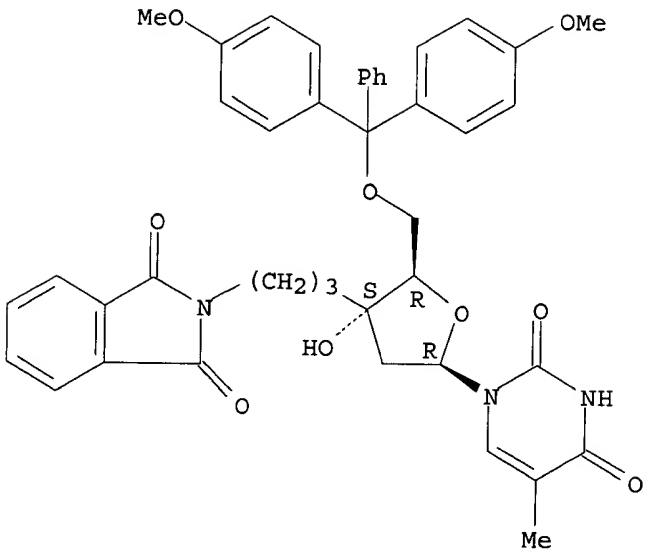
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 1998:503335 CAPLUS
DN 129:260722
TI Synthesis of novel bicyclo[2.2.1] ribonucleosides: 2'-amino- and 2'-thio-LNA monomeric nucleosides
AU Singh, Sanjay K.; Kumar, Ravindra; Wengel, Jesper
CS Center for Synthetic Bioorganic Chemistry Department of Chemistry Chemical Laboratory II, University of Copenhagen, Copenhagen, DK-2100, Den.
SO Journal of Organic Chemistry (1998), 63(18), 6078-6079
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 129:260722
GI



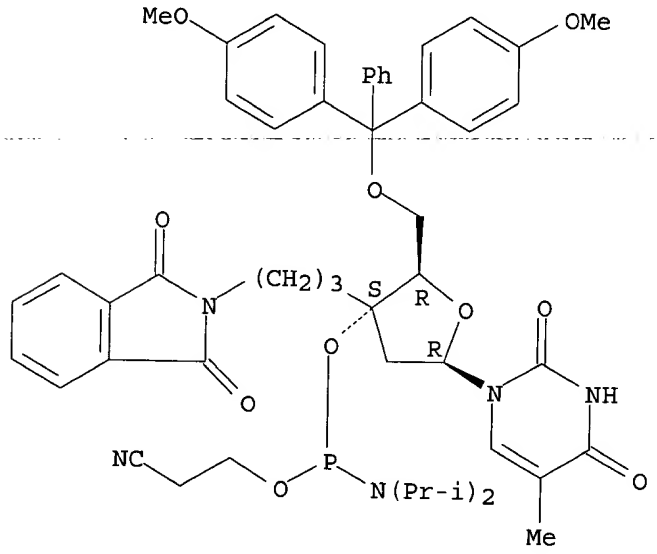
I

AB Locked nucleic acids (LNA) as a novel class of preorganized



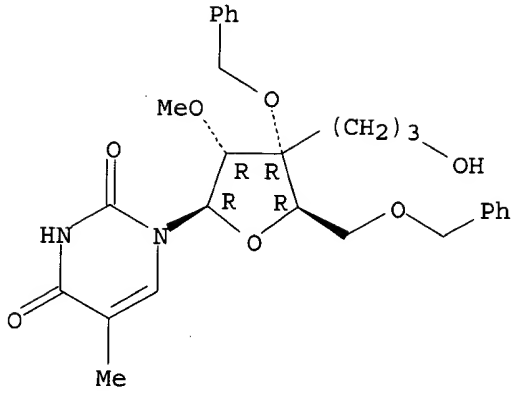
RN 263547-23-5 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



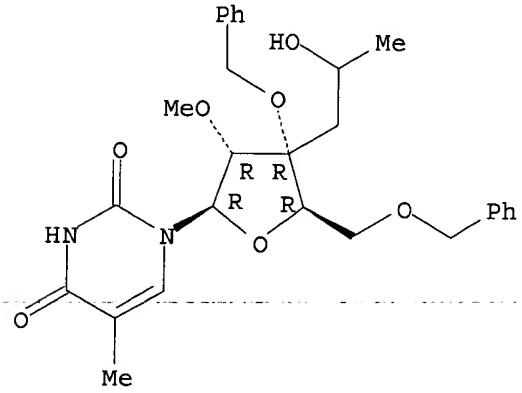
RN 263547-24-6 CAPLUS
CN Uridine, 3'-C-(3-hydroxypropyl)-5-methyl-2'-O-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



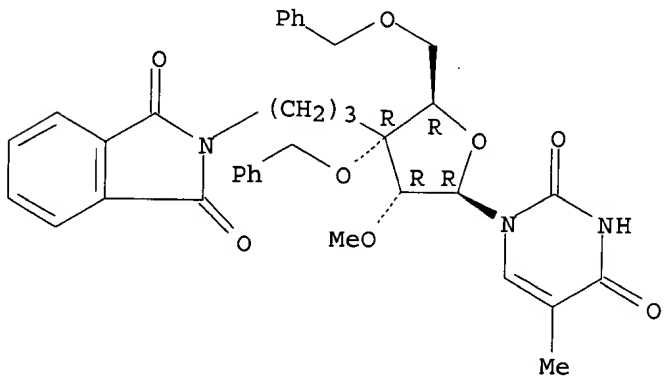
RN 263547-25-7 CAPLUS
CN Uridine, 3'-C-(2-hydroxypropyl)-5-methyl-2'-O-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



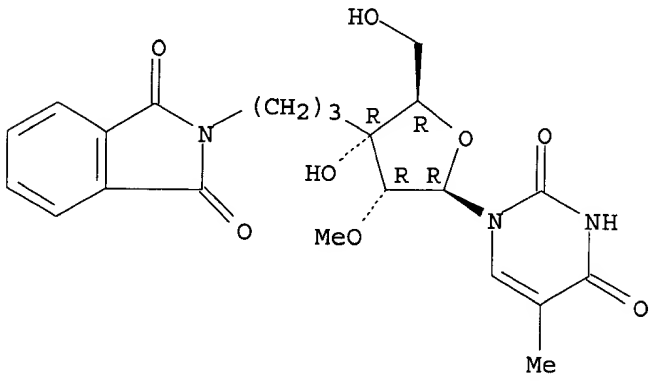
RN 263547-26-8 CAPLUS
CN Uridine, 3'-C-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-5-methyl-2'-O-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



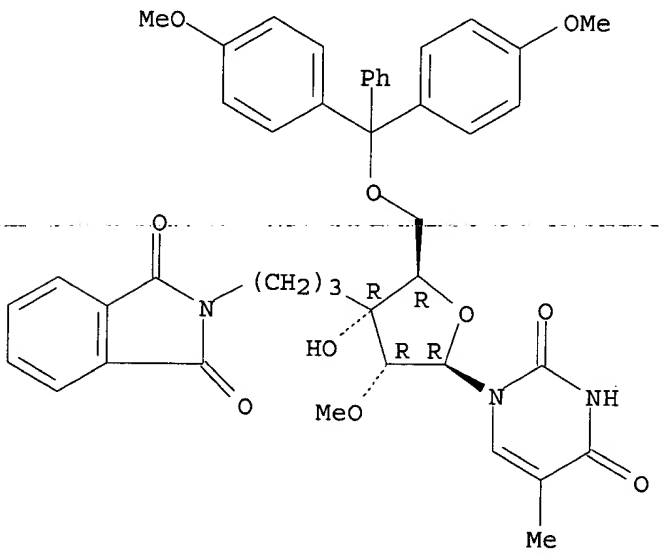
RN 263547-27-9 CAPLUS
CN Uridine, 3'-C-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-5-methyl-2'-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 263547-28-0 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-5-methyl-2'-O-methyl- (9CI) (CA INDEX NAME)

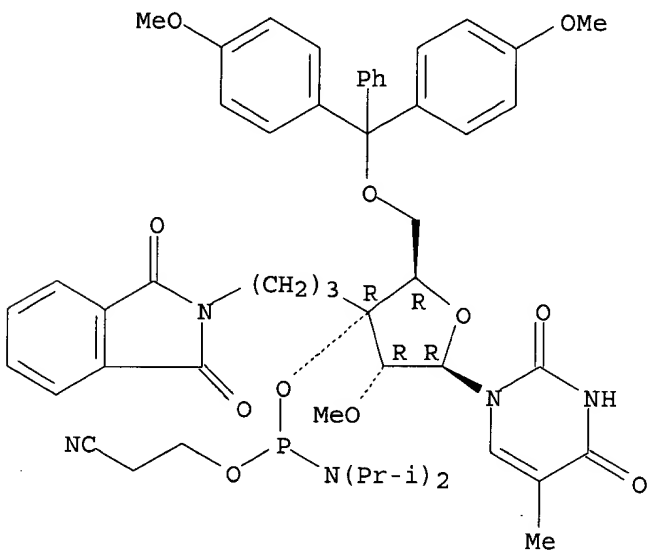
Absolute stereochemistry.



RN 263547-29-1 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-5-methyl-2'-O-methyl-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

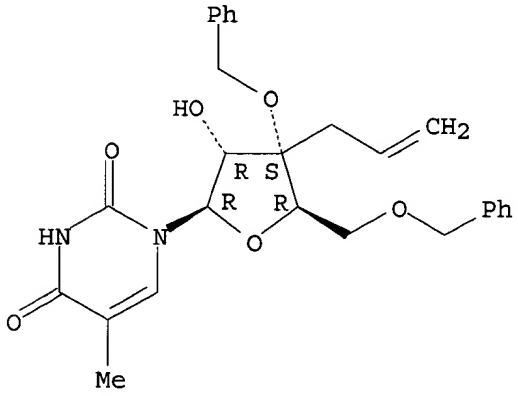
09567863



RE.CNT 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN 1999:639991 CAPLUS
DN 132:180793
TI Evaluation of **oligonucleotides** containing two novel 2'-O-methyl modified nucleotide monomers: a 3'-C-allyl and a 2'-O,3'-C-linked bicyclic derivative
AU Pfundheller, Henrik M.; Koshkin, Alexei A.; Olsen, Carl Erik; Wengel, Jesper
CS Department of Chemistry, University of Southern Denmark, Odense University, Odense, DK-5230, Den.
SO Nucleosides & Nucleotides (1999), 18(9), 2017-2030
CODEN: NUNUD5; ISSN: 0732-8311
PB Marcel Dekker, Inc.
DT Journal
LA English
AB The two ribo-configured nucleosides 1-(3-C-allyl-2-O-methyl-.beta.-D-ribo-pentofuranosyl)thymine and (1S,5R,6R,8R)-5-hydroxy-6-(hydroxymethyl)-1-methoxy-8-(thymin-1-yl)-2, 7-dioxabicyclo[3.3.0]octane have been transformed into their corresponding phosphoramidites, and used as building blocks for the synthesis of modified **oligonucleotides**. The **oligonucleotides** were shown to hybridize with decreased binding affinity towards complementary single stranded DNA and RNA.
IT **191163-49-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and thermal stability of **oligonucleotide** duplexes contg. two 2'-O-Me modified nucleotide monomers)
RN 191163-49-2 CAPLUS
CN Uridine, 5-methyl-3',5'-bis-O-(phenylmethyl)-3'-C-2-propenyl- (9CI) (CA INDEX NAME)

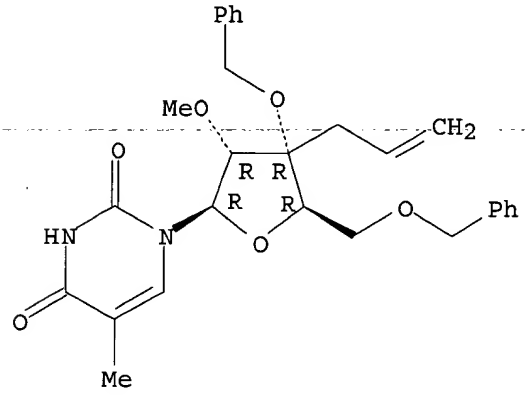
Absolute stereochemistry.



IT **250689-62-4P 250689-63-5P 250689-64-6P**
250689-65-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and thermal stability of **oligonucleotide** duplexes contg. two 2'-O-Me modified nucleotide monomers)

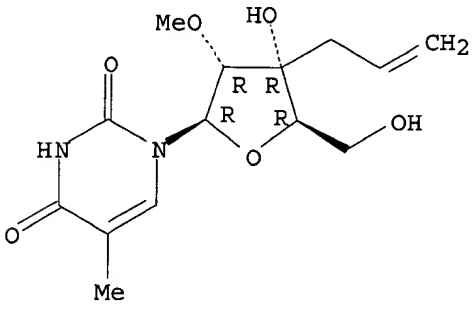
RN 250689-62-4 CAPLUS
CN Uridine, 5-methyl-2'-O-methyl-3',5'-bis-O-(phenylmethyl)-3'-C-2-propenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250689-63-5 CAPLUS
CN Uridine, 5-methyl-2'-O-methyl-3'-C-2-propenyl- (9CI) (CA INDEX NAME)

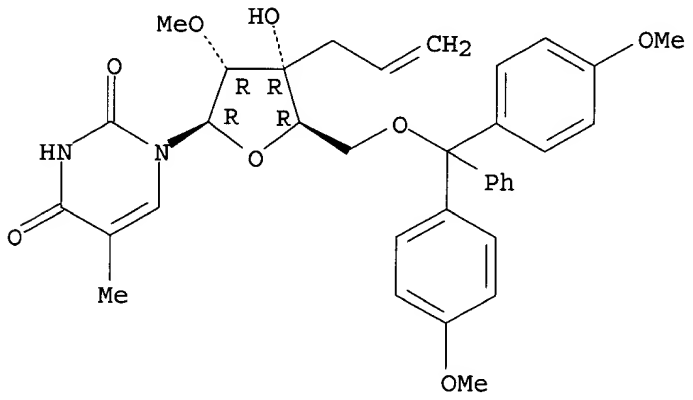
Absolute stereochemistry.



09567863

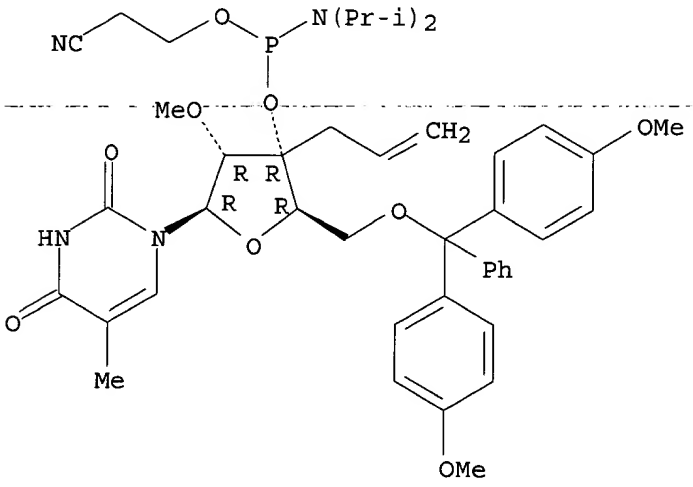
RN 250689-64-6 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-5-methyl-2'-O-methyl-3'-C-2-propenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250689-65-7 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-5-methyl-2'-O-methyl-3'-C-2-propenyl-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

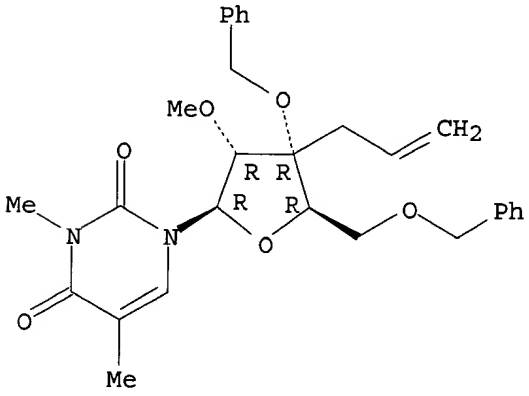
Absolute stereochemistry.



IT **250689-66-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and thermal stability of **oligonucleotide** duplexes contg. two 2'-O-Me modified nucleotide monomers)
RN 250689-66-8 CAPLUS
CN Uridine, 3,5-dimethyl-2'-O-methyl-3',5'-bis-O-(phenylmethyl)-3'-C-2-propenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

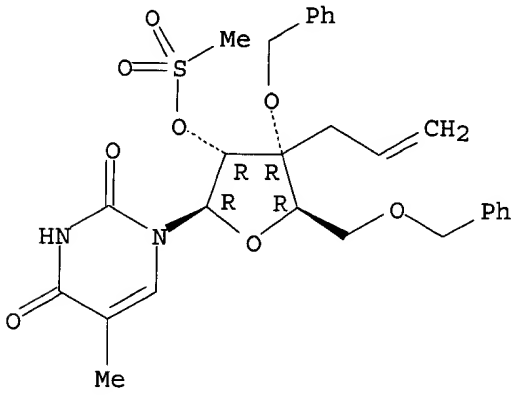
09567863



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

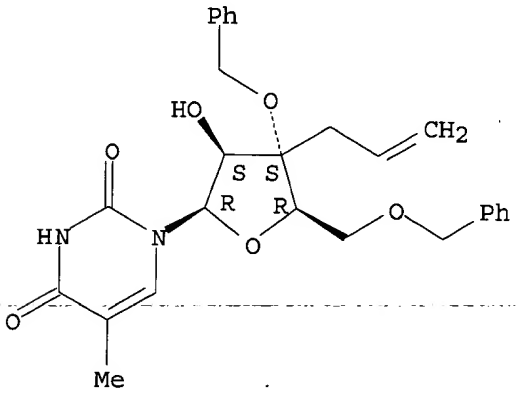
L8 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN 1999:563300 CAPLUS
DN 132:3528
TI **Oligonucleotide** analogs containing (2''S)- and (2''R)-2'-O,3'-C-((2''-C-hydroxymethyl)ethylene)-linked bicyclic nucleoside monomers: Synthesis, RNA-selective binding, diastereoselective formation of a very stable homo-complex based on T:T base pairing
AU Raunkjr, Michael; Olsen, Carl E.; Wengel, Jesper
CS Department of Chemistry, Center for Synthetic Bioorganic Chemistry, University of Copenhagen, Copenhagen, DK-2100, Den.
SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1999), (17), 2543-2551
CODEN: JCPRB4; ISSN: 0300-922X
PB Royal Society of Chemistry
DT Journal
LA English
OS CASREACT 132:3528
AB The 2'-O,3'-C-[(2''R)-2''-C-(acetoxymethyl)ethylene]-linked and 2'-O,3'-C-[(2''S)-2''-C-(acetoxymethyl)ethylene]-linked bicyclic thymine nucleosides have been synthesized and transformed into the phosphoramidite derivs. On an automated DNA-synthesizer the novel 2'-O,3'-C-[(2''-C-hydroxymethyl)ethylene]-linked **oligonucleotide** analogs (2''R)-2''-hydroxymethyl-2',3'-BcNA (R) and (2''S)-2''-hydroxymethyl-2',3'-BcNA (S) have been prepd. The thermal stability of complexes involving these **oligonucleotide** analogs has been evaluated towards complementary single-stranded DNA and RNA and compared with the thermal stability of ref. duplexes involving DNA and 2'-O,3'-C-ethylene-linked 2',3'-BcNA (B). **Oligonucleotide** 5'-S13T exhibited RNA-selective binding with moderately enhanced thermal stability relative to the corresponding unmodified control. Remarkably strong intermol. self-assocn. was obsd. for 5'-R13T, but not for 5'-S13T.
IT **191163-58-3 199931-09-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and thermal stability of **oligonucleotide** analog duplexes contg. hydroxymethylethylene-linked bicyclic nucleosides)
RN 191163-58-3 CAPLUS
CN Uridine, 5-methyl-3',5'-bis-O-(phenylmethyl)-3'-C-2-propenyl-, 2'-methanesulfonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



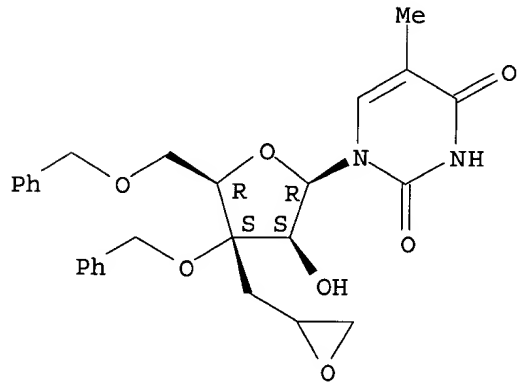
RN 199931-09-4 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3,5-bis-O-(phenylmethyl)-3-C-2-propenyl-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



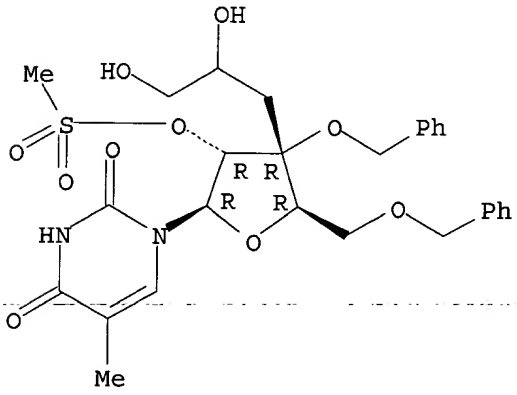
IT **250222-56-1P 250222-57-2P 250222-58-3P**
250222-59-4P 250222-60-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and thermal stability of **oligonucleotide** analog duplexes contg. hydroxymethylethylene-linked bicyclic nucleosides)
RN 250222-56-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[3-C-(oxiranylmethyl)-3,5-bis-O-(phenylmethyl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



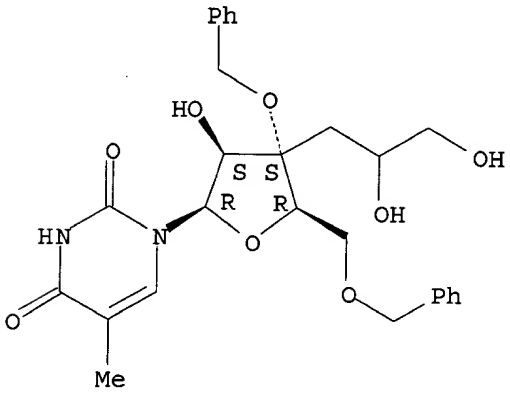
RN 250222-57-2 CAPLUS
CN Uridine, 3'-C-(2,3-dihydroxypropyl)-5-methyl-3',5'-bis-O-(phenylmethyl)-, 2'-methanesulfonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250222-58-3 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-C-(2,3-dihydroxypropyl)-3,5-bis-O-(phenylmethyl)-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

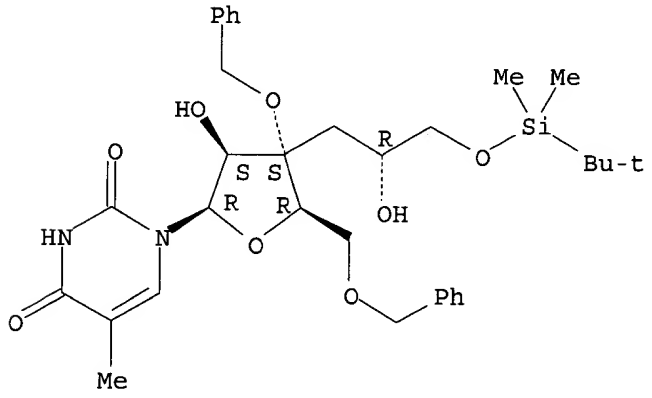
Absolute stereochemistry.



RN 250222-59-4 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-C-[(2R)-3-[[(1,1-

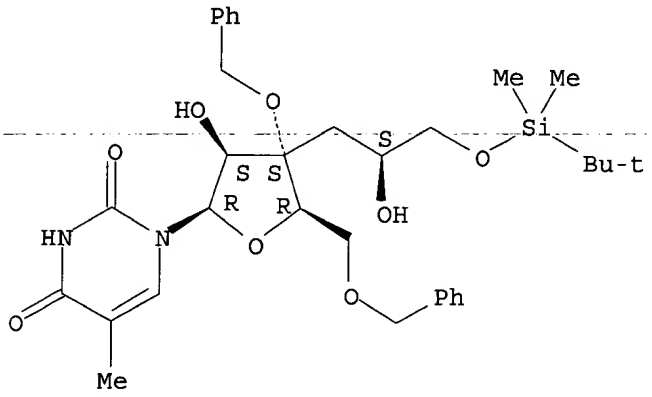
dimethylethyl)dimethylsilyl]oxy]-2-hydroxypropyl]-3,5-bis-O-(phenylmethyl)-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250222-60-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-C-[(2S)-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-hydroxypropyl]-3,5-bis-O-(phenylmethyl)-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN 1999:216926 CAPLUS
DN 130:252609
TI Preparation of locked nucleoside analogs-containing oligodeoxyribonucleotide duplexes as substrates for nucleic acid polymerases
IN Wengel, Jesper; Nielsen, Poul
PA Exiqon A/S, Den.
SO PCT Int. Appl., 269 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

09567863

PI	WO 9914226	A2	19990325	WO 1998-DK393	19980914
	WO 9914226	A3	19990805		
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	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002068708	A1	20020606	US 1998-152059	19980911
	CA 2303299	AA	19990325	CA 1998-2303299	19980914
	AU 9890633	A1	19990405	AU 1998-90633	19980914
	EP 1015469	A2	20000705	EP 1998-942516	19980914
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002521310	T2	20020716	JP 2000-511775	19980914
PRAI	DK 1997-1054	A	19970912		
	DK 1997-1492	A	19971219		
	DK 1998-61	A	19980116		
	DK 1998-286	A	19980303		
	DK 1998-585	A	19980429		
	US 1998-88309P	P	19980605		
	DK 1998-750	A	19980608		
	DK 1998-982	A	19980728		
	US 1997-58541P	P	19970912		
	US 1997-68293P	P	19971219		
	US 1998-71682P	P	19980116		
	US 1998-76591P	P	19980303		
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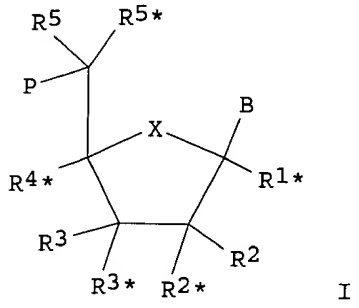
	WO 1998-DK393	W	19980914		

OS MARPAT 130:252609

GI



I

AB Bicyclic and tricyclic nucleoside and nucleotide analogs were prepd. as well as oligodeoxyribonucleotides comprising such elements I (B is selected from hydrogen, hydroxy, alkoxy, alkyl, acyloxy, nucleobases, DNA intercalators; P designates the radical position for an internucleoside linkage to a succeeding monomer, or a 5'-terminal group, such internucleoside linkage or 5'-terminal group optionally including the substituent R5; X is selected from O, S, substituted N, substituted C; R1, R1*, R2, R2*, R3, R3*, R4*, R5, R5*, are biradical(s), independently selected from hydrogen, alkyl, alkenyl, alkynyl, hydroxy, alkoxy, alkenyloxy, carboxy, alkoxycarbonyl, alkylcarbonyl, formyl, aryl, aryloxy-carbonyl, aryloxy, arylcarbonyl, heteroaryl, carbamido,

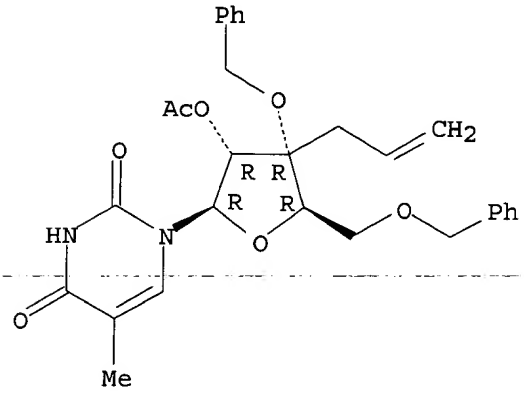
alkanoyloxy, sulfono, alkylsulfonyloxy, nitro, azido, sulphanyl, alkylthio, halogen, DNA intercalators). Thus, (1S,5R,6R,8R)-5-(2-cyanoethoxy(diisopropylamino)phosphinoxy)-6-(4,4'-dimethoxytrityloxymethyl)-8-(thymin-1-yl)-2,7-dioxabicyclo[3.3.0]nonane was prepd. and incorporated into oligodeoxyribonucleotides. The nucleotide analogs, LNAs (Locked Nucleoside Analogs), are able to provide valuable improvements to **oligonucleotides** with respect to affinity and specificity towards complementary RNA and DNA oligomers. The novel type of LNA modified **oligonucleotides**, as well as the LNAs as such, are useful in a wide range of diagnostic applications as well as therapeutic applications. Among these can be mentioned antisense applications, PCR applications, strand displacement oligomers, as substrates for nucleic acid polymerases, as nucleotide based drugs, etc.

IT **191163-53-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of locked nucleoside analogs-contg. oligodeoxyribonucleotide duplexes as substrates for nucleic acid polymerases)

RN 191163-53-8 CAPLUS

CN Uridine, 5-methyl-3',5'-bis-O-(phenylmethyl)-3'-C-2-propenyl-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

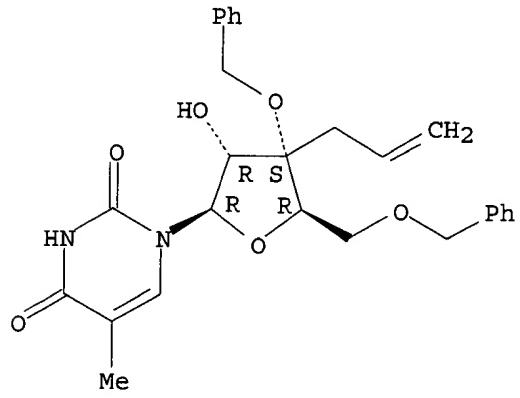


IT **191163-49-2P 191163-50-5P 191163-58-3P**
199931-09-4P 201358-16-9P 207568-77-2P
207568-79-4P 207606-92-6P 207606-97-1P
207607-14-5P 207607-21-4P 221227-73-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of locked nucleoside analogs-contg. oligodeoxyribonucleotide duplexes as substrates for nucleic acid polymerases)

RN 191163-49-2 CAPLUS

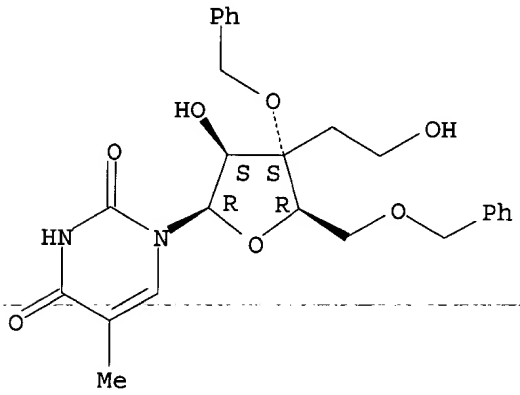
CN Uridine, 5-methyl-3',5'-bis-O-(phenylmethyl)-3'-C-2-propenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



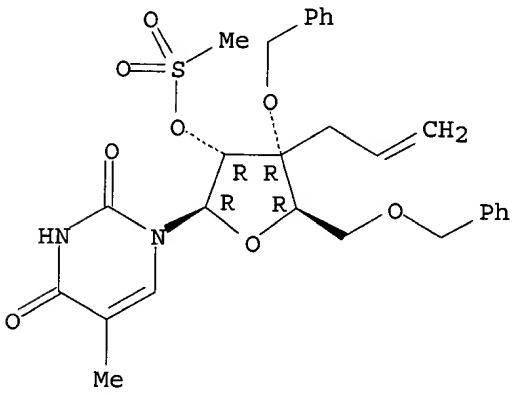
RN 191163-50-5 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-C-(2-hydroxyethyl)-3,5-bis-O-(phenylmethyl)-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191163-58-3 CAPLUS
CN Uridine, 5-methyl-3',5'-bis-O-(phenylmethyl)-3'-C-2-propenyl-, 2'-methanesulfonate (9CI) (CA INDEX NAME)

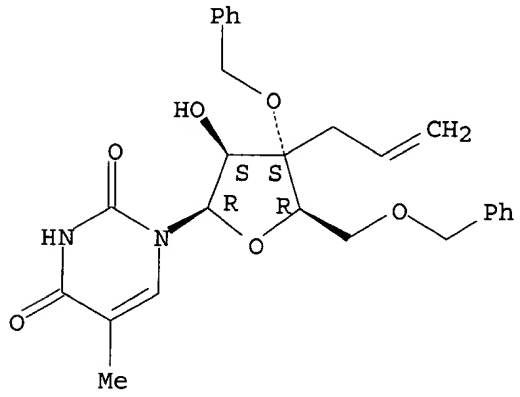
Absolute stereochemistry.



RN 199931-09-4 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3,5-bis-O-(phenylmethyl)-3-C-2-propenyl-

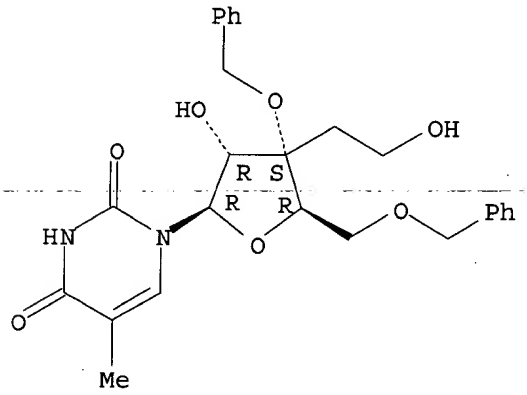
.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



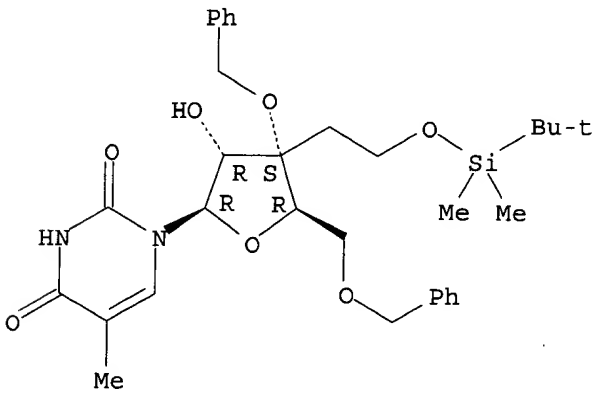
RN 201358-16-9 CAPLUS
CN Uridine, 3'-C-(2-hydroxyethyl)-5-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

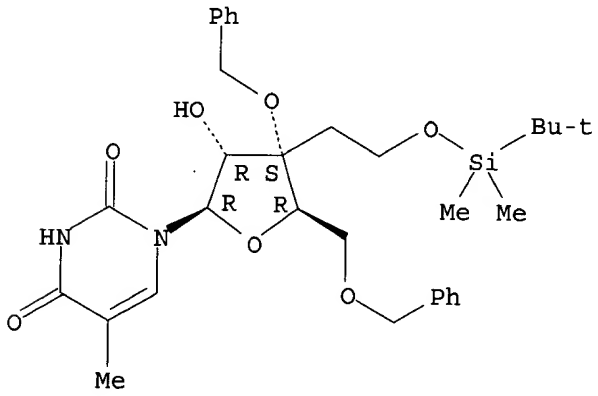
Absolute stereochemistry.



RN 207568-77-2 CAPLUS
CN Uridine, 3'-C-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-5-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

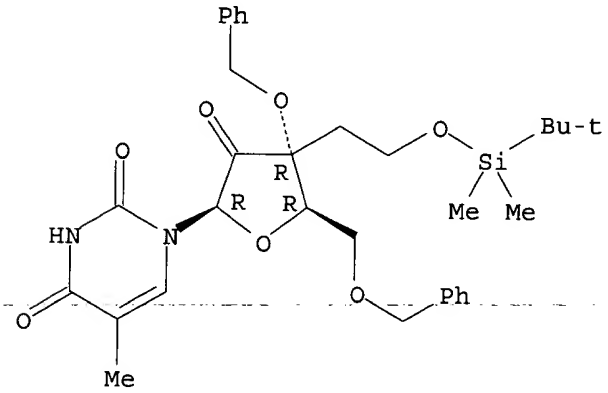
Absolute stereochemistry.





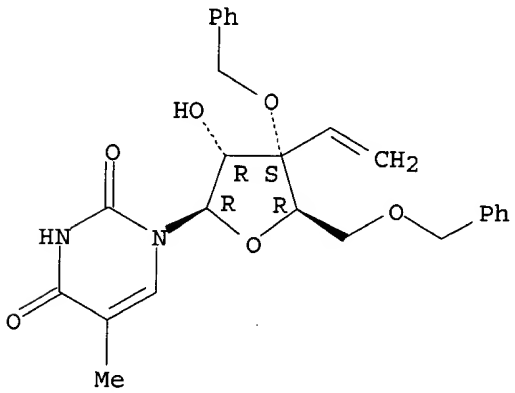
RN 207568-79-4 CAPLUS
CN Thymidine, 3'-C-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-2'-oxo-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207606-92-6 CAPLUS
CN Uridine, 3'-C-ethenyl-5-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

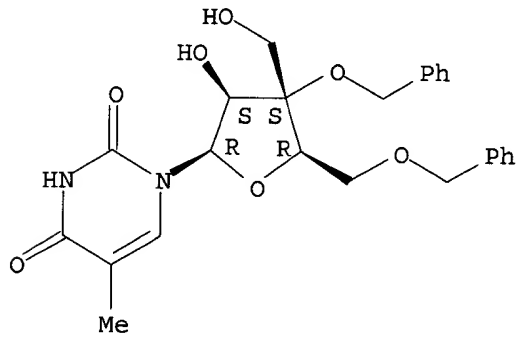
Absolute stereochemistry.



RN 207606-97-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-C-(hydroxymethyl)-3,5-bis-O-

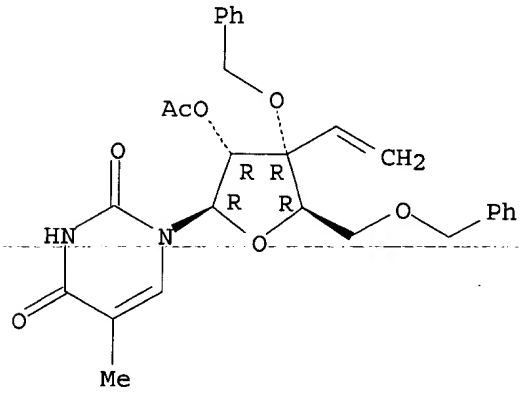
(phenylmethyl)-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



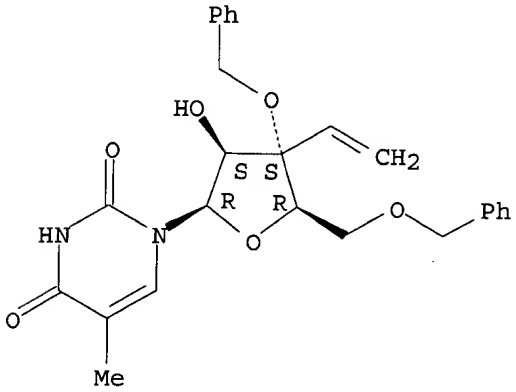
RN 207607-14-5 CAPLUS
CN Uridine, 3'-C-ethenyl-5-methyl-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207607-21-4 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-C-ethenyl-3,5-bis-O-(phenylmethyl)-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

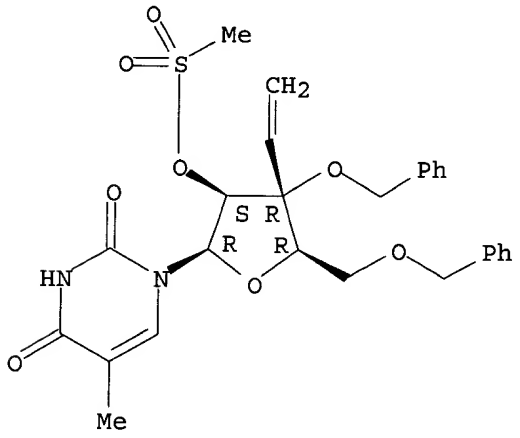
Absolute stereochemistry.



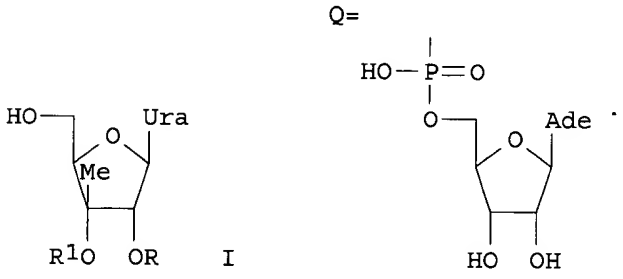
09567863

RN 221227-73-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-C-ethenyl-2-O-(methylsulfonyl)-3,5-bis-O-(phenylmethyl)-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN 1998:464098 CAPLUS
DN 129:227244
TI Effects of 3'-C-methylation on the hydrolytic stability and hydroxyl pKa values of dinucleoside 2',5'-and 3',5'-monophosphates
AU Oivanen, Mikko; Efimtseva, Ekaterina V.; Mikhailov, Sergey N.
CS Department of Chemistry, University of Turku, Turku, FIN-20014, Finland
SO Nucleosides & Nucleotides (1998), 17(8), 1325-1331
CODEN: NUNUD5; ISSN: 0732-8311
PB Marcel Dekker, Inc.
DT Journal
LA English
GI

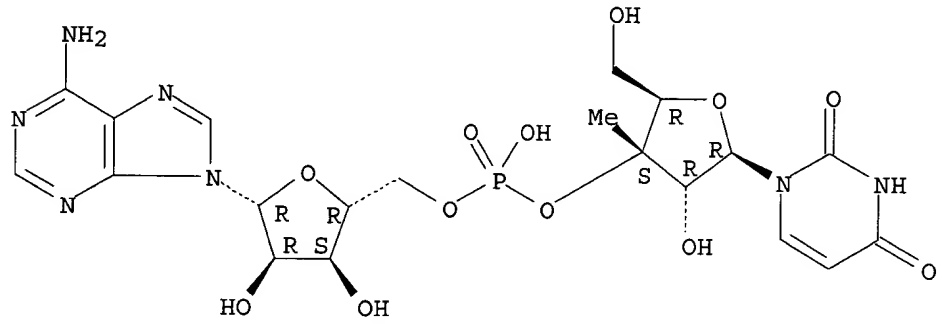


AB The first-order rate consts. for hydrolysis of dinucleotides, i.e. 3'-C-methyluridylyl(2',5')- and -(3',5')adenosine (I; R = H, R1 = Q; R = Q, R1 = H), which were prepd. by condensation of 5'-O-benzoyl-3'-C-methyluridine and N-acetyl-2',3'-di-O-acetyladenosine using DCC, and the corresponding native dinucleoside monophosphates (2',5'- and 3',5'-UpA) have been detd. as a function of hydroxide-ion concn. (0.025 - 7 M) at 25 .degree.C. In addn. to the effects on the hydrolytic stability of the compds., the effects of the 3'-C-Me substitution on the kinetically detd. pKa values for the sugar hydroxyls of the uridine moiety are discussed.
IT **188691-58-9P 212714-02-8P**

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(effects of 3'-C-methylation on hydrolytic stability and hydroxyl pKa values of dinucleoside 2',5'-and 3',5'-monophosphates)

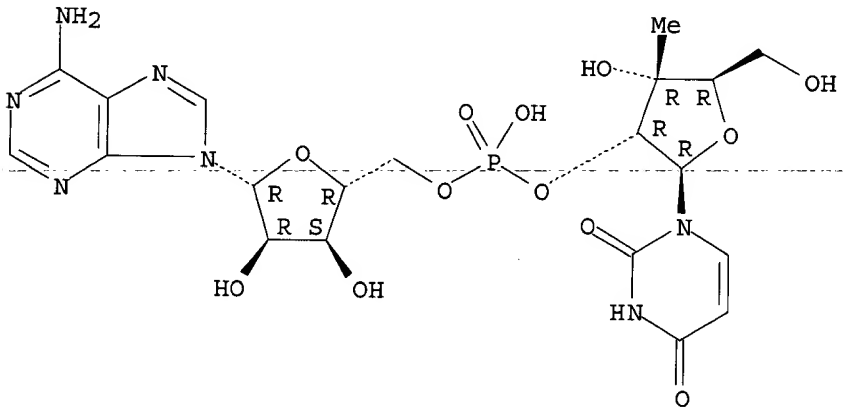
RN 188691-58-9 CAPLUS
CN Adenosine, 3'-C-methyluridylyl-(3'.fwdarw.5')- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 212714-02-8 CAPLUS
CN Adenosine, 3'-C-methyluridylyl-(2'.fwdarw.5')- (9CI) (CA INDEX NAME)

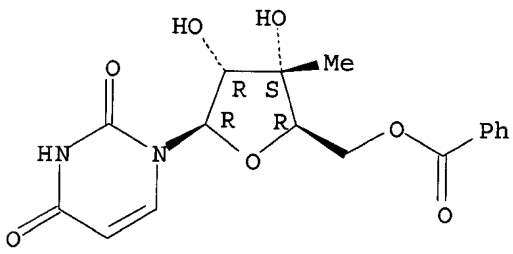
Absolute stereochemistry.



IT **87215-01-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(effects of 3'-C-methylation on hydrolytic stability and hydroxyl pKa values of dinucleoside 2',5'-and 3',5'-monophosphates)

RN 87215-01-8 CAPLUS
CN Uridine, 3'-C-methyl-, 5'-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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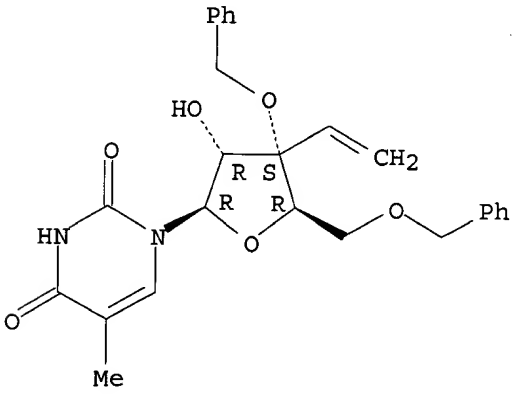
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN 1998:331697 CAPLUS
DN 129:28159
TI A Novel Class of **Oligonucleotide** Analogs Containing 2'-O,3'-C-Linked [3.2.0]Bicycloarabinonucleoside Monomers: Synthesis, Thermal Affinity Studies, and Molecular Modeling
AU Christensen, Nanna K.; Petersen, Michael; Nielsen, Poul; Jacobsen, Jens P.; Olsen, Carl Erik; Wengel, Jesper
CS Department of Chemistry, Odense University, Odense M, DK-5230, Den.
SO Journal of the American Chemical Society (1998), 120(22), 5458-5463
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
AB **Oligonucleotide** analogs contg. a novel 2'-O,3'-C-linked [3.2.0]bicyclonucleoside have been efficiently synthesized. Enhanced thermal stabilities of duplexes toward both RNA and DNA are reported for a 14-mer oligothymidylate contg. 13 modifications and for a nonamer mixed sequence contg. three modifications. These results and the results from mol. modeling reveal that strong conformational restriction of a monomer can be important for favorable duplex formation though the fixed conformation of the pentofuranose ring deviates from a North or South conformation.
IT **207606-92-6P 207606-97-1P 207607-14-5P**
207607-18-9P 207607-21-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn., mol. modeling and thermal stability of **oligonucleotide** analogs contg. 2'-O,3'-C-linked [3.2.0]bicycloarabinonucleoside monomers)

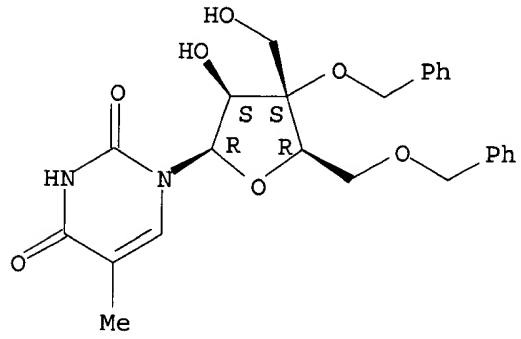
RN 207606-92-6 CAPLUS
CN Uridine, 3'-C-ethenyl-5-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



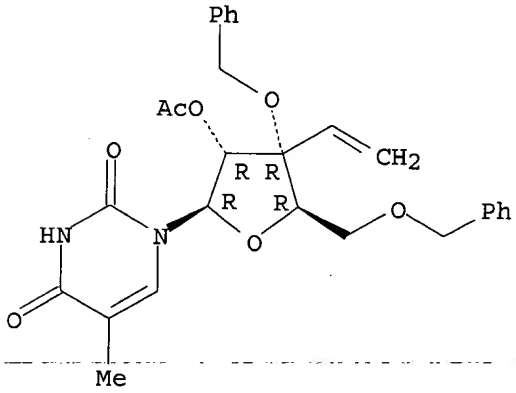
RN 207606-97-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-C-(hydroxymethyl)-3,5-bis-O-(phenylmethyl)-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



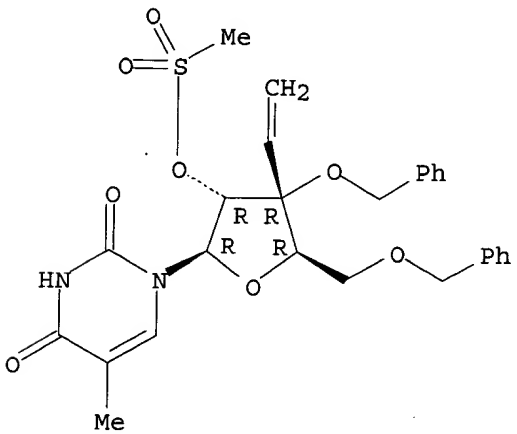
RN 207607-14-5 CAPLUS
CN Uridine, 3'-C-ethenyl-5-methyl-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



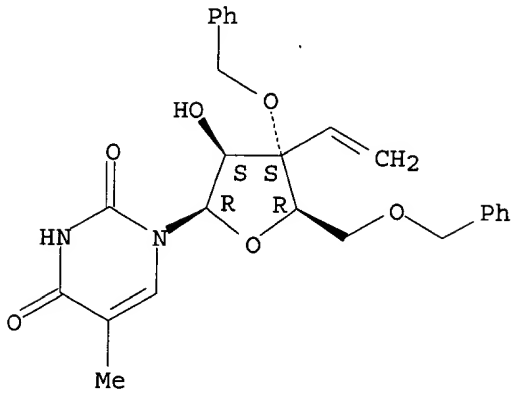
RN 207607-18-9 CAPLUS
CN Uridine, 3'-C-ethenyl-5-methyl-3',5'-bis-O-(phenylmethyl)-, 2'-methanesulfonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207607-21-4 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-C-ethenyl-3,5-bis-O-(phenylmethyl)-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

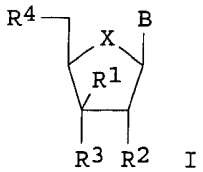


RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN 1998:79376 CAPLUS
DN 128:154351
TI Preparation of 3'-, 4'-, and 5'-C-branched deoxyribonucleosides and their use for synthesis of **oligonucleotides**
IN Wang, Guangyi
PA ICN Pharmaceuticals, USA
SO U.S., 30 pp., Cont.-in-part of U.S. 5,681,940.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5712378	A	19980127	US 1995-552363	19951102
	US 5681940	A	19971028	US 1994-333545	19941102
	CA 2202280	AA	19960517	CA 1995-2202280	19951102
	CA 2307311	AA	19960517	CA 1995-2307311	19951102
	CN 1170412	A	19980114	CN 1995-196962	19951102
	HU 77516	A2	19980528	HU 1997-2445	19951102
	US 6191266	B1	20010220	US 1996-766991	19961216
PRAI	US 1994-333545	A2	19941102		
	CA 1995-2202280	A3	19951102		
	US 1995-552363	A3	19951102		

OS MARPAT 128:154351
GI



I

AB Modified nucleotides I (R1 = substituted alkyl, aralkyl, aryl; R2 = H, OH, alkoxy, aralkoxy, aryloxy; R3, R4 = independently OH, internucleotide linkage and hydroxyl blocking group; X = O, CH2; B = Adenine, guanine,

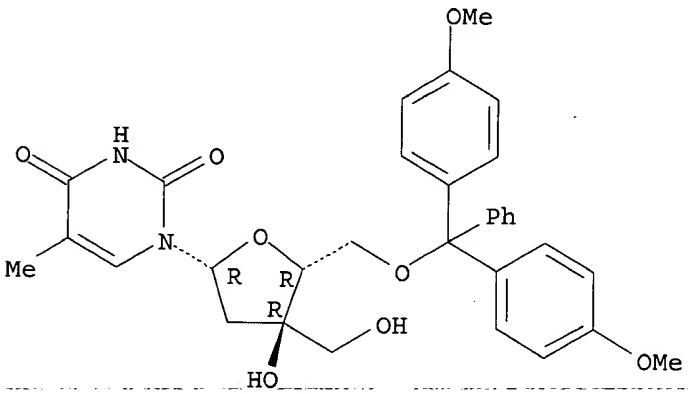
cytosine, uracil, thymine) were prepd. Each nucleoside is converted to or properly protected and then converted to the corresponding phosphoramidites. These phosphoramidites are used to assemble **oligonucleotides** in which there is at least one of the fore-noted nucleosides. Thus, I [R1 = Me; R2 = H; R3 = OP(OCH2CH2CN)N(iPr)2; R4 = dimethoxytrityloxy; X = O; B = thymine] was prepd. and has the potential to be used as antisense therapy since it is expected to enhance nuclease resistance and cellular uptake while maintaining sequence-specificity and affinity to nucleic acid targets in vitro or in vivo.

IT **154468-74-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 3'-, 4'-, and 5'-C-branched nucleosides and their use for synthesis of **oligonucleotides**)

RN 154468-74-3 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

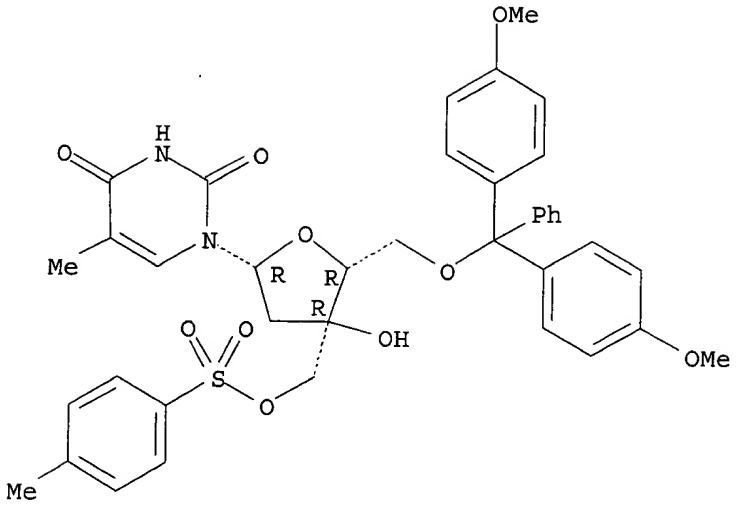


IT **179178-27-9P 179178-28-0P 179178-29-1P**
179178-33-7P 179178-35-9P 179178-36-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 3'-, 4'-, and 5'-C-branched nucleosides and their use for synthesis of **oligonucleotides**)

RN 179178-27-9 CAPLUS

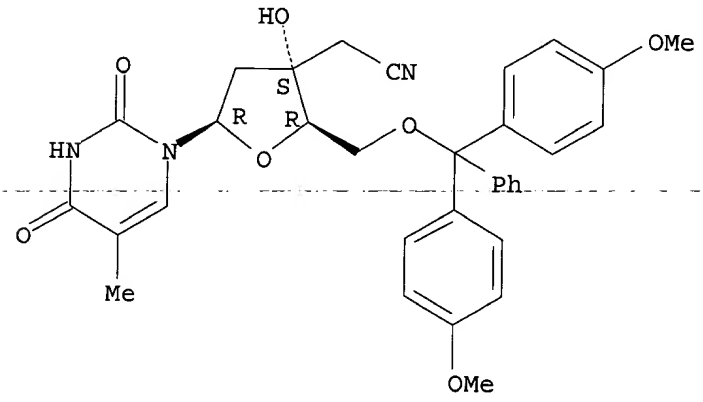
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



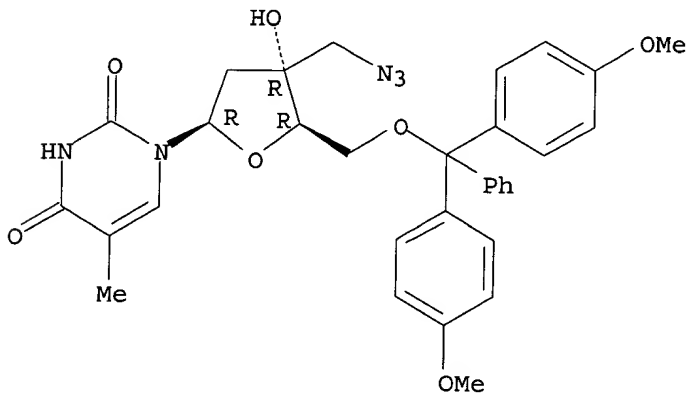
RN 179178-28-0 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-(cyanomethyl)-
(9CI) (CA INDEX NAME)

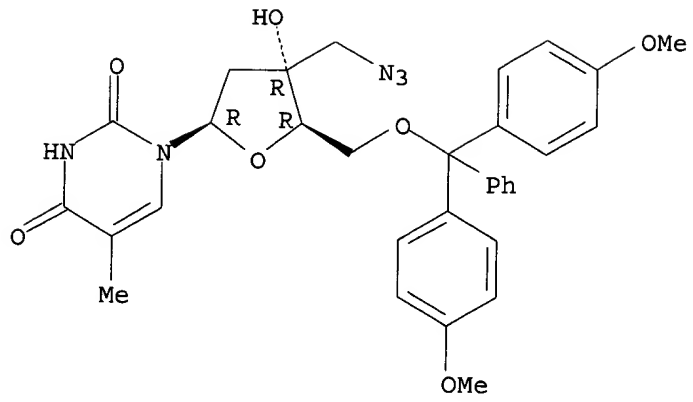
Absolute stereochemistry.



RN 179178-29-1 CAPLUS
CN Thymidine, 3'-C-(azidomethyl)-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-
(9CI) (CA INDEX NAME)

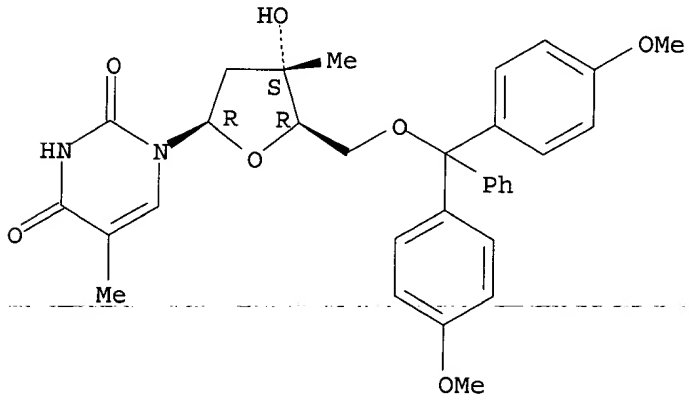
Absolute stereochemistry.





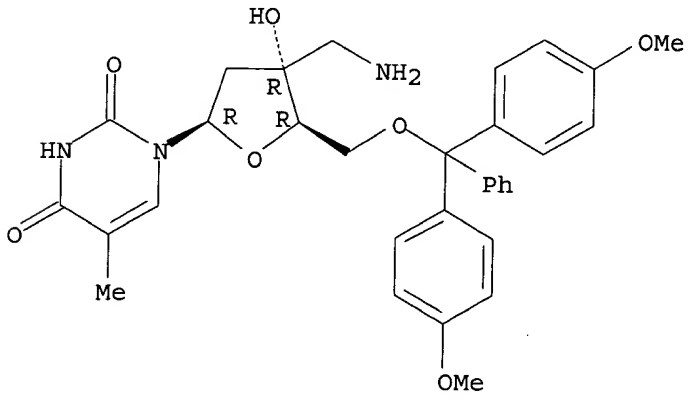
RN 179178-33-7 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179178-35-9 CAPLUS
CN Thymidine, 3'-C-(aminomethyl)-5'-O-[bis(4-methoxyphenyl)phenylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179178-36-0 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-

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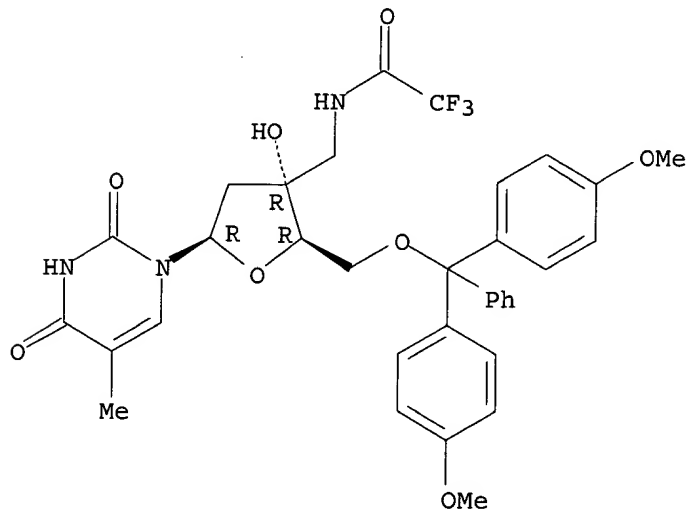
[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

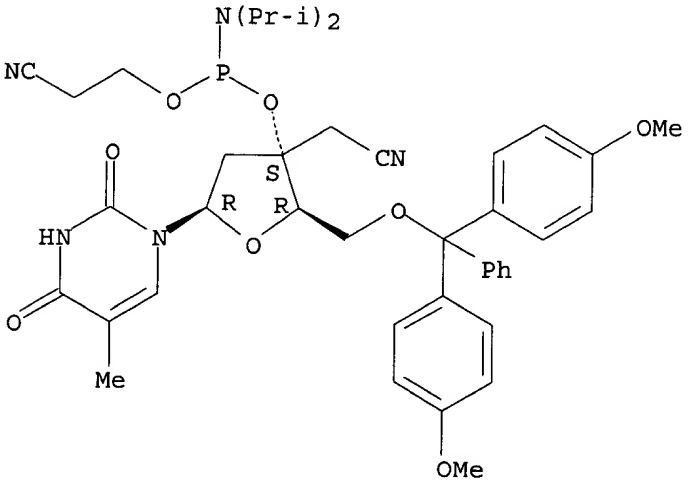


IT **179178-30-4P 179178-31-5P 179178-34-8P**
179178-37-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 3'-, 4'-, and 5'-C-branched nucleosides and their use for synthesis of **oligonucleotides**)

RN 179178-30-4 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-(cyanomethyl)-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

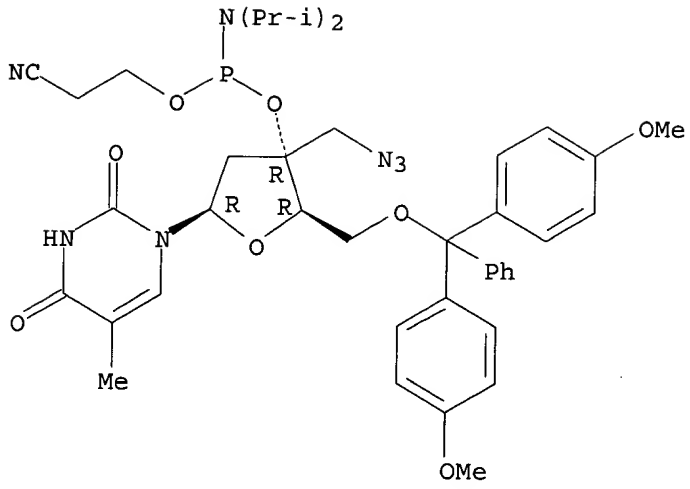
Absolute stereochemistry.



RN 179178-31-5 CAPLUS

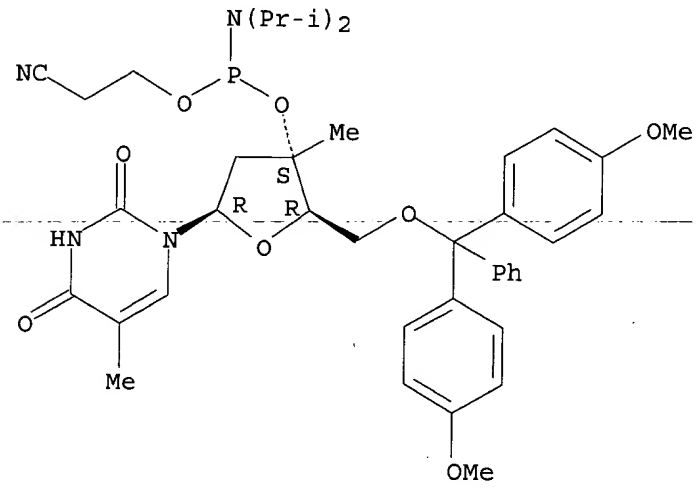
CN Thymidine, 3'-C-(azidomethyl)-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



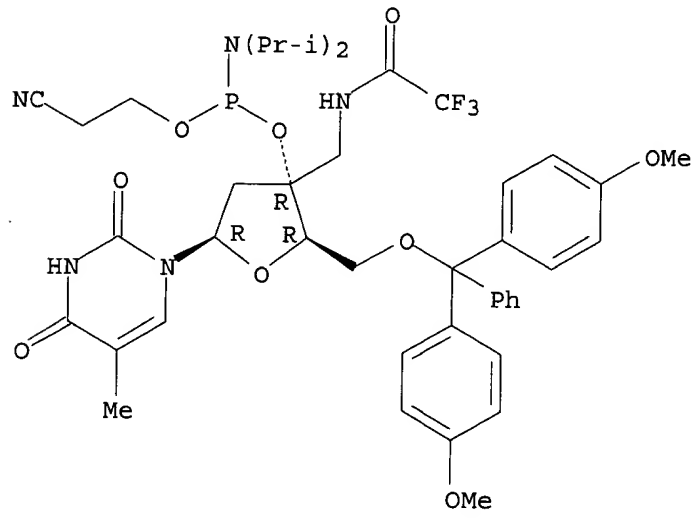
RN 179178-34-8 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-methyl-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

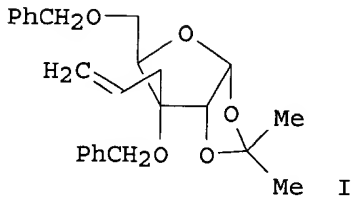


RN 179178-37-1 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-[[(trifluoroacetyl)amino]methyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

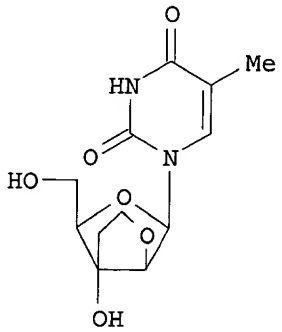
Absolute stereochemistry.



L8 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN 1997:764109 CAPLUS
DN 128:115186
TI Synthesis of 2'-O,3'-C-linked bicyclic nucleosides and bicyclic **oligonucleotides**
AU Nielsen, Poul; Pfundheller, Henrik M.; Olsen, Carl Erik; Wengel, Jesper
CS Department of Chemistry, Odense University, Odense M, DK-5230, Den.
SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1997), (22), 3423-3434
CODEN: JCPRB4; ISSN: 0300-922X
PB Royal Society of Chemistry
DT Journal
LA English
GI



I



II

AB The 3'-C-allylfuranose I has been used as a precursor for synthesis of the novel 2'-O,3'-C-linked bicyclic thymine nucleosides, e.g. II. The bicyclic .beta.-nucleosides were incorporated into oligodeoxynucleotides. One of these nucleosides, dioxabicyclo[3.3.0]octane II, induces increased thermal stability of duplexes towards complementary RNA.

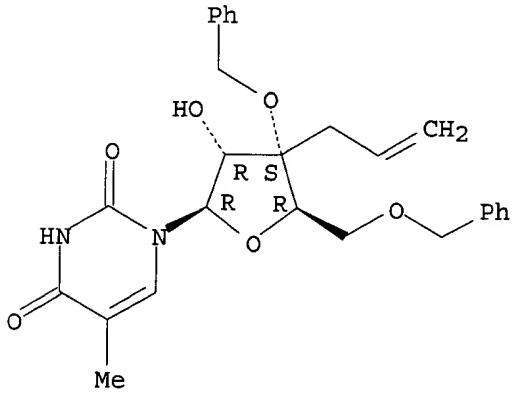
IT **191163-49-2P 191163-50-5P 191163-53-8P**
191163-58-3P 199931-09-4P 199931-19-6P
199931-21-0P 201358-15-8P 201358-16-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of 2'-O,3'-C-linked bicyclic nucleosides and thermal stability of bicyclic oligodeoxyribonucleotide duplexes)

RN 191163-49-2 CAPLUS

CN Uridine, 5-methyl-3',5'-bis-O-(phenylmethyl)-3'-C-2-propenyl- (9CI) (CA INDEX NAME)

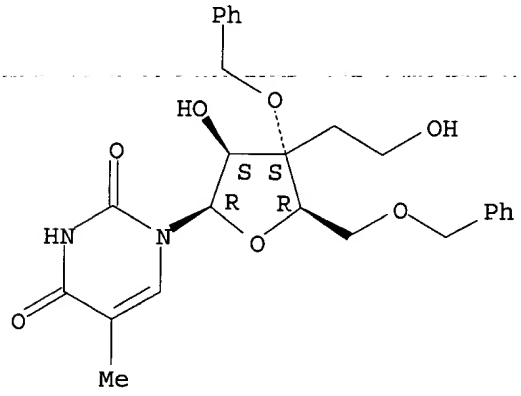
Absolute stereochemistry.



RN 191163-50-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-C-(2-hydroxyethyl)-3,5-bis-O-(phenylmethyl)-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

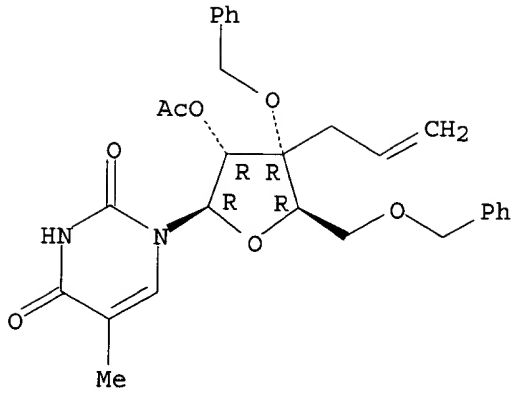
Absolute stereochemistry.



RN 191163-53-8 CAPLUS

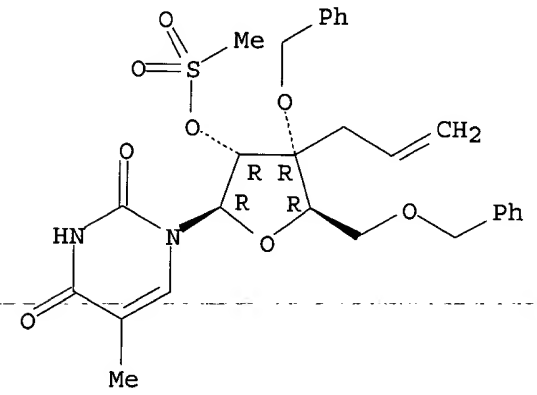
CN Uridine, 5-methyl-3',5'-bis-O-(phenylmethyl)-3'-C-2-propenyl-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



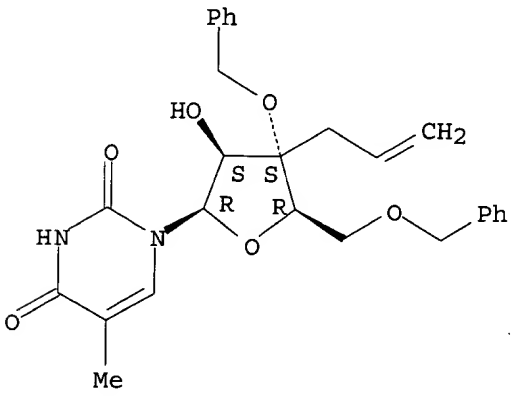
RN 191163-58-3 CAPLUS
CN Uridine, 5-methyl-3',5'-bis-O-(phenylmethyl)-3'-C-2-propenyl-, 2'-methanesulfonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 199931-09-4 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3,5-bis-O-(phenylmethyl)-3-C-2-propenyl-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

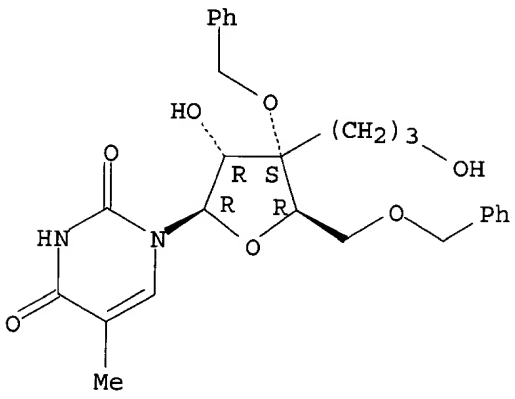
Absolute stereochemistry.



RN 199931-19-6 CAPLUS
CN Uridine, 3'-C-(3-hydroxypropyl)-5-methyl-3',5'-bis-O-(phenylmethyl)- (9CI)

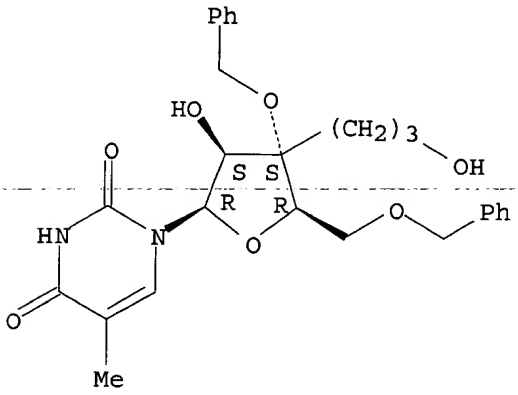
(CA INDEX NAME)

Absolute stereochemistry.



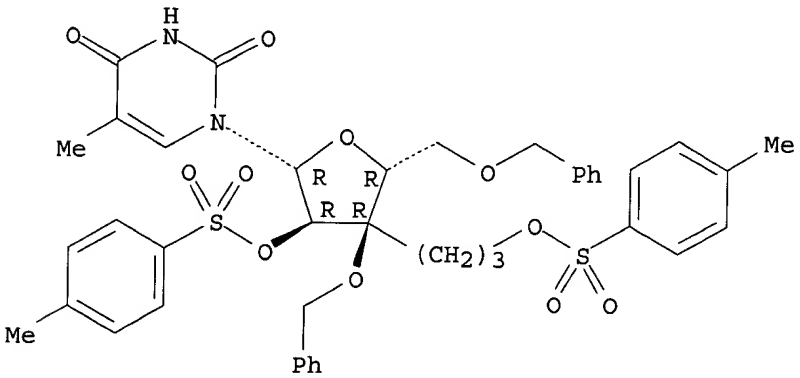
RN 199931-21-0 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-C-(3-hydroxypropyl)-3,5-bis-O-(phenylmethyl)-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 201358-15-8 CAPLUS
CN Uridine, 5-methyl-3'-C-[3-[[(4-methylphenyl)sulfonyl]oxy]propyl]-3',5'-bis-O-(phenylmethyl)-, 2'-(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

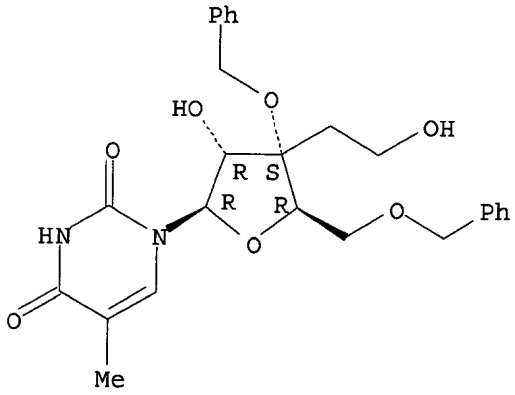
Absolute stereochemistry.



09567863

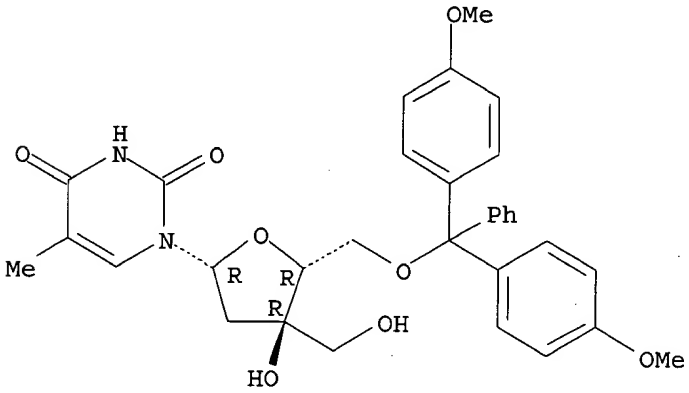
RN 201358-16-9 CAPLUS
CN Uridine, 3'-C-(2-hydroxyethyl)-5-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



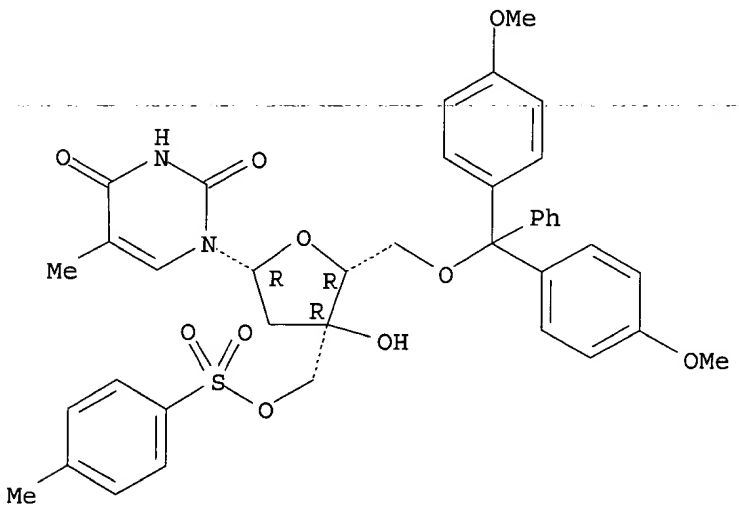
L8 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN 1997:387870 CAPLUS
DN 127:81731
TI Synthesis and evaluation of oligodeoxyribonucleotides containing 3'-C-aminomethyl- and 3'-C-methylthymidine
AU Wang, Guangyi; Middleton, Patrick J.; He, Liyan; Stoisavljevic, Vesna; Seifert, Wilfried E.
CS Research Department, ICN Pharmaceuticals, Inc., Costa Mesa, CA, 92626, USA
SO Nucleosides & Nucleotides (1997), 16(4), 445-454
CODEN: NUNUD5; ISSN: 0732-8311
PB Dekker
DT Journal
LA English
AB 3'-C-Aminomethyl- and 3'-C-methylthymidine were synthesized and incorporated into oligodeoxyribonucleotides. Hybridization and enzyme stability of the modified **oligonucleotides** contg. the 3'-C-branched thymidines are discussed.
IT **154468-74-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and enzymic stability of oligodeoxyribonucleotides contg. aminomethyl and methylthymidine)
RN 154468-74-3 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



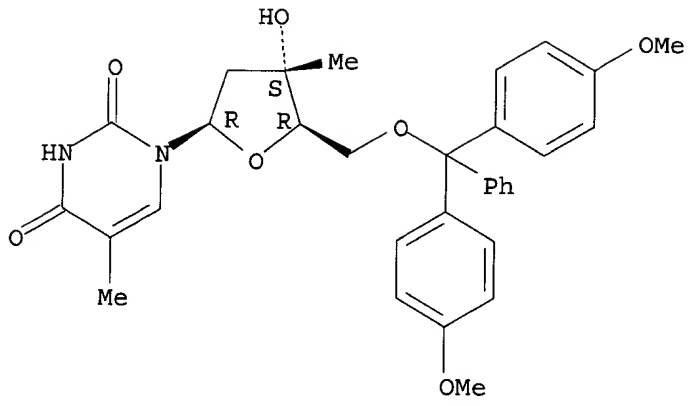
IT **179178-27-9P 179178-33-7P 179178-35-9P**
179178-36-0P 191801-33-9P 191801-35-1P
191801-36-2P 191801-37-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and enzymic stability of oligodeoxyribonucleotides contg. aminomethyl and methylthymidine)
RN 179178-27-9 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



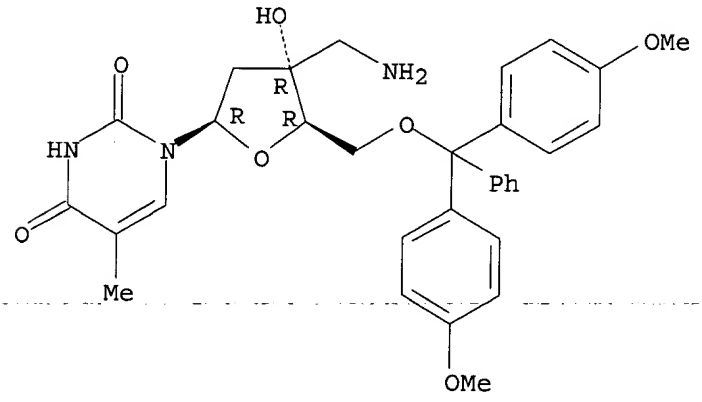
RN 179178-33-7 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



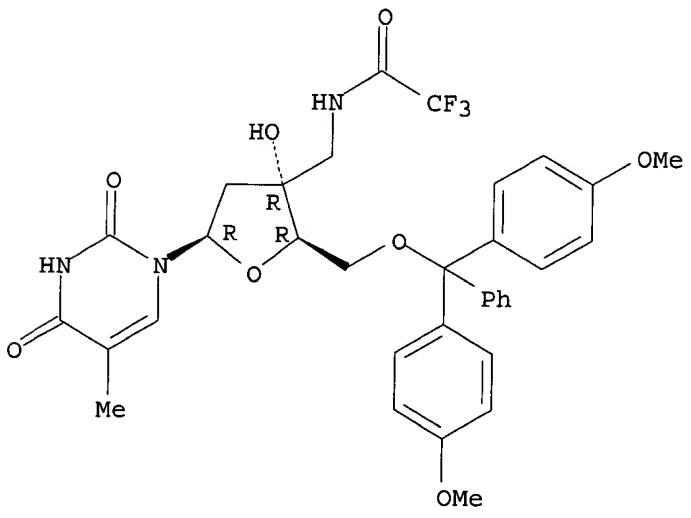
RN 179178-35-9 CAPLUS
CN Thymidine, 3'-C-(aminomethyl)-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-
(9CI) (CA INDEX NAME)

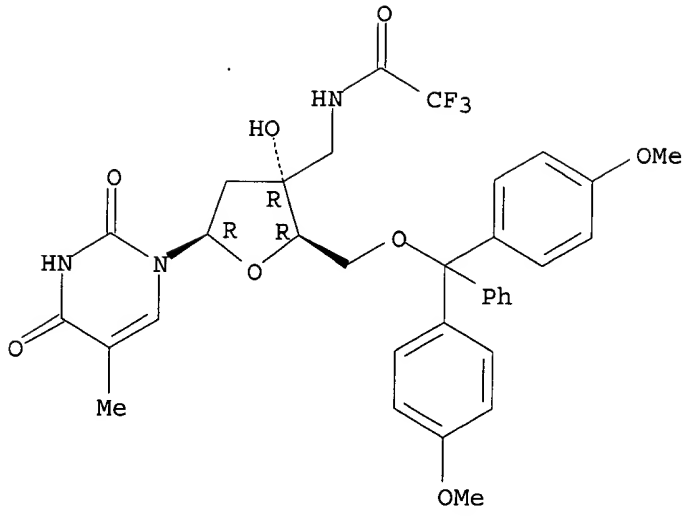
Absolute stereochemistry.



RN 179178-36-0 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-
[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

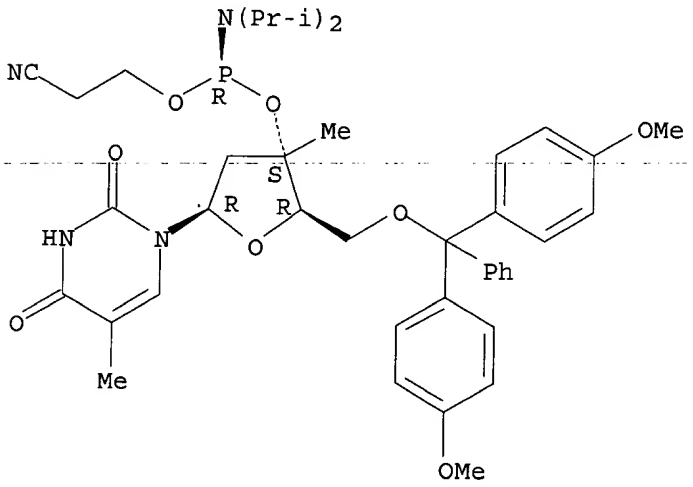
Absolute stereochemistry.





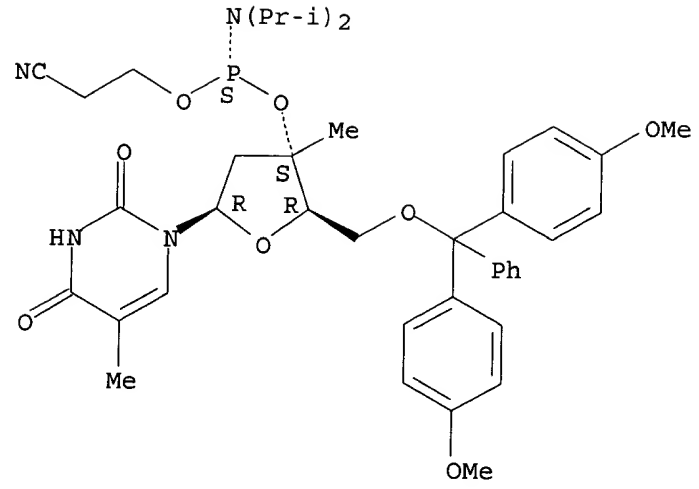
RN 191801-33-9 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-methyl-, 3'-[(R)-2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



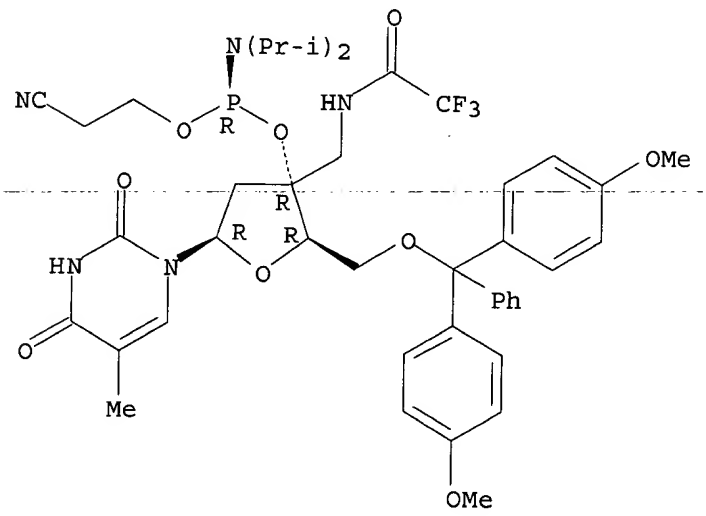
RN 191801-35-1 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-methyl-, 3'-[(S)-2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191801-36-2 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-[[(trifluoroacetyl)amino]methyl]-, 3'-[(R)-2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

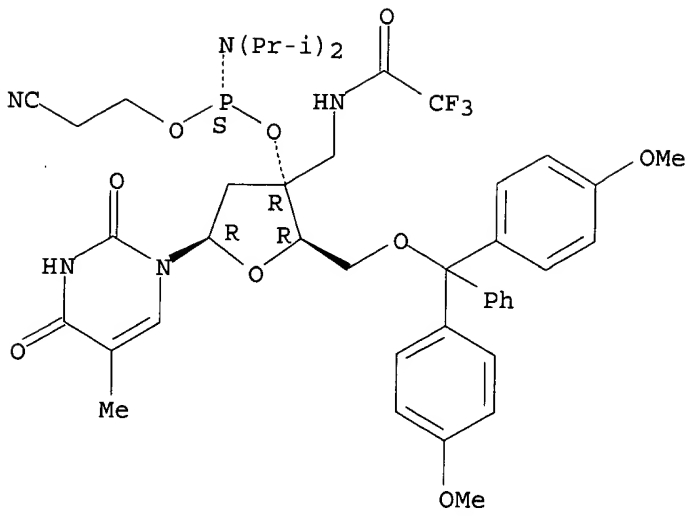
Absolute stereochemistry.



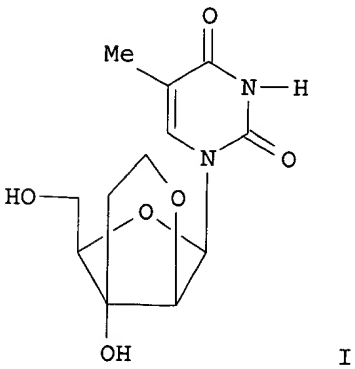
RN 191801-37-3 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-[[(trifluoroacetyl)amino]methyl]-, 3'-[(S)-2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09567863



L8 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN 1997:335478 CAPLUS
DN 127:81727
TI A novel class of conformationally restricted **oligonucleotide** analogs: synthesis of 2',3'-bridged monomers and RNA-selective hybridization
AU Nielsen, Poul; Pfundheller, Henrik M.; Wengel, Jesper
CS Dep. Chem., Odense Univ., Odense, 5230, Den.
SO Chemical Communications (Cambridge) (1997), (9), 825-826
CODEN: CHCOFS; ISSN: 1359-7345
PB Royal Society of Chemistry
DT Journal
LA English
GI



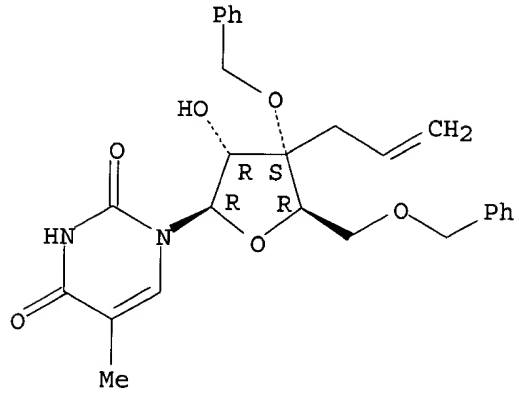
I

AB A novel 2',3'-bicyclic nucleoside I has been synthesized and incorporated into oligodeoxyribonucleotide analogs resulting win strong and selective binding to an RNA complement.
IT **191163-49-2P 191163-50-5P 191163-53-8P**
191163-54-9P 191163-58-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of bicyclic nucleoside and RNA-selective hybridization)
RN 191163-49-2 CAPLUS

09567863

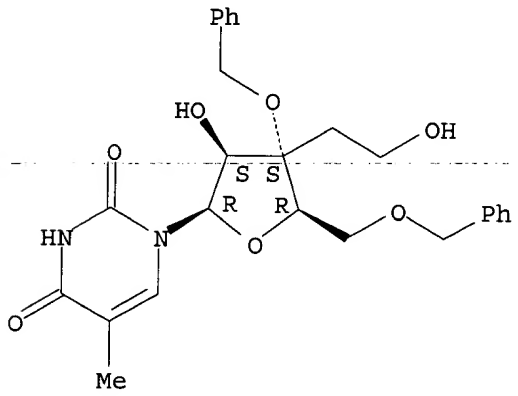
CN Uridine, 5-methyl-3',5'-bis-O-(phenylmethyl)-3'-C-2-propenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



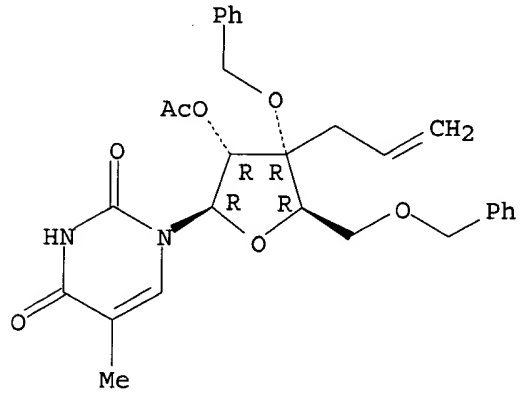
RN 191163-50-5 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-C-(2-hydroxyethyl)-3,5-bis-O-(phenylmethyl)-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



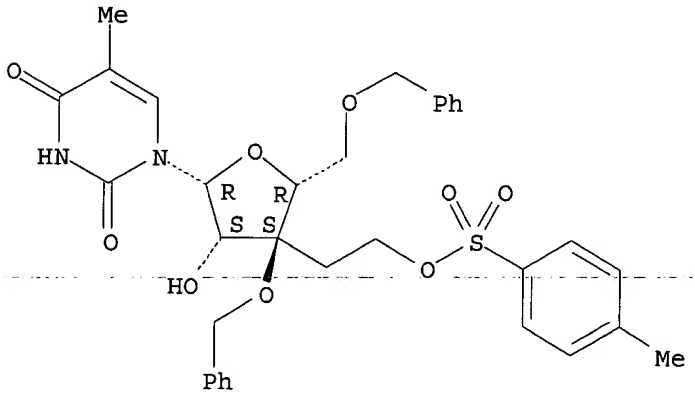
RN 191163-53-8 CAPLUS
CN Uridine, 5-methyl-3',5'-bis-O-(phenylmethyl)-3'-C-2-propenyl-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



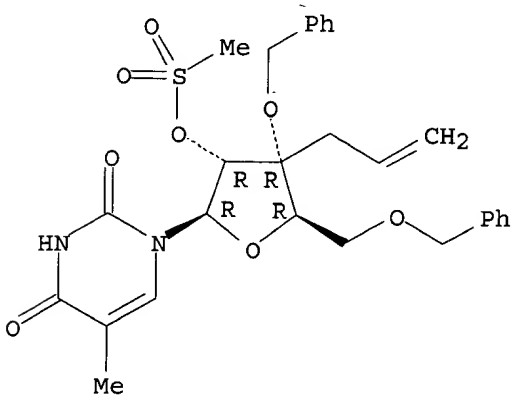
RN 191163-54-9 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[3-C-[2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-3,5-bis-O-(phenylmethyl)-.beta.-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191163-58-3 CAPLUS
CN Uridine, 5-methyl-3',5'-bis-O-(phenylmethyl)-3'-C-2-propenyl-, 2'-methanesulfonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN 1996:462341 CAPLUS
DN 125:115097
TI Preparation of sugar-modified nucleosides and their use for synthesis of oligodeoxyribonucleotides
IN Wang, Guangyi; Ramasamy, Kandasamy; Seifert, Wilfried
PA Icn Pharmaceuticals, USA
SO PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9614329	A1	19960517	WO 1995-US14600	19951102
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5681940	A	19971028	US 1994-333545	19941102
	CA 2202280	AA	19960517	CA 1995-2202280	19951102
	CA 2307311	AA	19960517	CA 1995-2307311	19951102
	AU 9641525	A1	19960531	AU 1996-41525	19951102
	AU 690394	B2	19980423		
	EP 789706	A1	19970820	EP 1995-939864	19951102
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1170412	A	19980114	CN 1995-196962	19951102
	HU 77516	A2	19980528	HU 1997-2445	19951102
	JP 10506915	T2	19980707	JP 1995-515519	19951102
	RU 2145964	C1	20000227	RU 1997-108591	19951102
	PL 184378	B1	20021031	PL 1995-319944	19951102
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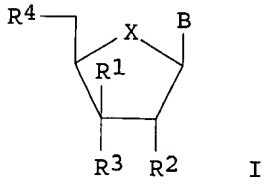
	WO 1995-US14600	W	19951102		

OS MARPAT 125:115097
GI



I

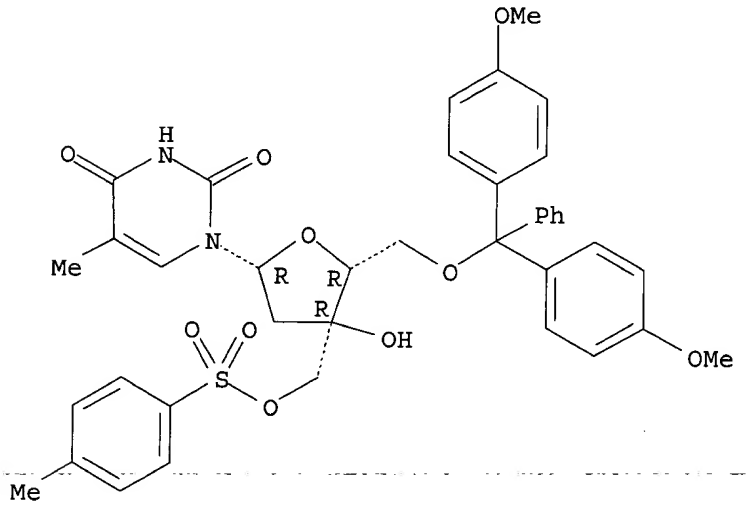
AB A no. of modified nucleosides I [B = adenine, cytosine, guanine, thymine, uracil; R1 = (un)substituted alkyl, aralkyl, aryl; R2 = H, OH, alkoxy, aralkoxy, aryloxy; R3 = OH, hydroxy blocking group; X = O, S, NH, CH2] are disclosed composed of modified sugar moieties which contain substituents at C1 and C4 positions, or branched substituents at C3 and C5 positions of deoxyribose or ribose. Each nucleoside is converted to or properly protected and then converted to the corresponding phosphoramidities. These phosphoramidites are used to assemble oligodeoxyribonucleotides in which there is at least one of the fore-noted nucleosides. These sugar modified **oligonucleotides** have the potential to be used as antisense therapies since they are expected to enhance nuclease resistance and cellular uptake while they

maintain sequence-specificity and affinity to nucleic acid targets in vitro or in vivo.

IT **179178-27-9P 179178-28-0P 179178-29-1P 179178-30-4P 179178-31-5P 179178-33-7P 179178-34-8P 179178-35-9P 179178-36-0P 179178-37-1P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of sugar-modified nucleosides and their use for synthesis of oligodeoxyribonucleotides)

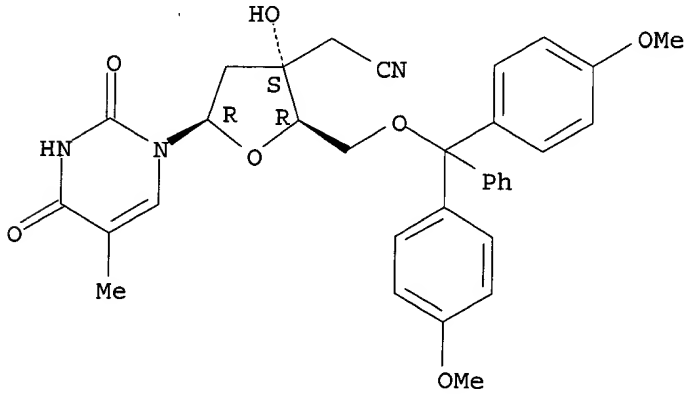
RN 179178-27-9 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



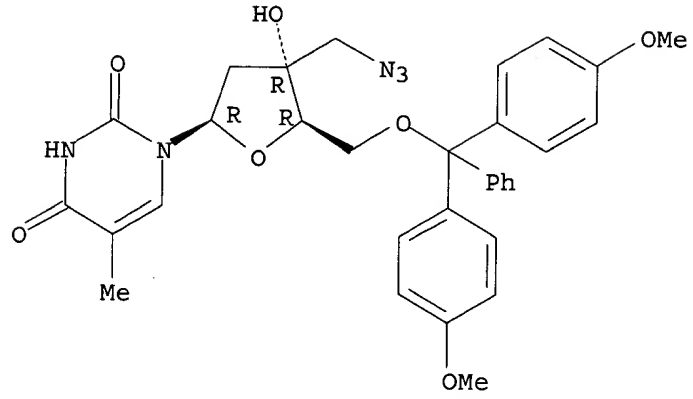
RN 179178-28-0 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-(cyanomethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



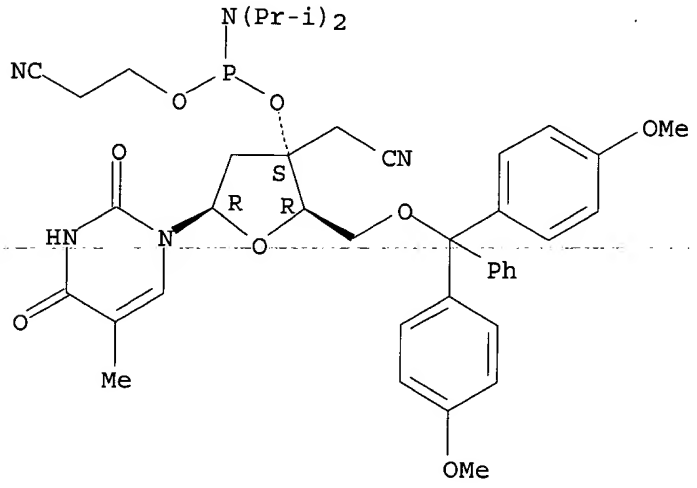
RN 179178-29-1 CAPLUS
CN Thymidine, 3'-C-(azidomethyl)-5'-O-[bis(4-methoxyphenyl)phenylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



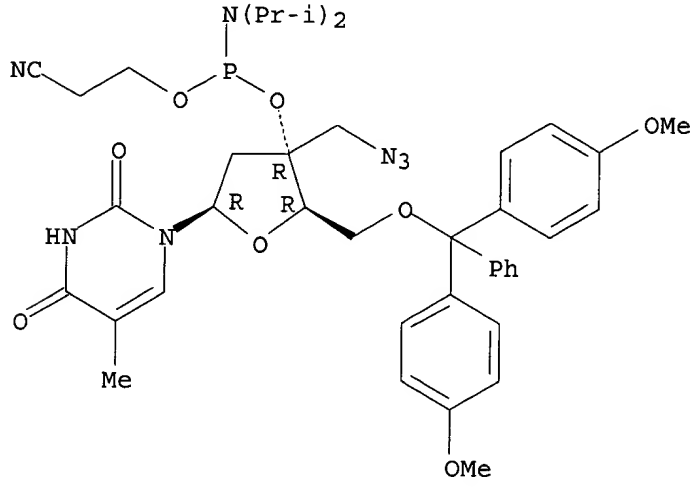
RN 179178-30-4 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-(cyanomethyl)-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



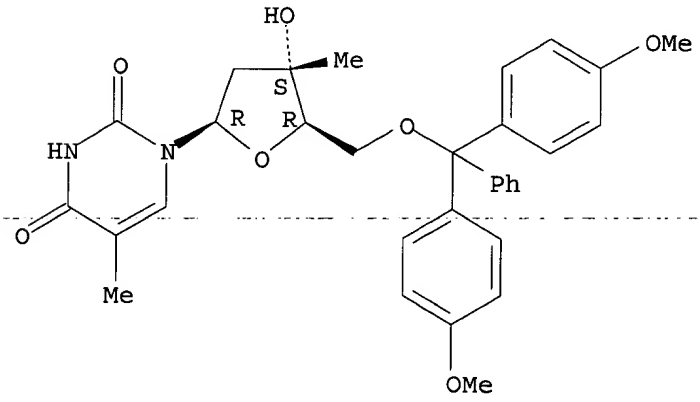
RN 179178-31-5 CAPLUS
CN Thymidine, 3'-C-(azidomethyl)-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



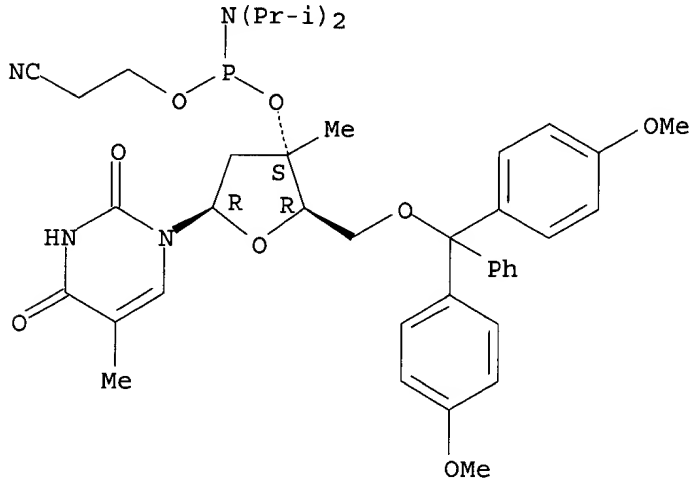
RN 179178-33-7 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



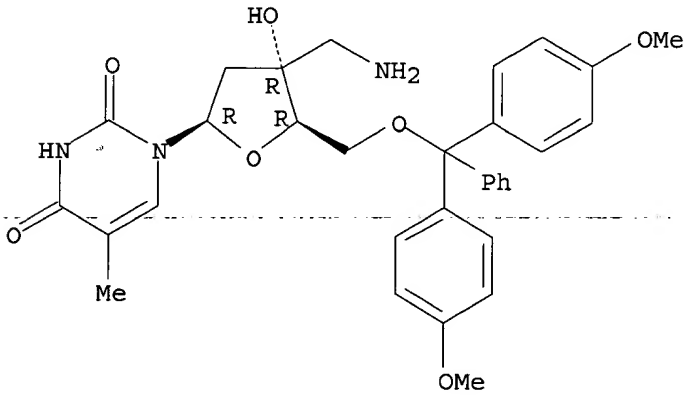
RN 179178-34-8 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-methyl-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



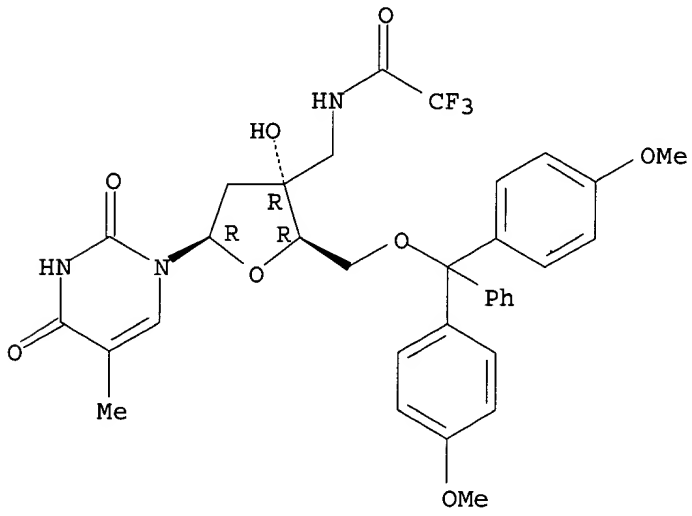
RN 179178-35-9 CAPLUS
CN Thymidine, 3'-C-(aminomethyl)-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



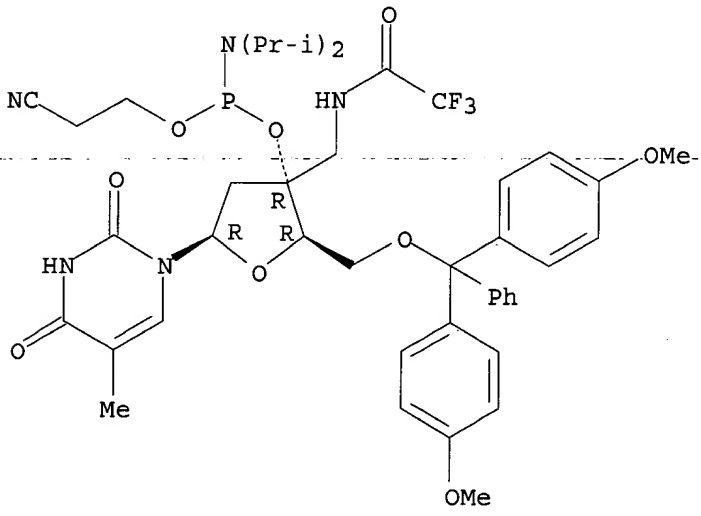
RN 179178-36-0 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-
[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179178-37-1 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-[[(trifluoroacetyl)amino]methyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

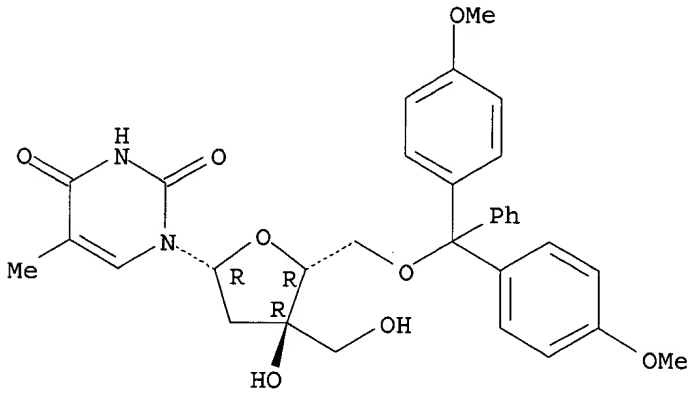
Absolute stereochemistry.



IT **154468-74-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of sugar-modified nucleosides and their use for synthesis of oligodeoxyribonucleotides)
RN 154468-74-3 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-(hydroxymethyl)-(9CI) (CA INDEX NAME)

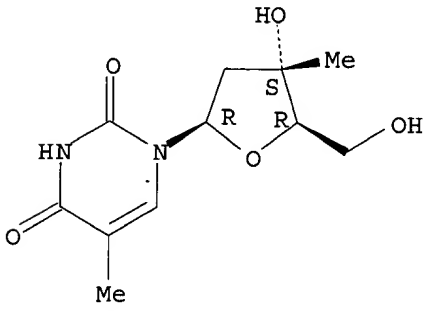
Absolute stereochemistry.

09567863



L8 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN 1995:66277 CAPLUS
DN 122:56380
TI The effects of 2'- and 3'-alkyl substituents on **oligonucleotide** hybridization and stability
AU Schmit, Chantal; Bevierre, Marc-Olivier; De Mesmaeker, Alain; Altmann, Karl-Heinz
CS Cent. Res. Lab., CIBA, Basel, CH-4002, Switz.
SO Bioorganic & Medicinal Chemistry Letters (1994), 4(16), 1969-74
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English
AB The hybridization properties and nuclease resistance of 2'- and 3'-alkyl, -heteroalkyl, -alkenyl, and -aryl substituted oligodeoxyribonucleotides have been investigated. While such modified **oligonucleotides** generally exhibit reduced binding affinity for complementary RNA and DNA, a dramatic increase in stability against 3'-exonucleases was obsd. for certain 2'-substituents.
IT **130411-39-1P 159312-41-1P 159312-43-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn., hybridization, and exonuclease stability of oligodeoxyribonucleotides)
RN 130411-39-1 CAPLUS
CN Thymidine, 3'-C-methyl- (9CI) (CA INDEX NAME)

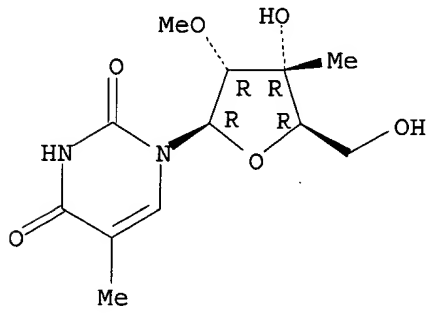
Absolute stereochemistry.



RN 159312-41-1 CAPLUS
CN Uridine, 5-methyl-3'-C-methyl-2'-O-methyl- (9CI) (CA INDEX NAME)

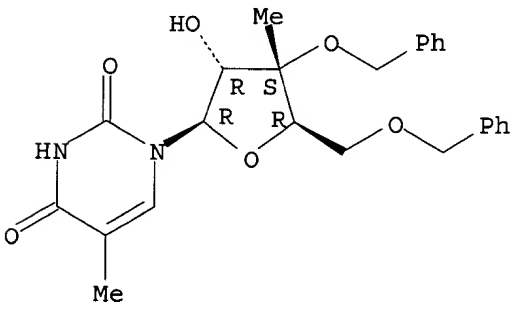
Absolute stereochemistry.

09567863

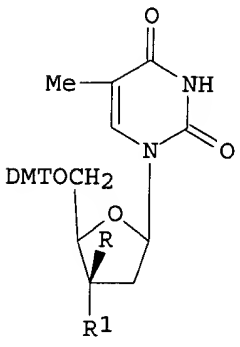


RN 159312-43-3 CAPLUS
CN Uridine, 5-methyl-3'-C-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN 1994:271050 CAPLUS
DN 120:271050
TI Synthesis of 3'-C-(Hydroxymethyl)thymidine: Introduction of a Novel Class of Deoxynucleosides and Oligodeoxynucleotides
AU Joergensen, Pia N.; Stein, Paul C.; Wengel, Jesper
CS Department of Chemistry, Odense University, Odense, DK-5230, Den.
SO Journal of the American Chemical Society (1994), 116(5), 2231-2
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA English
GI



I

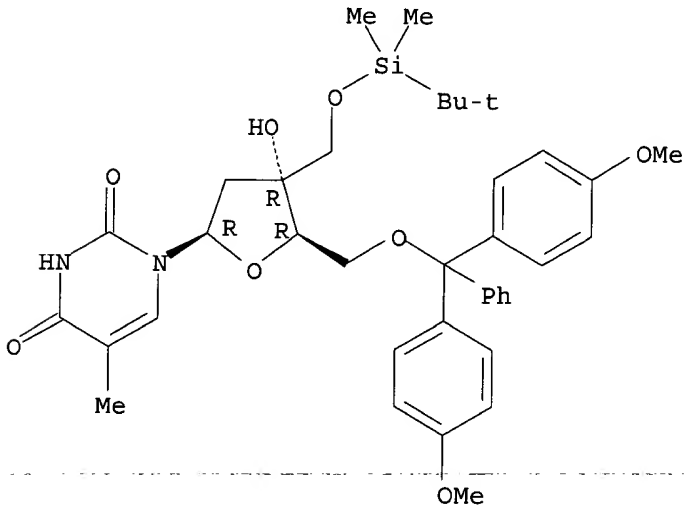
AB Stereoselective hydroxylation of the nucleoside I [RR1 = CH2] gave the diol I [R = CH2OH, R1 = OH] which was deblocked to give the title compd. I [R = CH2OH, R1 = OH] was also converted to the phosphoramidite I [R = CH2OSiMe2CMe3, R1 = OP(OCH2CH2CN)N(CHMe2)2] which was incorporated into several **oligonucleotide** sequences with enhanced stability to snake venom phosphodiesterase.

IT **154468-75-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and conversion of, to phosphoramidite)

RN 154468-75-4 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

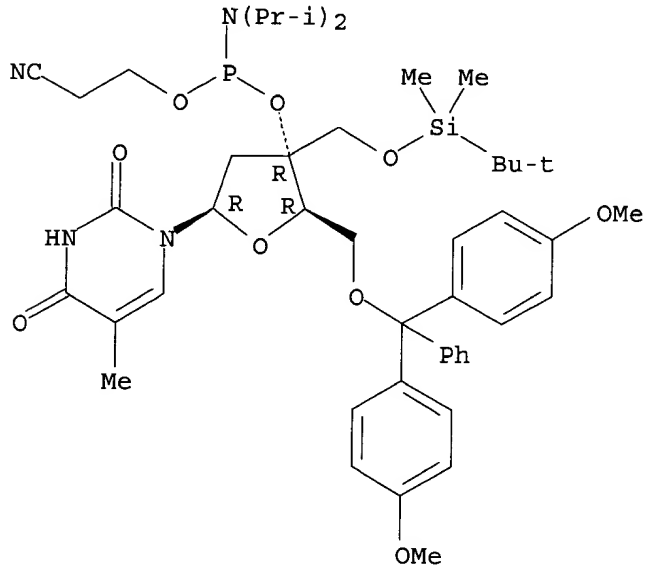


IT **154468-76-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and **oligonucleotide** synthesis with)

RN 154468-76-5 CAPLUS

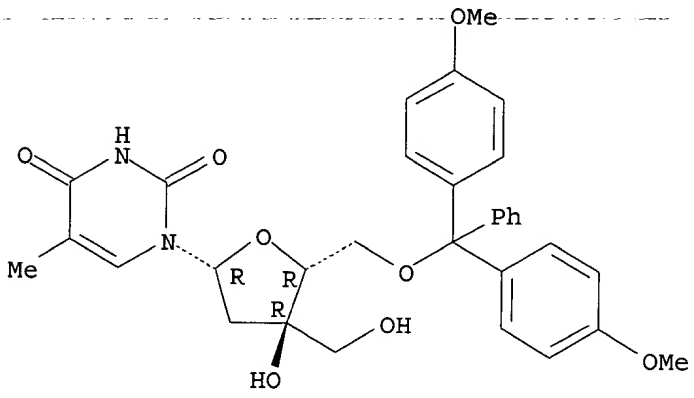
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **154468-74-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of hydroxymethylthymidine)
RN 154468-74-3 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

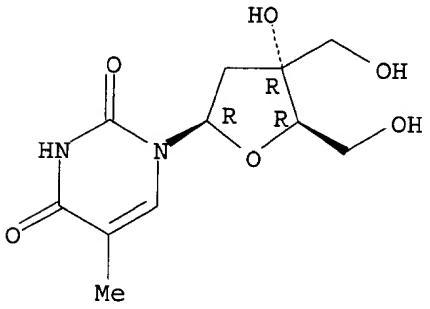
Absolute stereochemistry.



IT **154468-77-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 154468-77-6 CAPLUS
CN Thymidine, 3'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09567863



L8 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN 1994:135077 CAPLUS
DN 120:135077
TI Recovery of protected nucleosides
IN Brill, Wolfgang K. D.
PA Ciba-Geigy A.-G., Switz.
SO Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

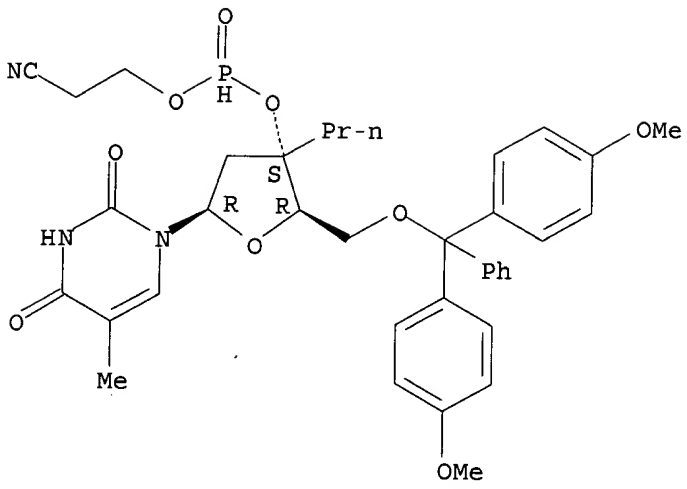
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 563010	A2	19930929	EP 1993-810184	19930315
	EP 563010	A3	19940914		
	EP 563010	B1	19990428		
	R: AT, BE, CH, DE, DK, ES, FR, GB, IE, IT, LI, NL, PT, SE				
	US 5268464	A	19931207	US 1993-31455	19930315
	AT 179427	E	19990515	AT 1993-810184	19930315
	ES 2130241	T3	19990701	ES 1993-810184	19930315
	CA 2092038	AA	19930924	CA 1993-2092038	19930319
	AU 9335399	A1	19930930	AU 1993-35399	19930322
	AU 680610	B2	19970807		
	ZA 9302010	A	19931013	ZA 1993-2010	19930322
	JP 06009673	A2	19940118	JP 1993-64211	19930323
PRAI	CH 1992-906		19920323		

AB Protected nucleosides and **oligonucleotides** can be recovered from the nucleoside phosphoramidite hydrolyzate waste product in **oligonucleotide** synthesis by treating the waste with an alc. or a polyol in presence of a catalytic amt. of a base with a pK of 4-10. Thus, 5'-dimethoxytritylthymidin-3'-yl 2-cyanoethyl N,N-diisopropylphosphoramidite was hydrolyzed to the H phosphonate by treatment with H2O in presence of tetrazole in MeCN. The phosphonate was then treated with MeOH in presence of imidazole for 24h to give 82.5% 5'-dimethoxytritylthymidine. Similarly, thymidine 5'-cyanoethyl hydrogen phosphonate-derivatized controlled pore glass was treated with 2-cyanoethanol in presence of N-methylmorpholine for 100 min. to give thymidine contg. a small amt. of 5'-thymidyl monophosphate.

IT **152998-01-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(conversion to protected nucleoside in **oligonucleotide** synthesis)

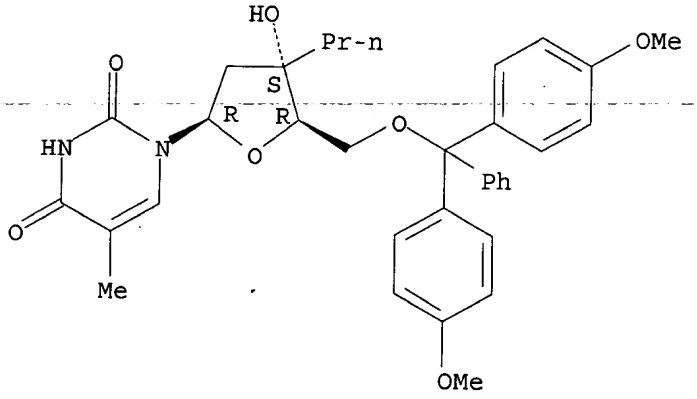
RN 152998-01-1 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-propyl-, 3'-(2-cyanoethyl phosphonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **152998-12-4P**
RL: PREP (Preparation)
(recovery from phosphoramidite waste in **oligonucleotide** synthesis)
RN 152998-12-4 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=>

R4

09567863

=> file reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	762.88	2086.51

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-107.42	-245.43

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in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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L10 STRUCTURE UPLOADED

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L10 HAS NO ANSWERS
L10 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 14:46:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3465 TO ITERATE

100.0% PROCESSED 3465 ITERATIONS 832 ANSWERS
SEARCH TIME: 00.00.01

L11 832 SEA SSS FUL L10

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COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.15	2234.66

09567863

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CA SUBSCRIBER PRICE	0.00	-245.43

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FILE COVERS 1907 - 28 Mar 2003 VOL 138 ISS 14
FILE LAST UPDATED: 27 Mar 2003 (20030327/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l11
L12 193 L11

=> s l12 and oligonucleotide?
61604 OLIGONUCLEOTIDE?
L13 37 L12 AND OLIGONUCLEOTIDE?

=> d l13 bib abs hitstr 1-37

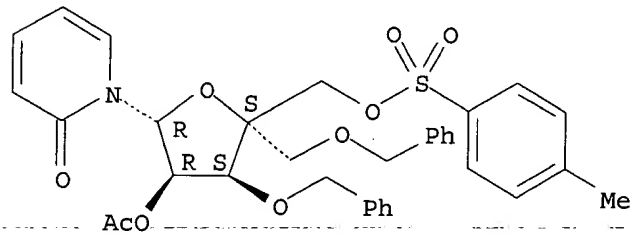
L13 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 2002:840305 CAPLUS
DN 138:132743
TI Stable **oligonucleotide**-directed triplex formation at target sites with CG interruptions: strong sequence-specific recognition by 2',4'-bridged nucleic-acid-containing 2-pyridones under physiological conditions
AU Obika, Satoshi; Hari, Yoshiyuki; Sekiguchi, Mitsuaki; Imanishi, Takeshi
CS Graduate School of Pharmaceutical Sciences, Osaka University, Suita, 5650871, Japan
SO Chemistry--A European Journal (2002), 8(20)., 4796-4802
CODEN: CEUJED; ISSN: 0947-6539
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
AB A sequence of double-stranded DNA (dsDNA) which can be recognized by a triplex-forming **oligonucleotide** (TFO) is limited to a homopurine - homopyrimidine sequence. To develop novel nucleoside analogs which recognize CG interruption in homopurine - homopyrimidine dsDNA, we synthesized a novel 2'-O,4'-C-methyleneribonucleic acid (2'-O,4'-C-methylene bridged nucleic acid; 2',4'-BNA) that bears the unnatural nucleobases, 2-pyridone (PB) or its 5-Me congener (mPB); these analogs were introduced into pyrimidine TFOs using a DNA synthesizer. A TFO with a 2'-deoxy-.beta.-D-ribofuranosyl-2-pyridone (P) or 2',4'-BNA abasic monomer (HB) was also synthesized. The triplex-forming ability of various synthesized 15-mer TFOs and the corresponding homopurine -

homopyrimidine dsDNA, which contained a single pyrimidine - purine (PyPu) interruption, was examd. in UV melting expts. It was found that PB and mPB in the TFOs successfully recognized CG interruption under physiol. conditions (7mM sodium phosphate, 140mM KCl, 5mM spermine, pH 7.0). Furthermore, triplex formation between the dsDNA target which contained three CG interruptions and the TFO with three PB units was also confirmed. Addnl. four-point 2',4'-BNA modifications of the TFO contg. three PB units significantly enhanced its triplex-forming ability towards the dsDNA and had a Tm value of 43.degree. under physiol. conditions. These results indicate that a crit. inherent problem of TFOs, namely, the sequence limitation of the dsDNA target, may be overcome to a large extent and this should promote antigene applications of TFOs in vitro and in vivo.

IT **357436-34-1P 491842-73-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(strong sequence-specific recognition by 2',4'-bridged nucleic-acid-contg. 2-pyridones to form stable **oligonucleotide** -directed triplex formation at target sites with CG interruptions)

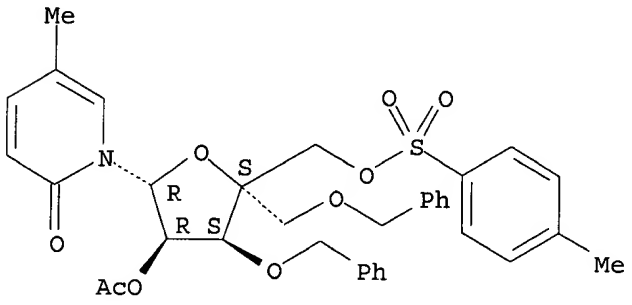
RN 357436-34-1 CAPLUS
CN 2(1H)-Pyridinone, 1-[2-O-acetyl-5-O-[(4-methylphenyl)sulfonyl]-4-C-[(phenylmethoxy)methyl]-3-O-(phenylmethyl)-.alpha.-L-lyxofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 491842-73-0 CAPLUS
CN 2(1H)-Pyridinone, 1-[2-O-acetyl-5-O-[(4-methylphenyl)sulfonyl]-4-C-[(phenylmethoxy)methyl]-3-O-(phenylmethyl)-.alpha.-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 2002:708814 CAPLUS
DN 137:263267
TI Preparation of new 3'-4' bridged nucleosides and **oligonucleotide**

09567863

analogs containing them and nucleic acid agents containing them

IN Kaneko, Masakatsu; Morita, Hiroshi; Imanishi, Takeshi

PA Sankyo Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 52 pp.
CODEN: JKXXAF

DT Patent

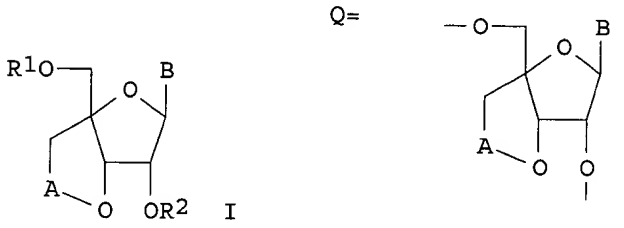
LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2002265489	A2	20020918	JP 2001-384108	20011218
PRAI JP 2000-384656	A	20001219		

OS MARPAT 137:263267

GI



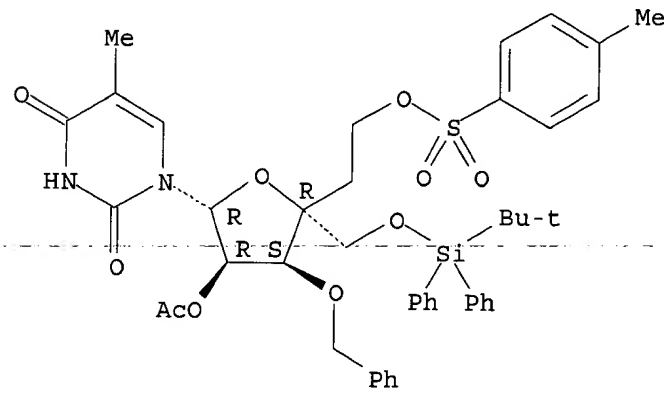
AB The present invention is related to antiviral 2-5 A (2',5'-oligoadenylic acid) analogs and nucleic acid agents and drugs contg. new 3'-4' bridged nucleosides and 3'-4' bridged **oligonucleotide** analogs which possess stability against nuclease and excellent antisense and antigene activity or activity for detecting specific genes as probes or initiating amplification of specific genes as primers. Nucleic acid agents contg. 3'-4' bridged nucleosides [I; R1, R2 = H, hydroxy-protecting group in nucleic acid synthesis, PO3H2 optionally protected by a protecting group in nucleic acid synthesis, P(R3)R4 (wherein R3, R4 = HO or SH optionally protected by a protecting group in nucleic acid synthesis, NH2, C1-4 alkoxy, C1-4 alkylthio, C1-5 cyanoalkoxy, C1-4 alkylamino); A = C1-4 alkylene; B = purin-9-yl or 2-oxo-1,2-dihydropyrimidin-1-yl optionally possessing a substituent selected from HO, SH, or NH2 each optionally protected by a protecting group in nucleic acid synthesis, C1-4 alkoxy, C1-4 alkylthio, C1-4 alkylamino, C1-4 alkyl, and halo] or salts thereof are claimed. Drugs contg. **oligonucleotides** contg. 1 or .gtoreq.2 structure Q (A, B = same as above; when the **oligonucleotide** contains .gtoreq. 2Q, B is same or different) or pharmacol. acceptable salts thereof are claimed. Nucleic acid agents contg. **oligonucleotides** contg. 1 or .gtoreq.2 structure Q (A, B = same as above; when the **oligonucleotide** contains .gtoreq. 2Q, B is same or different) or pharmacol. acceptable salts thereof are claimed, which are useful as probes for specific genes or primers for initiating amplification of specific genes. Thus, 1.88 g 1,2,5-tri-O-acetyl-3-O,4-C-ethylene-D-ribofuranose (prepn. given) was dissolved in 100 mL 1,2-dichloroethane, treated with 4.60 g N6-benzoyl-9,N6-bis(trimethylsilyl)adenine and then dropwise with 1.01 mL trimethylsilyl trifluoromethanesulfonate and refluxed for 8 h to give 84% 2',5'-di-O-acetyl-3'-C,4'-C-ethylene-N6-benzoyladenosine which (1.39 g) was dissolved in 15 mL pyridine, stirred with 6 mL 1 N aq. NaOH at room temp. for 20 min, and neutralized with 0.1 N aq. AcOH to give 77% 3'-C,4'-C-ethylene-N6-benzoyladenosine (II). II was dried by azeotropic coevaporation with anhyd. pyridine, dissolved in 20 mL pyridine, and stirred with 2.7 g 4,4'-dimethoxytrityl trifluoromethanesulfonate at 100.degree. for 5 h to give 27% 5'-(4,4'-dimethoxytrityl)-3'-C,4'-C-

ethylene-N6-benzoyladenosine which (820 mg) was dried as above, dissolved in 20 mL CH2Cl2 and stirred with 340 mg N,N-diisopropylamine tetrazole salt and 2-cyanoethyl-N,N,N',N'-tetraisopropylphosphoramidite at 45.degree. for 5 h to give 5'-(4,4'-dimethoxytrityl)-3'-C,4'-C-ethylene-N6-benzoyladenosine-2'-O-(2-cyanoethyl-N,N-diisopropylphosphoramidite) (III). A modified **oligonucleotide** analog, 5'-TTTTTTTTTTnT-3' (IV; N = 3'-C,4'-C-ethylene-adenosine residue), was prepd. by a DNA/RNA synthesizer (ABI model 1392, PE Biosystems Corp.) using III in the solid-phase phosphoramidite method. IV exhibited conspicuous resistance against nuclease compared to natural-type **oligonucleotides**.

IT **454715-84-5P 454715-85-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of new 3'-4' bridged nucleosides and **oligonucleotide** analogs contg. them and drugs or nucleic acid agents contg. them as DNA probes or primers for gene amplification)

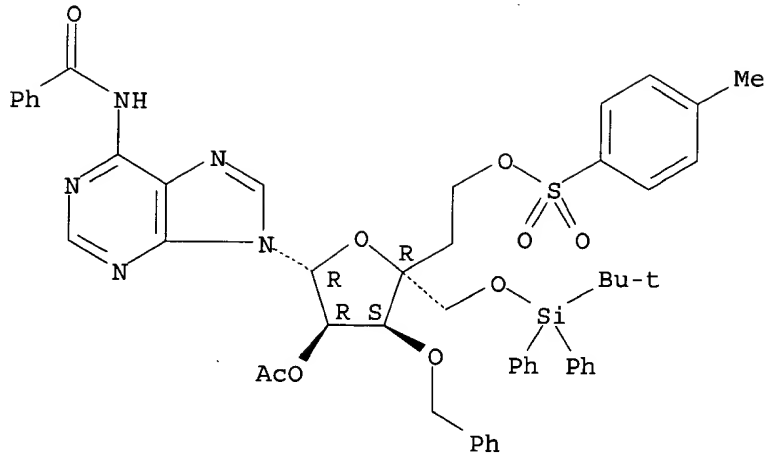
RN 454715-84-5 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-O-acetyl-5-deoxy-4-C-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-6-O-[(4-methylphenyl)sulfonyl]-3-O-(phenylmethyl)-.alpha.-L-lyxo-hexofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 454715-85-6 CAPLUS
CN Benzamide, N-[9-[2-O-acetyl-5-deoxy-4-C-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-6-O-[(4-methylphenyl)sulfonyl]-3-O-(phenylmethyl)-.alpha.-L-lyxo-hexofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

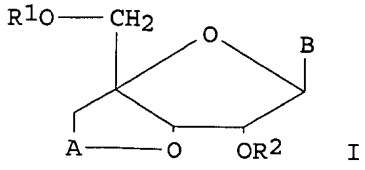
Absolute stereochemistry.



L13 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 2002:672253 CAPLUS
DN 137:210921
TI 2',5'-Oligoadenylate analogs
IN Koizumi, Makoto; Morita, Hiroshi; Kaneko, Masakatsu; Imanishi, Takeshi
PA Sankyo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 73 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002249497	A2	20020906	JP 2001-385687	20011219
PRAI	JP 2000-389200	A	20001221		

OS MARPAT 137:210921
GI



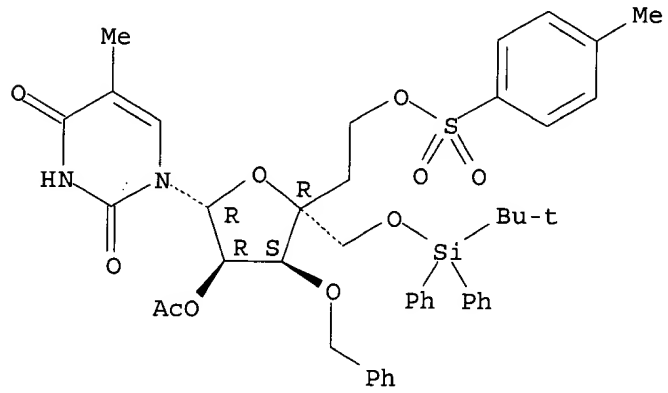
AB A new 3'-4' crosslinking nucleotide analog, a non-natural **oligonucleotide** analog that possesses antiviral, antitumor and the superior antisense activity with high stability in vivo and little side effects, as well as its prodn. intermediate (a new 3'-4' crosslinking nucleoside analog) are offered. The general structure I of this chem. compd. and its salt is displayed (where R1, R2 = H, PO4, OH, SH, amino group, alkoxy group, alkyl thio group; A = C1-4 alkylene; B = purin-9-yl group, 2-oxo-1,2-dihydropyrimidin-1-yl group or substituted purin-9-yl and 2-oxo-1,2-dihydropyrimidin-1-yl group).

IT **454715-84-5P 454715-85-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(2',5'-oligoadenylate analog as antitumor and antiviral agents)

RN 454715-84-5 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-O-acetyl-5-deoxy-4-C-[[[(1,1-

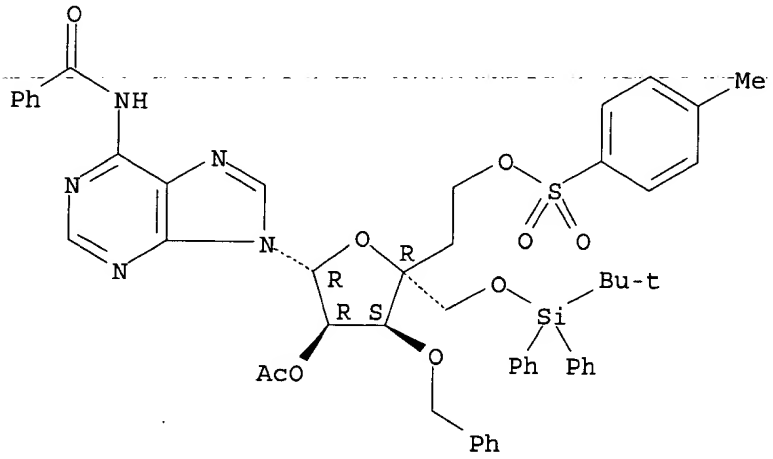
dimethylethyl)diphenylsilyl]oxy]methyl]-6-O-[(4-methylphenyl)sulfonyl]-3-O-(phenylmethyl)-.alpha.-L-lyxo-hexofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 454715-85-6 CAPLUS
CN Benzamide, N-[9-[2-O-acetyl-5-deoxy-4-C-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-6-O-[(4-methylphenyl)sulfonyl]-3-O-(phenylmethyl)-.alpha.-L-lyxo-hexofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

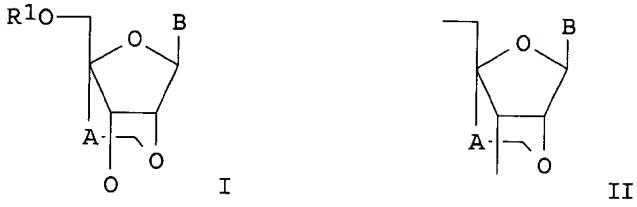
Absolute stereochemistry.



L13 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 2002:648429 CAPLUS
DN 137:217175
TI Preparation of 2'-O,4'-C-ethylene nucleoside analogs and **oligonucleotide** analogs and nucleic acid reagent and drugs containing them
IN Kaneko, Masakatsu; Morita, Hiroshi; Imanishi, Takeshi
PA Sankyo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 49 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

09567863

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002241393	A2	20020828	JP 2001-241033	20010808
PRAI	JP 2000-242247	A	20000810		
OS	MARPAT 137:217175				
GI					

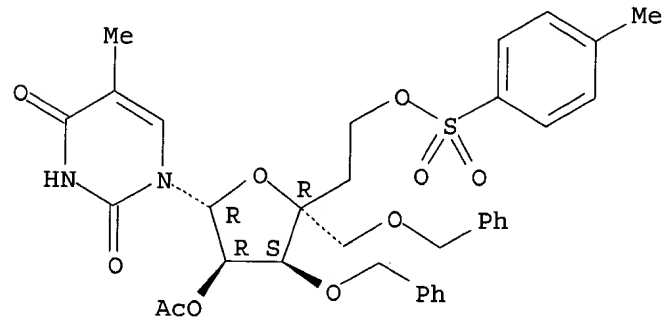


AB Nucleic acid reagents contg. new **oligonucleotide** analogs or drugs contg. them, which exhibit stability in vivo, excellent antisense and antigene activity, or excellent activity as probes for detecting specific genes or primers for initiating amplification of specific genes (no data), drugs contg. them, and intermediates thereof are prepd. Nucleic acid reagents contg. compds. represented by general formula [I; R1, R2 = H, HO-protecting group for nucleic acid synthesis, P(O)(OH)2 optionally protected by a protecting group for nucleic acid synthesis, PR3R4 (wherein R3, R4 = HO, SH, or NH2 optionally protected by a protecting group for nucleic acid synthesis, C1-4 alkoxy, C1-4 alkylthio, C1-5 cyanoalkoxy, mono or di(C1-4 alkyl)amino); A = C1-4 alkylene; B = purin-9-yl or 2-oxo-1,2-dihydropyrimidin-1-yl optionally substituted by HO, SH, or NH2 optionally protected by a protecting group for nucleic acid synthesis, mono or di(C1-4 alkyl)amino, C1-4 alkyl, or halo] or salts thereof are claimed. **Oligonucleotides** contg. a structure represented by formula (II; A, B = same as above) are also claimed. Thus, 500 mg trimethylsilylated thymine was added to a soln. of 650 mg 1,2-di-O-acetyl-3,5-di-O-benzyl-4-[2-(p-toluenesulfonyloxy)ethyl]-.alpha.-D-erythropentofuranose in 15 mL 1,2-dichloroethane, followed by adding 0.36 mL trimethylsilyl triflate, and the resulting mixt. was stirred at room temp. 50.degree. for 1 h to give 60% 2'-O-acetyl-3',5'-di-O-benzyl-4-[2-(p-toluenesulfonyloxy)ethyl]uridine which (418 mg) was dissolved in a 65:30:5 mixt. of pyridine, MeOH, and H2O (5 mL), treated with 2 N aq. NaOH at 0.degree., and stirred at room temp. for 15 min to give 79% 3',5'-di-O-benzyl-2'-O,4'-C-ethylene-5-methyluridine (III). III (1.45 g) was dried by azeotropic evapn. with anhyd. pyridine, dissolved in anhyd. pyridine, treated with 2.59 g 4,4'-dimethoxytrityl chloride, and stirred overnight at room temp. to give 81% 5'-O-(4,4'-dimethoxytrityl)-2'-O,4'-C-ethylene-5-methyluridine which (4.72 g) was similarly dried by anhyd. pyridine, dissolved in 142 mL anhyd. CH2Cl2, treated dropwsie with 2.16 mL 2-cyanoethyl N,N-diisopropylchlorophosphoramidite, and stirred at room temp. for 6 h to give 5'-O-(4,4'-dimethoxytrityl)-2'-O,4'-C-ethylene-5-methyluridine-3'-O-(2-cyanoethyl N,N-diisopropylphosphoramidite) (IV). An **oligonucleotide** analog, 5'-gcgt1t1t1t1t1gct-3' (V; t1 = 2'-O,4'-C-ethylenethymidine), was prepd. by a PerkinElmer ABI model 392 DNA/RNA synthesizer using IV. V and 5'-agcaaaaaacgc-3' showed Tm of 75.degree. vs. 44.degree. for natural 5'-gcgtttttgct-3'.

IT **287737-66-0P 287737-67-1P 287737-68-2P**
287737-69-3P 287737-71-7P 452949-27-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 2'-O,4'-C-ethylene nucleoside analogs and **oligonucleotide** analogs and nucleic acid reagent and drugs contg. them)

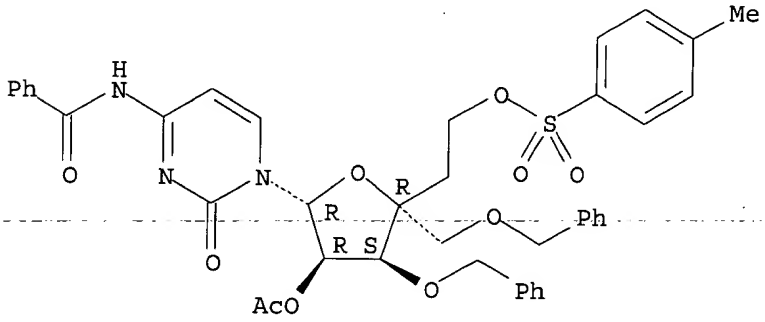
RN 287737-66-0 CAPLUS
CN Uridine, 5-methyl-4'-C-[2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



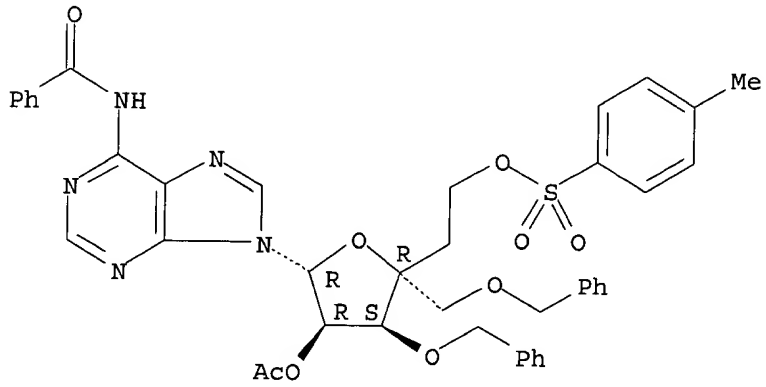
RN 287737-67-1 CAPLUS
CN Cytidine, N-benzoyl-4'-C-[2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 287737-68-2 CAPLUS
CN Adenosine, N-benzoyl-4'-C-[2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

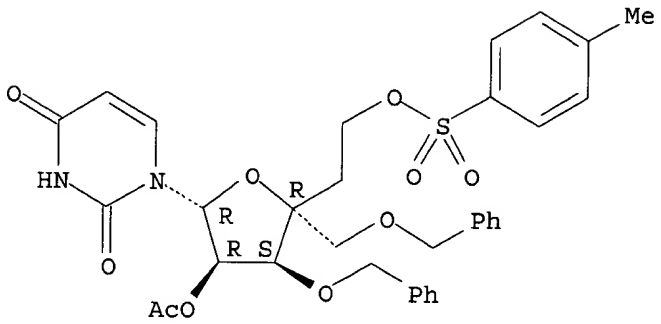
Absolute stereochemistry.



RN 287737-69-3 CAPLUS
CN Uridine, 4'-C-[2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-3',5'-bis-O-

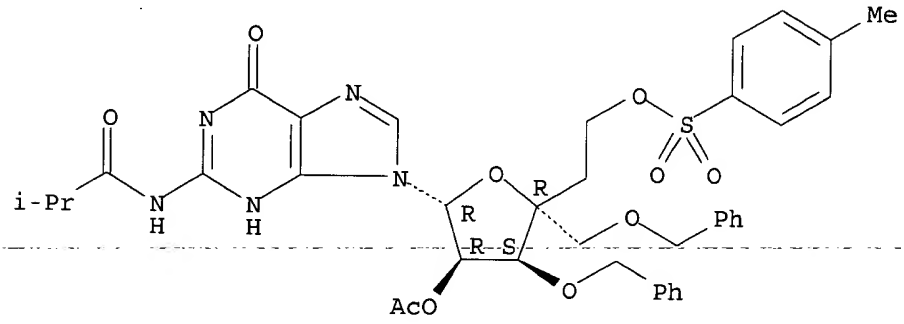
(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



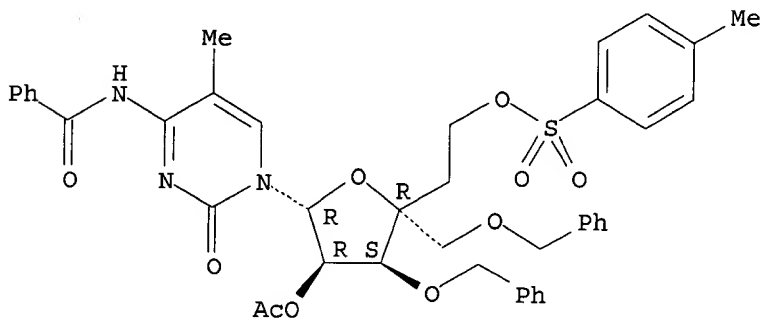
RN 287737-71-7 CAPLUS
CN Guanosine, N-(2-methyl-1-oxopropyl)-4'-C-[2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 452949-27-8 CAPLUS
CN Cytidine, N-benzoyl-5-methyl-4'-C-[2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 2002:264498 CAPLUS
DN 137:169727
TI Synthesis and conformation of 3',4'-BNA monomers, 3'-O,4'-C-methyleneribonucleosides

09567863

AU Obika, Satoshi; Morio, Ken-ichiro; Nanbu, Daishu; Hari, Yoshiyuki; Itoh, Hiromi; Imanishi, Takeshi

CS Graduate School of Pharmaceutical Sciences, Osaka University, 1-6 Yamadaoka, Suita, Osaka, 565-0871, Japan

SO Tetrahedron (2002), 58(15), 3039-3049
CODEN: TETRAB; ISSN: 0040-4020

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 137:169727

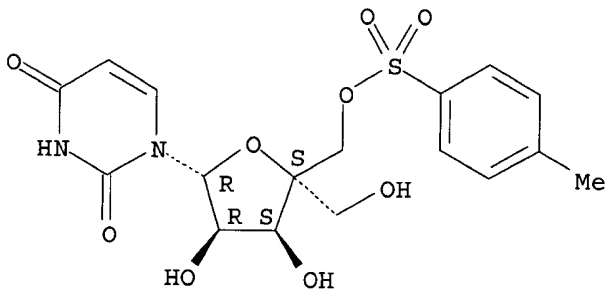
AB In order to develop novel 2',5'-linked **oligonucleotide** analogs aimed for antivirus reagents and antisense/antigene **oligonucleotides**, novel nucleoside analogs, 3'-O,4'-C-methyleneribonucleosides (3',4'-BNA monomers) were synthesized via two synthetic routes. The first route starting from uridine utilized a regioselective ring-closure reaction of the 4'-C-(p-toluenesulfonyl)oxymethyluridine deriv. The second route involved a coupling reaction of 1,2,3-tri-O-acetyl-4-C-(p-toluenesulfonyl)oxymethylribofuranose deriv. with nucleobases followed by oxetan-ring formation to afford the 3',4'-BNA monomers bearing all four nucleobases. By means of 1H NMR, X-ray crystallog. and computational anal., the sugar puckering of the 3',4'-BNA monomers was found to be restricted in S-conformation (C1'-exo-C2'-endo puckering mode).

IT **195705-15-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and conformation of BNA monomers, 3'-O,4'-C-methyleneribonucleosides via two synthetic routes)

RN 195705-15-8 CAPLUS

CN Uridine, 4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



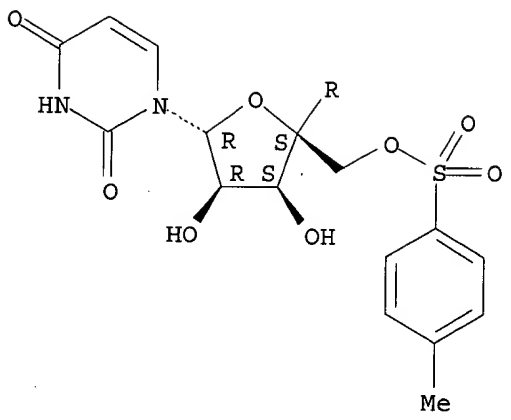
IT **195705-18-1P 260269-29-2P 260269-31-6P**
260269-32-7P 260269-33-8P 260269-35-0P
260269-36-1P 446862-77-7P 446862-78-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and conformation of BNA monomers, 3'-O,4'-C-methyleneribonucleosides via two synthetic routes)

RN 195705-18-1 CAPLUS

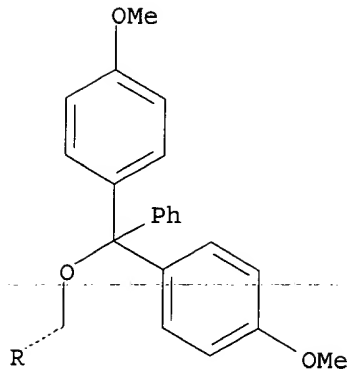
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A

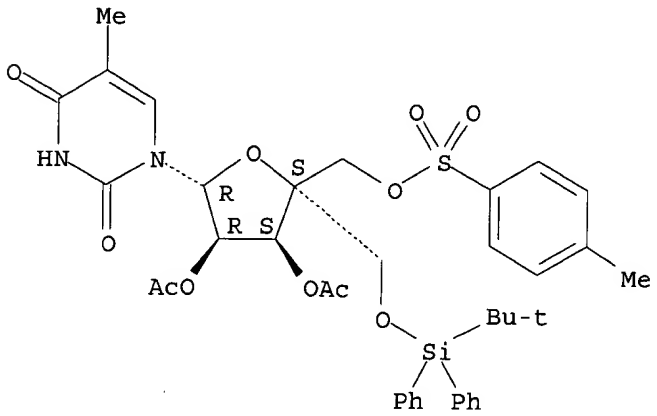


PAGE 2-A



RN 260269-29-2 CAPLUS
CN Uridine, 5'-O-[(1,1-dimethylethyl)diphenylsilyl]-5-methyl-4-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-, 2',3'-diacetate (9CI) (CA INDEX NAME)

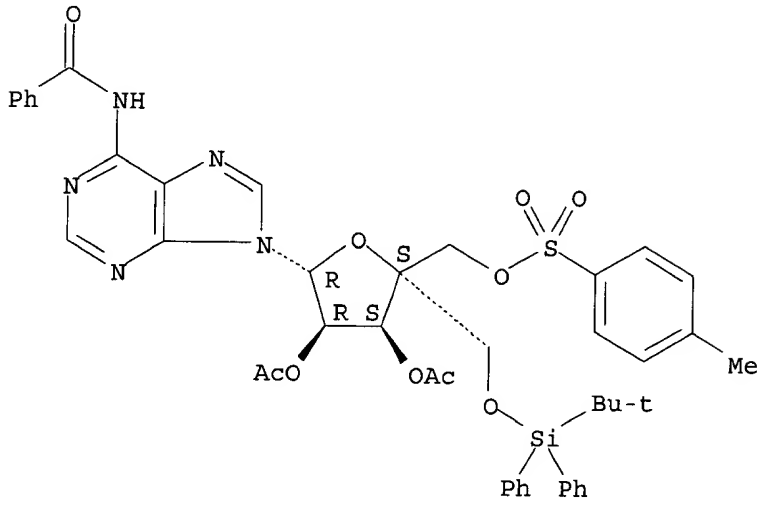
Absolute stereochemistry. Rotation (+).



RN 260269-31-6 CAPLUS
CN Adenosine, N-benzoyl-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-[[[(4-

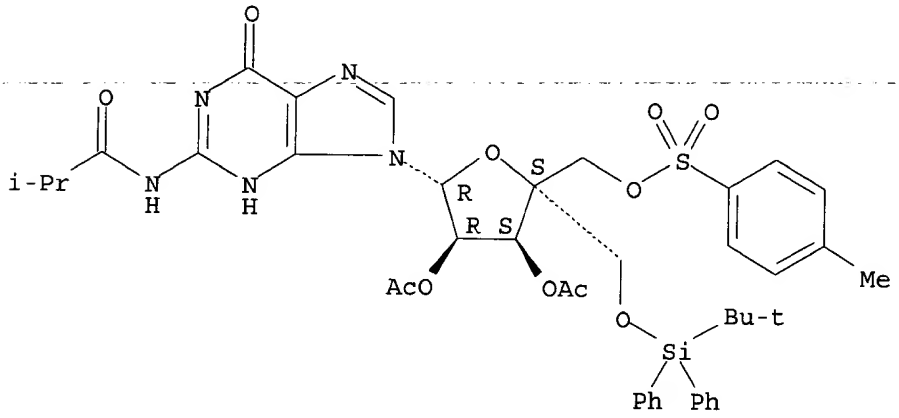
methylphenyl)sulfonyl]oxy]methyl]-, 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



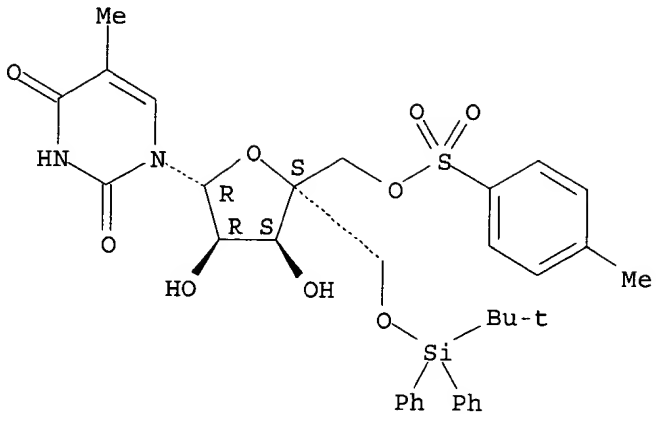
RN 260269-32-7 CAPLUS
CN Guanosine, 5'-O-[(1,1-dimethylethyl)diphenylsilyl]-N-(2-methyl-1-oxopropyl)-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-, 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



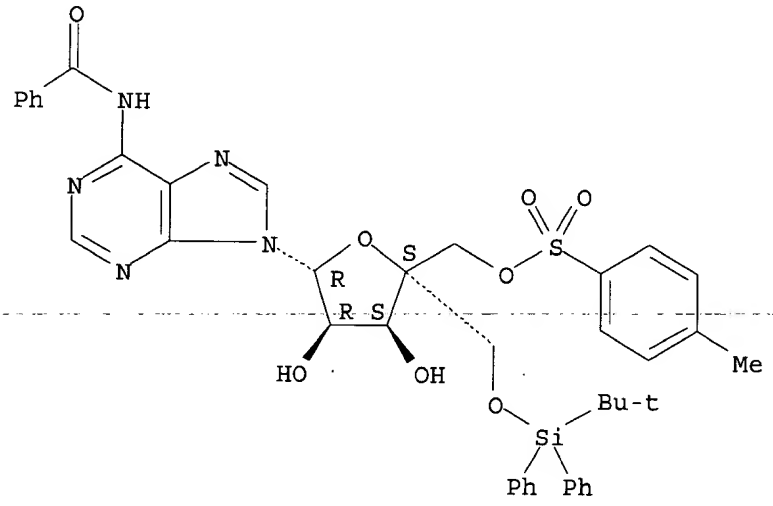
RN 260269-33-8 CAPLUS
CN Uridine, 5'-O-[(1,1-dimethylethyl)diphenylsilyl]-5-methyl-4-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



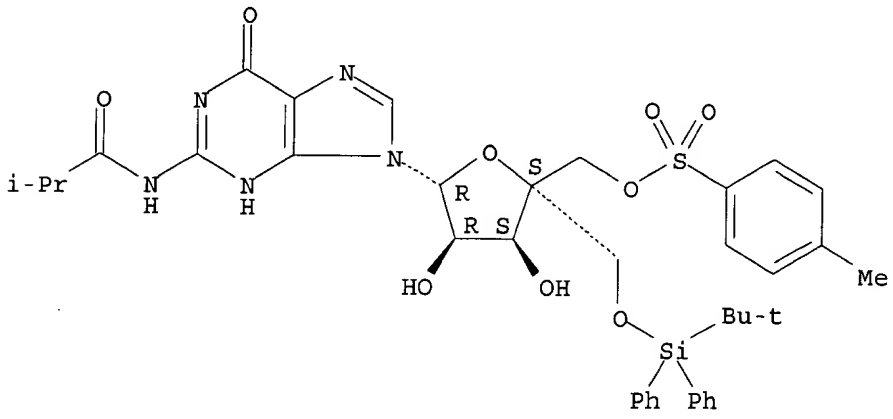
RN 260269-35-0 CAPLUS
CN Adenosine, N-benzoyl-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

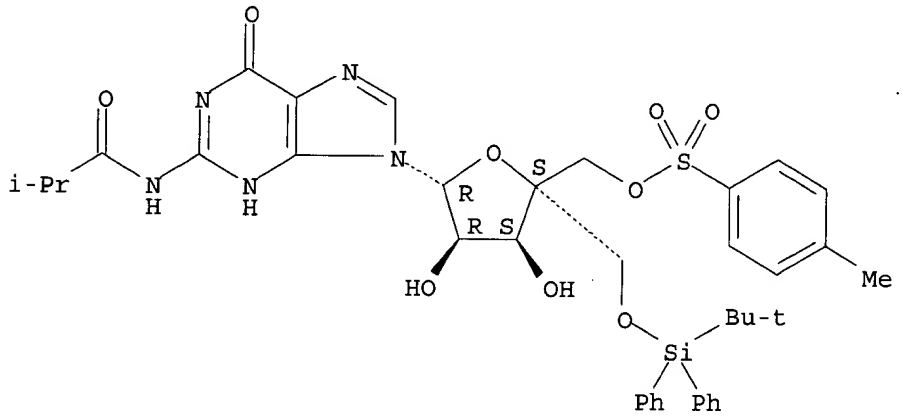
Absolute stereochemistry. Rotation (+).



RN 260269-36-1 CAPLUS
CN Guanosine, 5'-O-[(1,1-dimethylethyl)diphenylsilyl]-N-(2-methyl-1-oxopropyl)-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

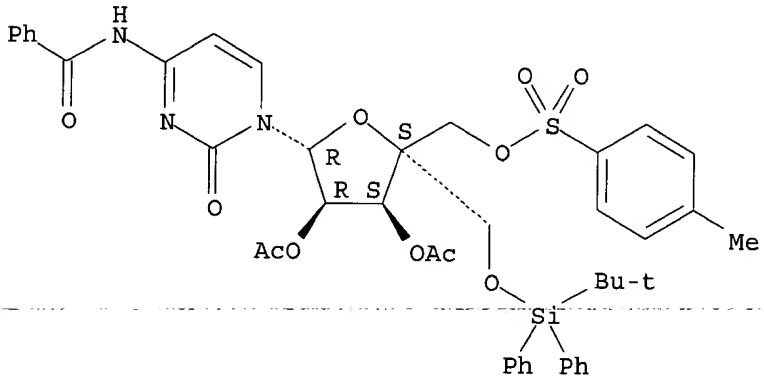
Absolute stereochemistry. Rotation (+).





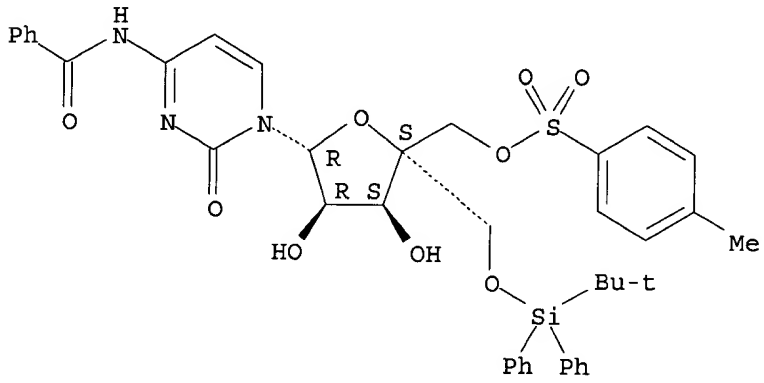
RN 446862-77-7 CAPLUS
CN Cytidine, N-benzoyl-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-, 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 446862-78-8 CAPLUS
CN Cytidine, N-benzoyl-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

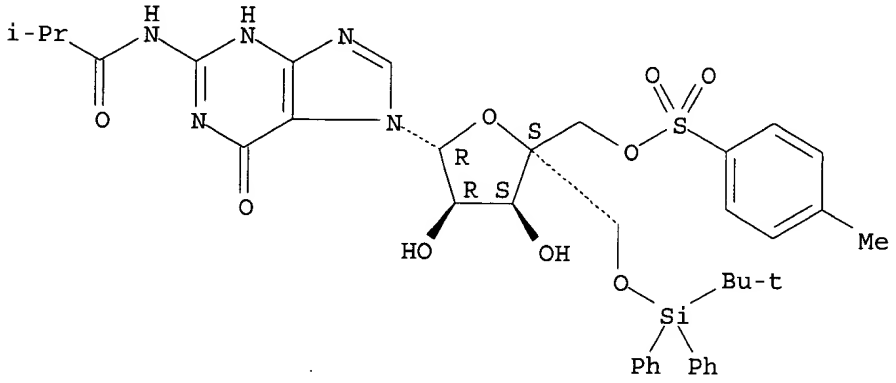


IT **260269-42-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and conformation of BNA monomers, 3'-O,4'-C-methyleneribonucleosides via two synthetic routes)

09567863

RN 260269-42-9 CAPLUS
CN Propanamide, N-[7-[4-C-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-5-O-[(4-methylphenyl)sulfonyl]-.alpha.-L-lyxofuranosyl]-6,7-dihydro-6-oxo-1H-purin-2-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



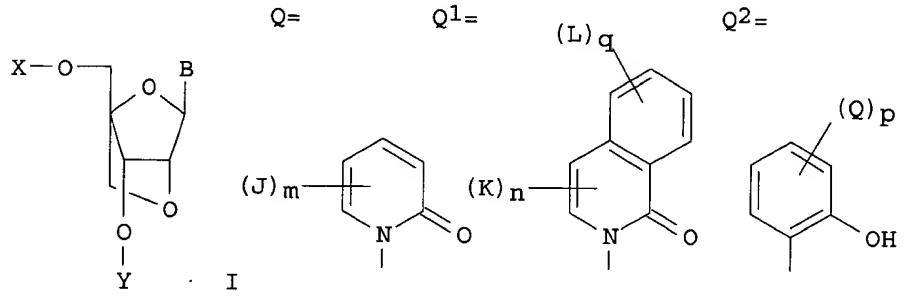
RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 2002:171902 CAPLUS
DN 136:247834
TI Preparation of novel nucleoside analogs and antisense **oligonucleotide** derivatives containing these analogs
IN Imanishi, Takeshi; Obika, Satoshi
PA Japan
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002018388	A1	20020307	WO 2001-JP7400	20010829
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001082522	A5	20020313	AU 2001-82522	20010829
PRAI	JP 2000-259290	A	20000829		
	WO 2001-JP7400	W	20010829		

OS MARPAT 136:247834
GI

09567863



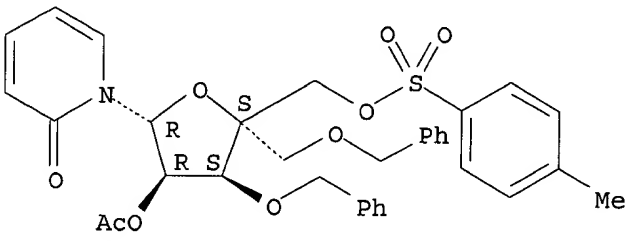
AB Nucleoside analogs represented by the following general formula (I; B = an arom. base having carbonyl oxygen at the 2-position or 2-hydroxyphenyl such as Q, Q1, Q2; J, K, L, Q = h, lower alkyl, OH, NH2; q, m, p = an integer of 1-4; n = 1,2; X, Y = H, alkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, aryl, acyl, silyl) or amidite derivs. and **oligonucleotide** derivs. contg. one or more of I are prepd. These **oligonucleotide** derivs. are triplex-forming **oligonucleotide** derivs. which, in the antigene strategy, directly bind to a target duplex DNA with a high affinity to form a triplex DNA, thereby efficiently controlling or inhibiting the expression of the gene while showing a high tolerance against nuclease.

IT **357436-34-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of novel nucleoside analogs and antisense **oligonucleotide** derivs. contg. analogs forming triplex with target DNA in gene therapy)

RN 357436-34-1 CAPLUS

CN 2(1H)-Pyridinone, 1-[2-O-acetyl-5-O-[(4-methylphenyl)sulfonyl]-4-C-[(phenylmethoxy)methyl]-3-O-(phenylmethyl)-.alpha.-L-lyxofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



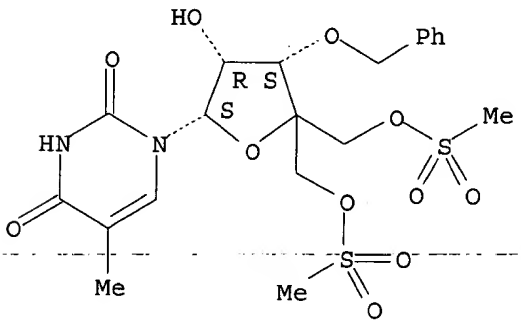
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 2002:140319 CAPLUS
DN 137:6351
TI .alpha.-LNA (locked nucleic acid with .alpha.-D-configuration): synthesis and selective parallel recognition of RNA
AU Nielsen, Poul; Christensen, Nanna K.; Dalskov, Jakob K.
CS Department of Chemistry, University of Southern Denmark Odense University, Odense M, 5230, Den.
SO Chemistry--A European Journal (2002), 8(3), 712-722
CODEN: CEUJED; ISSN: 0947-6539
PB Wiley-VCH Verlag GmbH

09567863

DT Journal
LA English
OS CASREACT 137:6351
AB .alpha.-LNA is presented as a stereoisomer of LNA (locked nucleic acid) with .alpha.-D-configuration. Three different approaches towards the thymine .alpha.-LNA monomer as well as the 5-methylcytosine .alpha.-LNA monomer are presented. Different .alpha.-LNA sequences have been synthesized and their hybridization with complementary DNA and RNA has been evaluated by means of thermal stability expts. and CD spectroscopy. In a mixed pyrimidine sequence, .alpha.-LNA displays unprecedented parallel-stranded and selective RNA binding. Furthermore, a remarkable selectivity for hybridization with RNA over DNA is indicated.
IT **378792-35-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of locked nucleic acids with .alpha.-D-configuration and selective parallel recognition of RNA over DNA in duplex formation)
RN 378792-35-9 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[5-O-(methylsulfonyl)-4-C-[[(methylsulfonyl)oxy]methyl]-3-O-(phenylmethyl)-.alpha.-D-erythro-pentofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 2002:116457 CAPLUS
DN 136:325776
TI .alpha.-L-ribo-Configured Locked Nucleic Acid (.alpha.-L-LNA): Synthesis and Properties
AU Sorensen, Mads D.; Kvrno, Lisbet; Bryld, Torsten; Hakansson, Anders E.; Verbeure, Birgit; Gaubert, Gilles; Herdewijn, Piet; Wengel, Jesper
CS Department of Chemistry, University of Copenhagen, Copenhagen, DK-2100, Den.
SO Journal of the American Chemical Society (2002), 124(10), 2164-2176
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
AB The syntheses of monomeric nucleosides and 3'-O-phosphoramidite building blocks en route to .alpha.-L-ribo-configured locked nucleic acids (.alpha.-L-LNA), composed entirely of .alpha.-L-LNA monomers (.alpha.-L-ribo configuration) or of a mixt. of .alpha.-L-LNA and DNA monomers (.beta.-D-ribo configuration), are described and the .alpha.-L-LNA oligomers are studied. Bicyclic 5-methylcytosin-1-yl and adenin-9-yl nucleoside derivs. have been prepd. and the phosphoramidite approach has been used for the automated oligomerization leading to

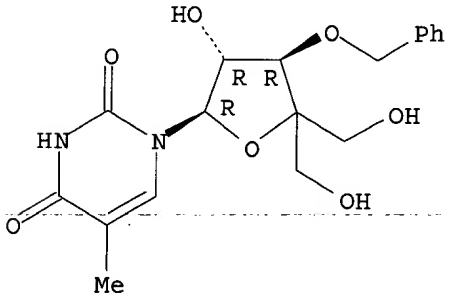
.alpha.-L-LNA oligomers. Binding studies revealed very efficient recognition of single-stranded DNA and RNA target **oligonucleotide** strands. and DNA.cntdot.RNA ref. duplexes. Thus, stereo-irregular .alpha.-L-LNA 11-mers contg. a mixt. of .alpha.-L-LNA monomers and DNA monomers ("mix-mer .alpha.-L-LNA") were shown to display .DELTA.Tm values of +1 to +3 .degree.C per modification toward DNA and +4 to +5 .degree.C toward RNA when compared with the corresponding unmodified DNA.cntdot.DNA. The corresponding .DELTA.Tm values per modification for the stereoregular fully modified .alpha.-L-LNA were detd. to be +4 .degree.C (against DNA) and +5 .degree.C (against RNA). 11-Mer .alpha.-L-LNAs (mix-mer .alpha.-L-LNA or fully modified .alpha.- L-LNA) were shown in vitro to be significantly stabilized toward 3'-exonucleolytic degrdn. A duplex formed between RNA and either mix-mer .alpha.-L-LNA or fully modified .alpha.-L-LNA induced in vitro Escherichia coli RNase H-mediated cleavage, albeit very slow, of the RNA targets at high enzyme concns.

IT **230631-18-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and thermal stability of .alpha.-L-ribo-configured locked nucleic acid duplexes)

RN 230631-18-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-C-(hydroxymethyl)-3-O-(phenylmethyl)-.alpha.-L-threo-pentofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

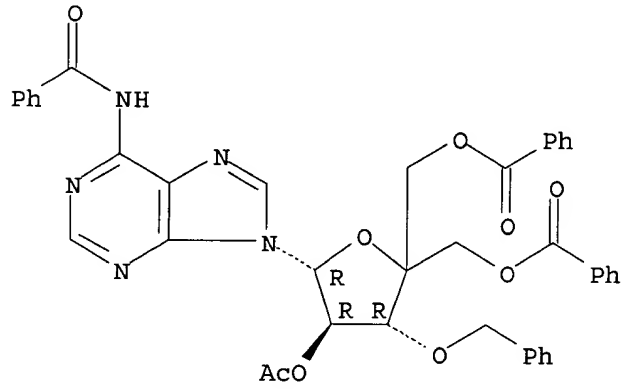


IT **341536-45-6P 341536-46-7P 341536-47-8P**
341536-48-9P 410076-80-1P 410076-94-7P
410076-95-8P 410076-96-9P 410076-97-0P
410076-98-1P 410076-99-2P 410077-00-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and thermal stability of .alpha.-L-ribo-configured locked nucleic acid duplexes)

RN 341536-45-6 CAPLUS

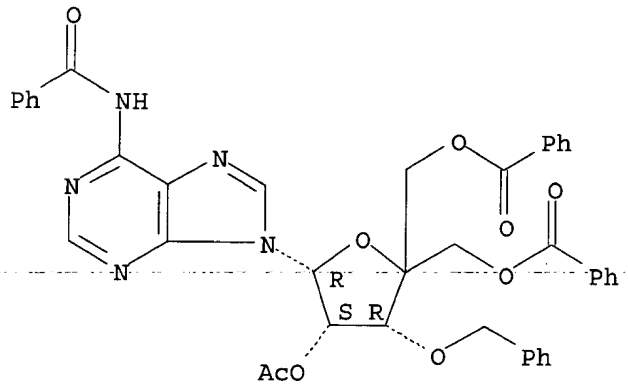
CN Benzamide, N-[9-[2-O-acetyl-5-O-benzoyl-4-C-[(benzoyloxy)methyl]-3-O-(phenylmethyl)-.alpha.-L-threo-pentofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



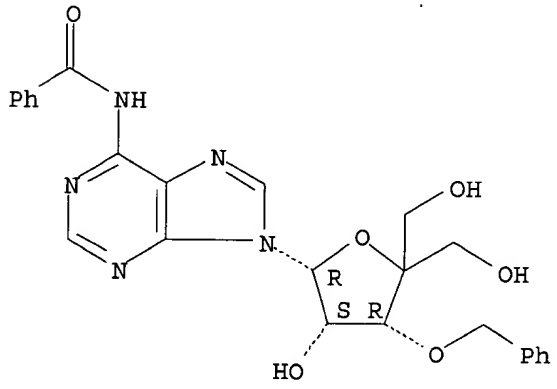
RN 341536-46-7 CAPLUS
CN Benzamide, N-[9-[2-O-acetyl-5-O-benzoyl-4-C-[(benzoyloxy)methyl]-3-O-(phenylmethyl)-.alpha.-L-erythro-pentofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 341536-47-8 CAPLUS
CN Benzamide, N-[9-[4-C-(hydroxymethyl)-3-O-(phenylmethyl)-.alpha.-L-erythro-pentofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

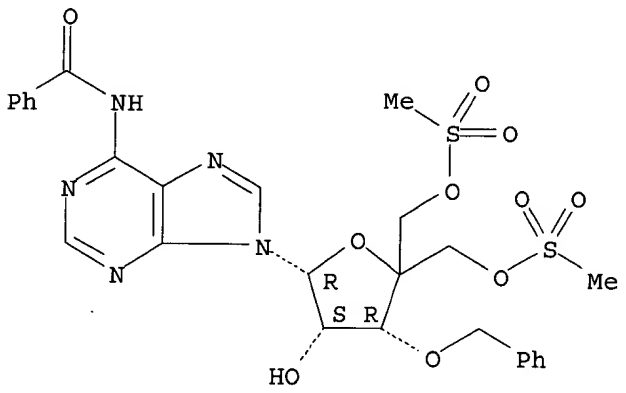
Absolute stereochemistry.



RN 341536-48-9 CAPLUS

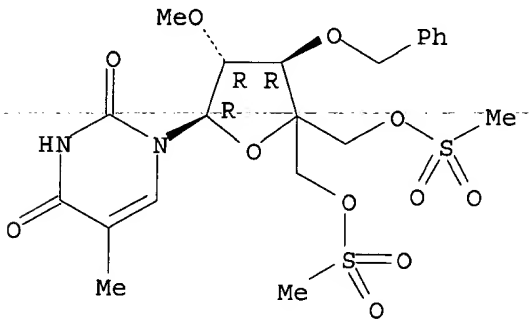
CN Benzamide, N-[9-[5-O-(methylsulfonyl)-4-C-[[(methylsulfonyl)oxy]methyl]-3-O-(phenylmethyl)-.alpha.-L-erythro-pentofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



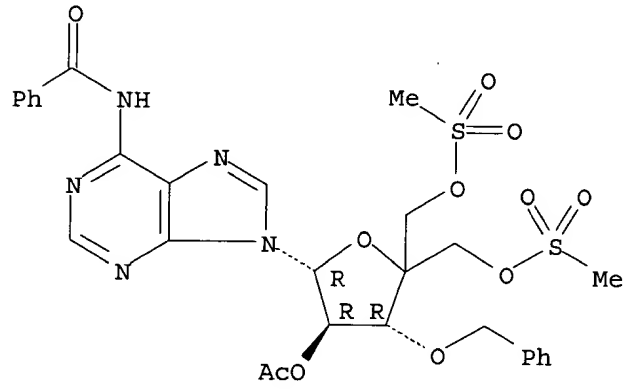
RN 410076-80-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-[2-O-methyl-5-O-(methylsulfonyl)-4-C-[[(methylsulfonyl)oxy]methyl]-3-O-(phenylmethyl)-.alpha.-L-threo-pentofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



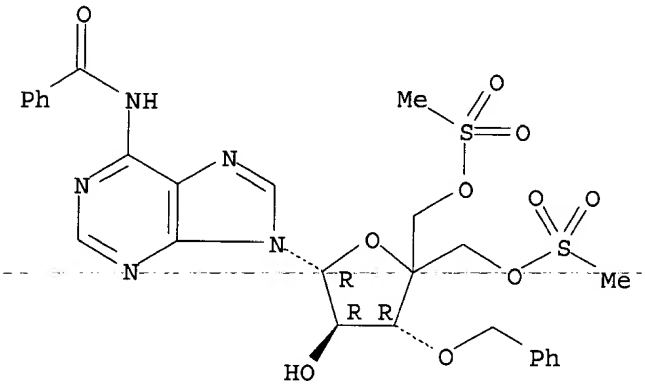
RN 410076-94-7 CAPLUS
CN Benzamide, N-[9-[2-O-acetyl-5-O-(methylsulfonyl)-4-C-[[(methylsulfonyl)oxy]methyl]-3-O-(phenylmethyl)-.alpha.-L-threo-pentofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



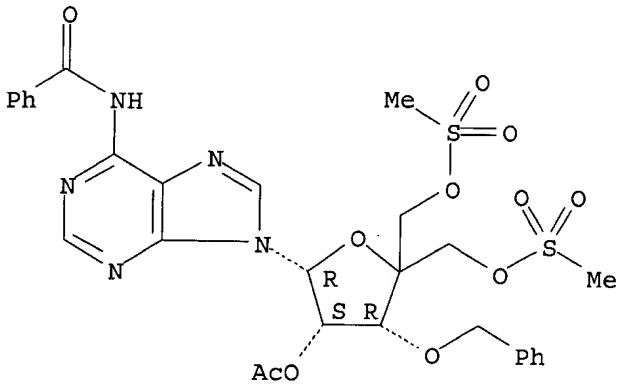
RN 410076-95-8 CAPLUS
CN Benzamide, N-[9-[5-O-(methylsulfonyl)-4-C-[[(methylsulfonyl)oxy]methyl]-3-O-(phenylmethyl)-.alpha.-L-threo-pentofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 410076-96-9 CAPLUS
CN Benzamide, N-[9-[2-O-acetyl-5-O-(methylsulfonyl)-4-C-[[(methylsulfonyl)oxy]methyl]-3-O-(phenylmethyl)-.alpha.-L-erythro-pentofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

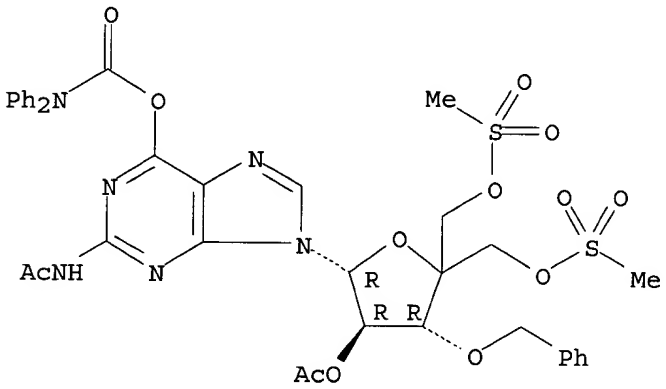
Absolute stereochemistry.



09567863

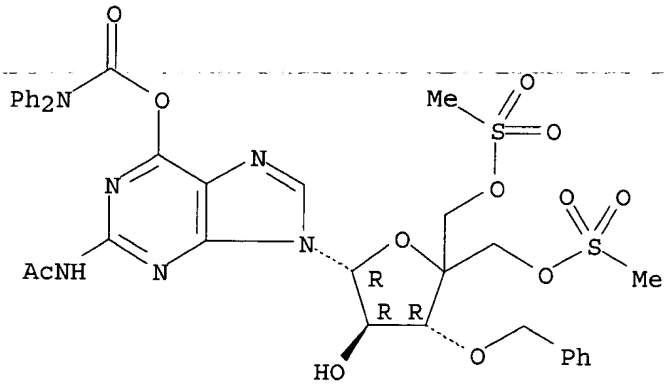
RN 410076-97-0 CAPLUS
CN Carbamic acid, diphenyl-, 2-(acetylamino)-9-[2-O-acetyl-5-O-(methylsulfonyl)-4-C-[[(methylsulfonyl)oxy]methyl]-3-O-(phenylmethyl)-.alpha.-L-threo-pentofuranosyl]-9H-purin-6-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 410076-98-1 CAPLUS
CN Carbamic acid, diphenyl-, 2-(acetylamino)-9-[5-O-(methylsulfonyl)-4-C-[[(methylsulfonyl)oxy]methyl]-3-O-(phenylmethyl)-.alpha.-L-threo-pentofuranosyl]-9H-purin-6-yl ester (9CI) (CA INDEX NAME)

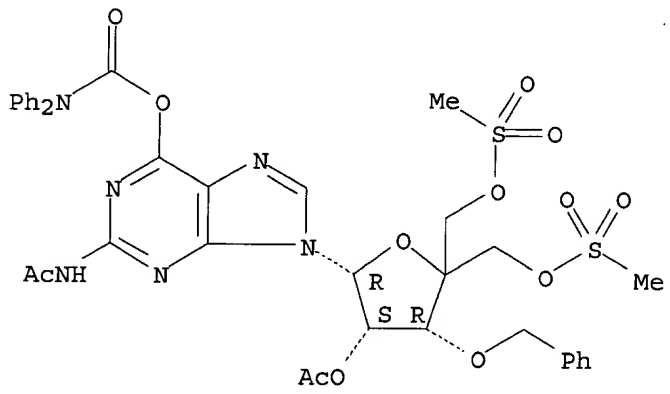
Absolute stereochemistry.



RN 410076-99-2 CAPLUS
CN Carbamic acid, diphenyl-, 2-(acetylamino)-9-[2-O-acetyl-5-O-(methylsulfonyl)-4-C-[[(methylsulfonyl)oxy]methyl]-3-O-(phenylmethyl)-.alpha.-L-erythro-pentofuranosyl]-9H-purin-6-yl ester (9CI) (CA INDEX NAME)

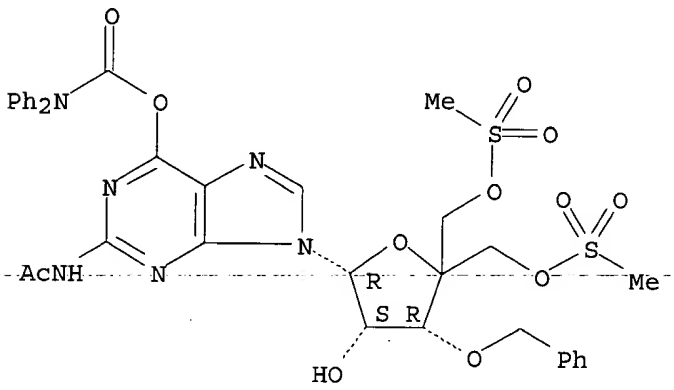
Absolute stereochemistry.

09567863



RN 410077-00-8 CAPLUS
CN Carbamic acid, diphenyl-, 2-(acetylamino)-9-[5-O-(methylsulfonyl)-4-C-[[(methylsulfonyl)oxy]methyl]-3-O-(phenylmethyl)-.alpha.-L-erythro-pentofuranosyl]-9H-purin-6-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 2001:900078 CAPLUS
DN 136:263373
TI 2'-O,4'-C-ethylene-bridged nucleic acids (ENA): highly nuclease-resistant and thermodynamically stable **oligonucleotides** for antisense drug
AU Morita, Koji; Hasegawa, Chikako; Kaneko, Masakatsu; Tsutsumi, Shinya; Sone, Junko; Ishikawa, Tomio; Imanishi, Takeshi; Koizumi, Makoto
CS Sankyo Co., Ltd., Exploratory Chemistry Research Laboratories, Tokyo, 140-8710, Japan
SO Bioorganic & Medicinal Chemistry Letters (2001), Volume Date 2002, 12(1), 73-76
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB To develop antisense **oligonucleotides**, novel nucleosides, 2'-O,4'-C-ethylene nucleosides and their corresponding phosphoramidites, were synthesized as building blocks. The 1H NMR anal. showed that the 2'-O,4'-C-ethylene linkage of these nucleosides restricts the sugar puckering to the N-conformation as well as the linkage of

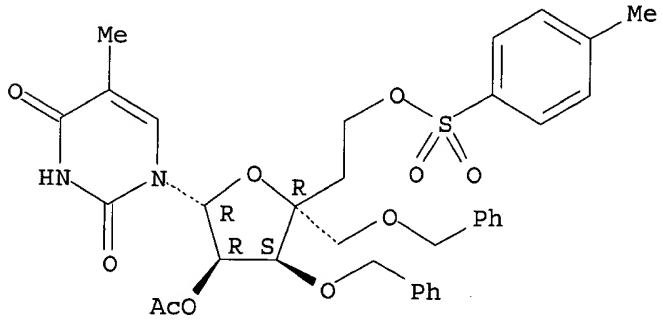
2'-O,4'-C-methylene nucleosides which are known as bridged nucleic acids (BNA) or locked nucleic acids (LNA). The ethylene-bridged nucleic acids (ENA) showed a high binding affinity for the complementary RNA strand (.DELTA.Tm=+5.2 .degree.C/modification) and were more nuclease-resistant than natural DNA and BNA/LNA. These results indicate that ENA have better properties as antisense **oligonucleotides** than BNA/LNA.

IT **287737-66-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 2'-O,4'-C-ethylene-bridged nucleic acids which are nuclease-resistant and thermodynamically stable **oligonucleotides** for antisense drug use)

RN 287737-66-0 CAPLUS

CN Uridine, 5-methyl-4'-C-[2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS

AN 2001:828683 CAPLUS

DN 136:118694

TI A Simplified and Efficient Route to 2'-O, 4'-C-Methylene-Linked Bicyclic Ribonucleosides (Locked Nucleic Acid)

AU Koshkin, Alexei A.; Fensholdt, Jef; Pfundheller, Henrik M.; Lomholt, Christian

CS Department of Chemistry, Exiqon A/S, Vedbaek, DK-2950, Den.

SO Journal of Organic Chemistry (2001), 66(25), 8504-8512
CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

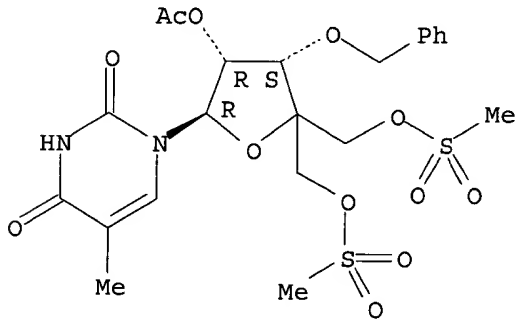
AB A novel efficient method for the synthesis of locked nucleic acid (LNA) monomers is described. The LNA 5',3'-diols contg. thymine, 4-N-acetyl- and 4-N-benzoylcytosine, 6-N-benzoyladenine, and 2-N-isobutyrylguanine as nucleobases were prepd. via convergent syntheses. The method is based on the use of the common sugar intermediate 1,2-di-O-acetyl-3-O-benzyl-4-C-methanesulfonoxymethyl-5-O-methanesulfonyl-D-erythro-pentofuranose (I) that easily can be prepd. from D-glucose in multigram scale. Four different nucleobases were stereoselectively coupled to I using a modified Vorbrueggen procedure to give the corresponding 4'-C-branched nucleoside derivs. Subsequent ring closing furnished the protected LNA nucleosides. The 5'-O-mesyl groups were efficiently displaced by nucleophilic substitution using sodium benzoate. Sapon. of the 5'-benzoates followed by catalytic removal of the 3'-O-benzyl groups afforded the free LNA diols. The exocyclic amino groups of adenosine and cytidine were selectively acylated to give 4-N-acetyl- or 4-N-benzoyl-LNA-C and

6-N-benzoyl-LNA-A. The isobutyryl group of guanine was retained during the prepn. of 2-N-isobutyryl-LNA-G. The LNA-T diol and base-protected LNA diols can be directly converted into LNA-phosphoramidites for automated chem. synthesis of LNA contg. **oligonucleotides**.

IT **293751-04-9P 293751-14-1P 293751-17-4P 293751-18-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of methylene linked bicyclic ribonucleosides using a ring closure and a stereoselective Vorbrueggen glycosylation as key steps)

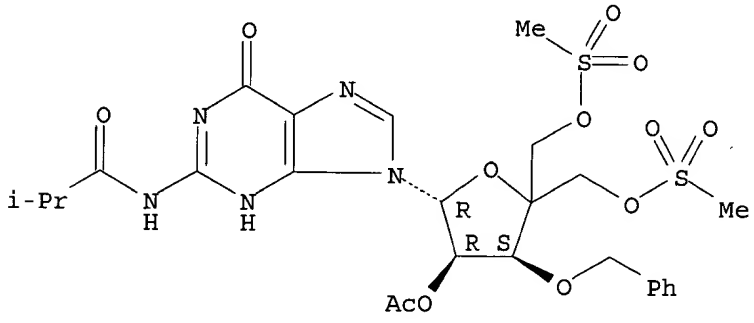
RN 293751-04-9 CAPLUS
CN Uridine, 5-methyl-4'-C-[[(methylsulfonyl)oxy]methyl]-3'-O-(phenylmethyl)-, 2'-acetate 5'-methanesulfonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 293751-14-1 CAPLUS
CN Guanosine, N-(2-methyl-1-oxopropyl)-4'-C-[[(methylsulfonyl)oxy]methyl]-3'-O-(phenylmethyl)-, 2'-acetate 5'-methanesulfonate (9CI) (CA INDEX NAME)

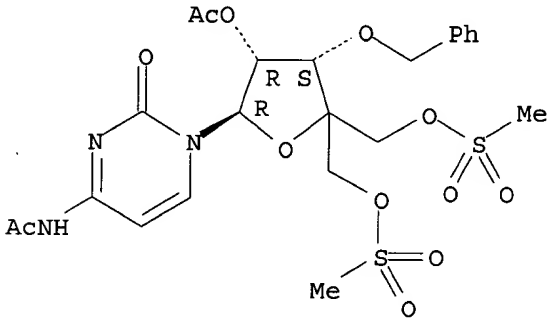
Absolute stereochemistry.



RN 293751-17-4 CAPLUS
CN Cytidine, N-acetyl-4'-C-[[(methylsulfonyl)oxy]methyl]-3'-O-(phenylmethyl)-, 2'-acetate 5'-methanesulfonate (9CI) (CA INDEX NAME)

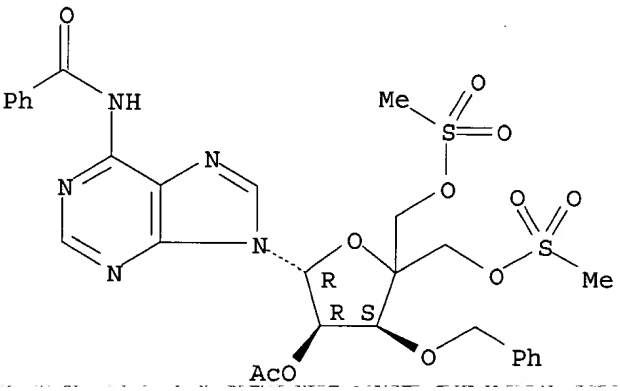
Absolute stereochemistry.

09567863



RN 293751-18-5 CAPLUS
CN Adenosine, N-benzoyl-4'-C-[[(methylsulfonyl)oxy]methyl]-3'-O-(phenylmethyl)-, 2'-acetate 5'-methanesulfonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



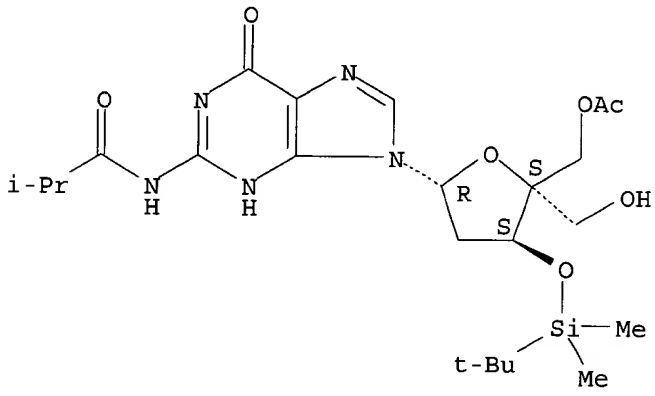
RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 2001:800365 CAPLUS
DN 136:232490
TI Synthesis and photo-reaction of 4'-pivaloyl guanosides
AU Spormann, Martin; Giese, Bernd
CS Department of Chemistry, University of Basel, Basel, 4056, Switz.
SO Synthesis (2001), (14), 2156-2164
CODEN: SYNTBF; ISSN: 0039-7881
PB Georg Thieme Verlag
DT Journal
LA English
AB The synthesis of a 4'-pivaloylated guanosine and its incorporation into **oligonucleotides** is described. Photolysis of the modified nucleoside and DNA double strand leads in nearly quant. yield to enol ethers. The decisive step in this reaction is a very fast electron transfer from guanine to an enol ether radical cation.
IT **400884-46-0P 400884-47-1P 400884-48-2P**
400884-51-7P 400884-52-8P 400884-53-9P
400884-54-0P 400884-56-2P 400884-57-3P
400884-65-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and photo-reaction of pivaloyl guanosides and their incorporation into DNA duplexes)

09567863

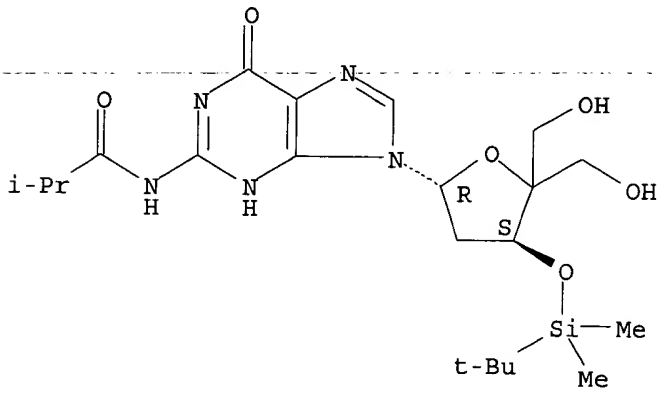
RN 400884-46-0 CAPLUS
CN Guanosine, 4'-C-[(acetyloxy)methyl]-2'-deoxy-3'-O-[(1,1-dimethylethyl)dimethylsilyl]-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



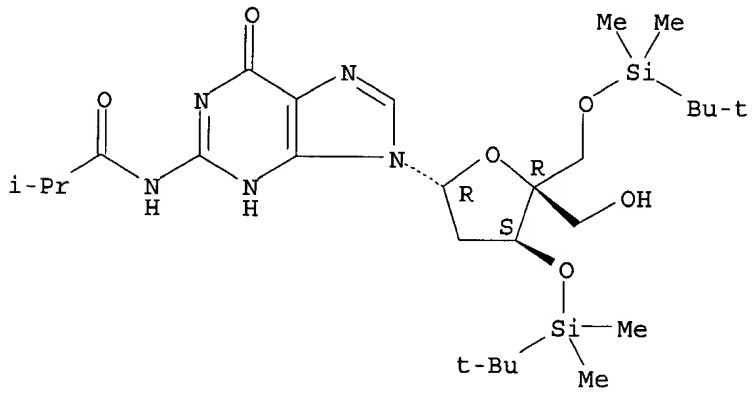
RN 400884-47-1 CAPLUS
CN Propanamide, N-[9-[(2R,4S)-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]tetrahydro-5,5-bis(hydroxymethyl)-2-furanyl]-6,9-dihydro-6-oxo-1H-purin-2-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



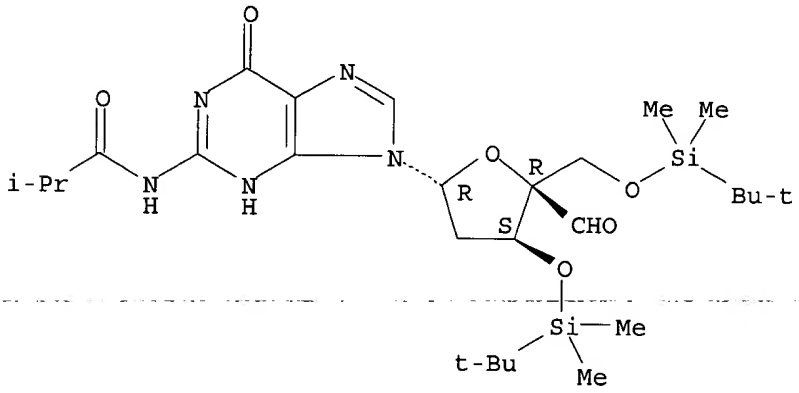
RN 400884-48-2 CAPLUS
CN Guanosine, 2'-deoxy-3',5'-di-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-(hydroxymethyl)-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



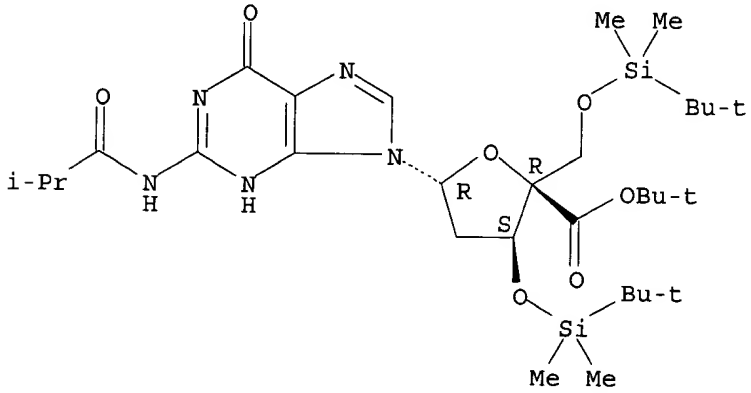
RN 400884-51-7 CAPLUS
CN Guanosine, 2'-deoxy-3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-formyl-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 400884-52-8 CAPLUS
CN Guanosine, 2'-deoxy-4'-C-[(1,1-dimethylethoxy)carbonyl]-3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

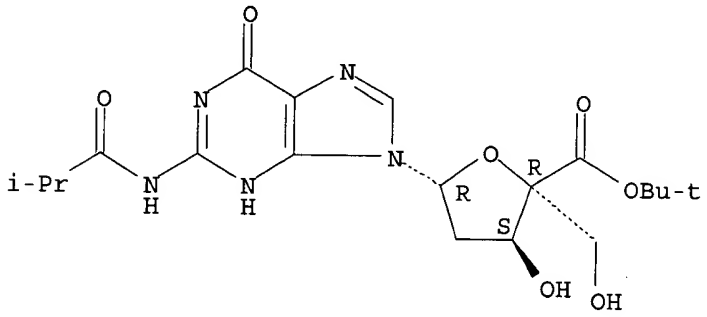
Absolute stereochemistry.



RN 400884-53-9 CAPLUS

CN .alpha.-L-threo-Pentofuranuronic acid, 1,2-dideoxy-1-[1,6-dihydro-2-[(2-methyl-1-oxopropyl)amino]-6-oxo-9H-purin-9-yl]-4-C-(hydroxymethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

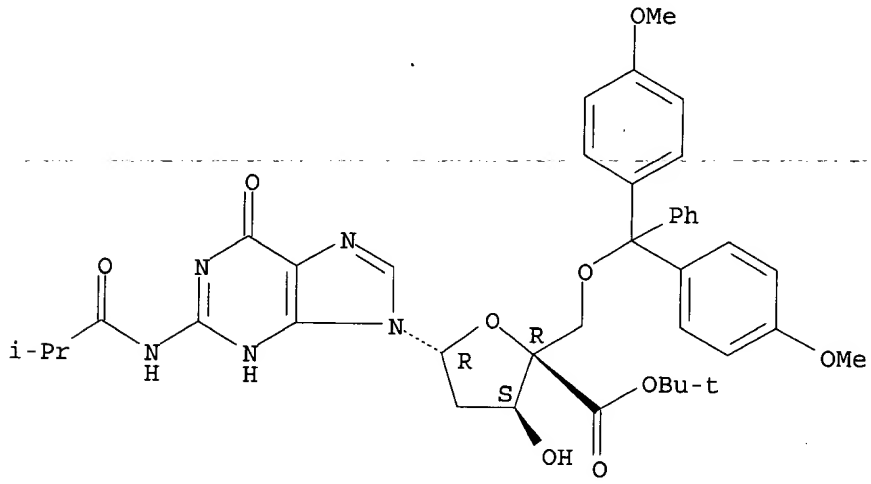
Absolute stereochemistry.



RN 400884-54-0 CAPLUS

CN .alpha.-L-threo-Pentofuranuronic acid, 4-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-1,2-dideoxy-1-[1,6-dihydro-2-[(2-methyl-1-oxopropyl)amino]-6-oxo-9H-purin-9-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

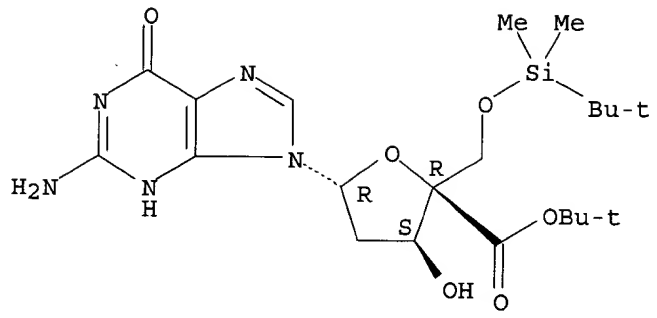
Absolute stereochemistry.



RN 400884-56-2 CAPLUS

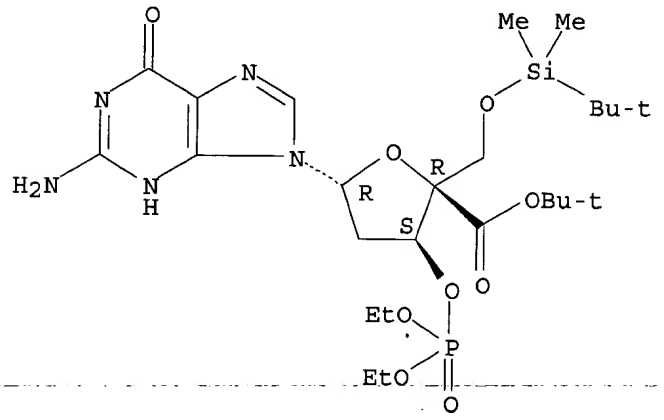
CN .alpha.-L-threo-Pentofuranuronic acid, 1-[2-amino-1,6-dihydro-6-oxo-9H-purin-9-yl]-1,2-dideoxy-4-C-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



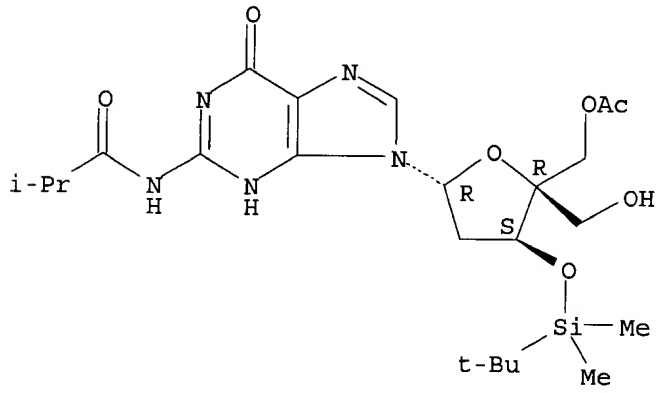
RN 400884-57-3 CAPLUS
CN 3'-Guanylic acid, 2'-deoxy-4'-C-[(1,1-dimethylethoxy)carbonyl]-5'-O-[(1,1-dimethylethyl)dimethylsilyl]-, diethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 400884-65-3 CAPLUS
CN Guanosine, 2'-deoxy-3'-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-(hydroxymethyl)-N-(2-methyl-1-oxopropyl)-, 5'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



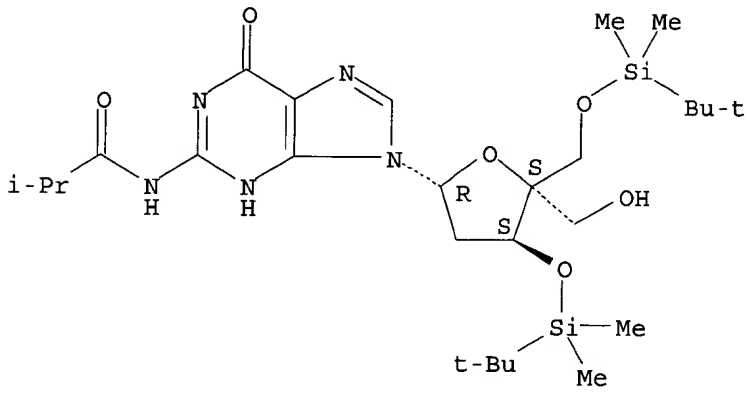
IT **400884-49-3P 400884-55-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and photo-reaction of pivaloyl guanosides and their

incorporation into DNA duplexes)

RN 400884-49-3 CAPLUS

CN Guanosine, 2'-deoxy-3'-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

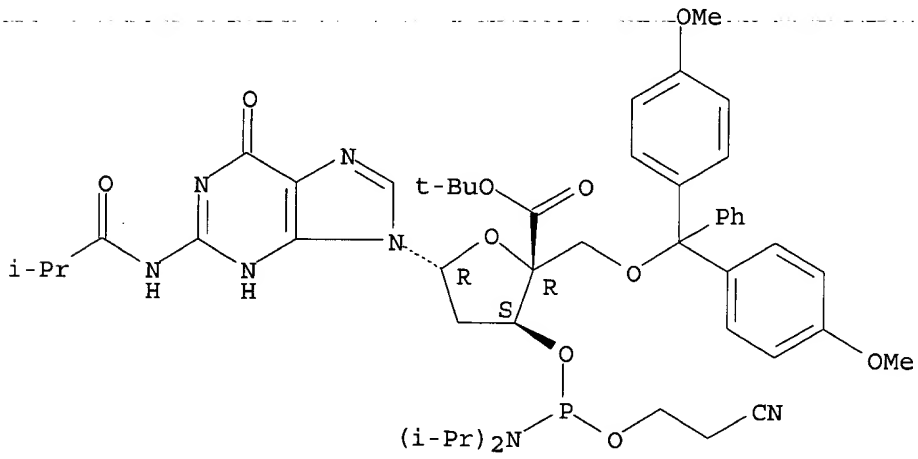
Absolute stereochemistry.



RN 400884-55-1 CAPLUS

CN .alpha.-L-threo-Pentofuranuronic acid, 4-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-1,2-dideoxy-1-[1,6-dihydro-2-[(2-methyl-1-oxopropyl)amino]-6-oxo-9H-purin-9-yl]-, 1,1-dimethylethyl ester, 3-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS

AN 2001:314444 CAPLUS

DN 135:122696

TI 2'-O,4'-C-methylene bridged nucleic acid (2',4'-BNA) synthesis and triplex-forming properties

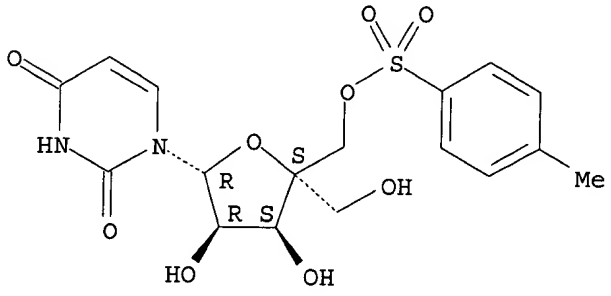
AU Obika, S.; Uneda, T.; Sugimoto, T.; Nanbu, D.; Minami, T.; Doi, T.; Imanishi, T.

CS Graduate School of Pharmaceutical Sciences, Osaka University, Suita, Osaka, 565-0871, Japan

09567863

SO Bioorganic & Medicinal Chemistry (2001), 9(4), 1001-1011
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 135:122696
AB For development of ideal antisense and antigene mols., various chem. modifications of **oligonucleotides** have been studied. However, despite their importance, there is only limited information available on the triplex-forming ability of the conformationally restricted or locked **oligonucleotides**. We report herein that 2'-O,4'-C-methylene bridged nucleic acid (2',4'-BNA) modification of triplex-forming **oligonucleotide** (TFO) significantly enhances the binding affinity towards target dsDNA. On Tm measurements, the triplex with the 2',4'-BNA **oligonucleotides** were found to be stabilized with .DELTA.Tm/modification of +4.3 to +5.degree.C at pH 6.6 compared to the triplexes with the unmodified **oligonucleotide**. By means of gel-retardation assay, the binding const. of the 2',4'-BNA **oligonucleotide** at pH 7.0 was at least 300-fold higher than that of the natural **oligonucleotide**. In addn., the 2',4'-BNA **oligonucleotide** clearly showed the inhibition of the NF-.kappa.B transcription factor (p50)-target dsDNA binding by forming a stable triplex at pH 7.0. The 2',4'-BNA modification of TFO significantly enhanced the stability of the pyrimidine motif triplex DNA under physiol. conditions.
IT **195705-15-8P 200435-89-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and thermal stability of NF-.kappa.B transcription factor inhibitors methylene bridged nucleic acids and triplex-forming properties)
RN 195705-15-8 CAPLUS
CN Uridine, 4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

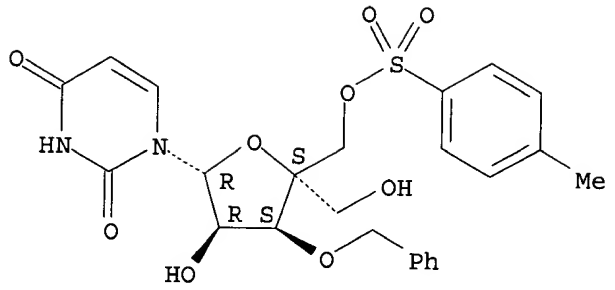
Absolute stereochemistry. Rotation (-).



RN 200435-89-8 CAPLUS
CN Uridine, 4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3'-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

09567863

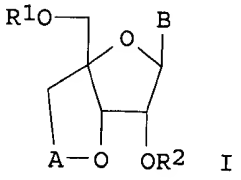


RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 2000:911266 CAPLUS
DN 134:71839
TI Preparation of novel 3'-4' bridged nucleosides and **oligonucleotide** analogues
IN Kaneko, Masakatsu; Morita, Koji; Imanishi, Takeshi
PA Sankyo Company, Limited, Japan
SO PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000078775	A1	20001228	WO 2000-JP4091	20000622
	W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, TR, US, ZA				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 2001064296	A2	20010313	JP 2000-187448	20000622
PRAI	JP 1999-174904	A	19990622		

OS MARPAT 134:71839
GI



AB Compds. represented by general formula [I; R1, R2 = H, HO-protecting group or protected phosphoric acid in nucleic acid synthesis, P(R3)R4; wherein R3, R4 = HO or SH optionally protected by protecting group in nucleic acid synthesis, NH2 optionally substituted by C1-4 alkyl, C1-4 alkoxy, C1-4 alkylthio, C1-5 cyanoalkoxy; A = C1-4 alkylene; B = (un)substituted purin-9-yl or 2-oxo-1,2-dihydropyrimidin-1-yl] and salts thereof and 3'-4' bridged **oligonucleotide** analogs which are analogs of 2-5 A 2',5'-oligoadenylic acids having antiviral activity or useful as antisense or antigene drugs, probes for specific genes, or primers for initiating gene amplification, are prepd. Thus, 2,3-diacetoxy-6a-acetoxymethylhexahydrofuro[3,2-d]furan was condensed with 9-trimethylsilyl-N6-benzoyladenine in 1,2-dichloroethane in the presence of trimethylsilyl trifluoromethanesulfonate under reflux for 8 h to give

2',5'-di-O-acetyl-3'-O,4'-C-ethylene-N6-benzoyladenosine which was stirred with a mixt. of 1 N NaOH and pyridine at room temp. for 20 min to give 77% 3'-O,4'-C-ethylene-N6-benzoyladenosine. The compd. was tritylated by 4,4'-dimethoxytriyl trifluoromethanesulfonate in pyridine at 100.degree. for 1 h to give 5'-O-(3,4-dimethoxytrityl)-3'-O,4'-C-ethylene-N6-benzoyladenosine which was condensed with N,N,N',N'-tetraisopropyl-2-cyanoethylphosphoramidite in the presence of N,N-diisopropylamine tetrazole salt in CH2Cl2 at 45.degree. for 5 h to give I [R1 = 3,4-dimethoxytrityl, A = CH2, R2 = P(OCH2CH2CN)N(iPr)2, B = 9-adenyl] which was used to prep. 5'-ttttttttttnt-3' (t= thymidine, n = 3'-O,4'-C-ethyleneadenosine) (II). II in vitro showed high resistance against nuclease hydrolysis.

IT **314256-44-5P 314256-45-6P**

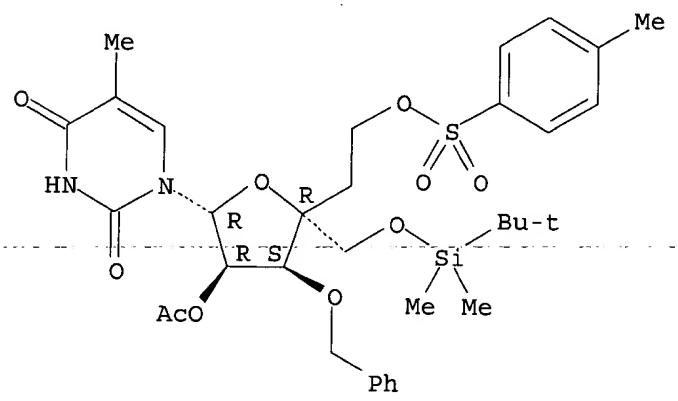
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of novel 3'-4' bridged nucleosides and antigene, antisense, antiviral, or primer **oligonucleotide** analogs)

RN 314256-44-5 CAPLUS

CN Uridine, 5'-O-[(1,1-dimethylethyl)dimethylsilyl]-5-methyl-4'-C-[2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-3'-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

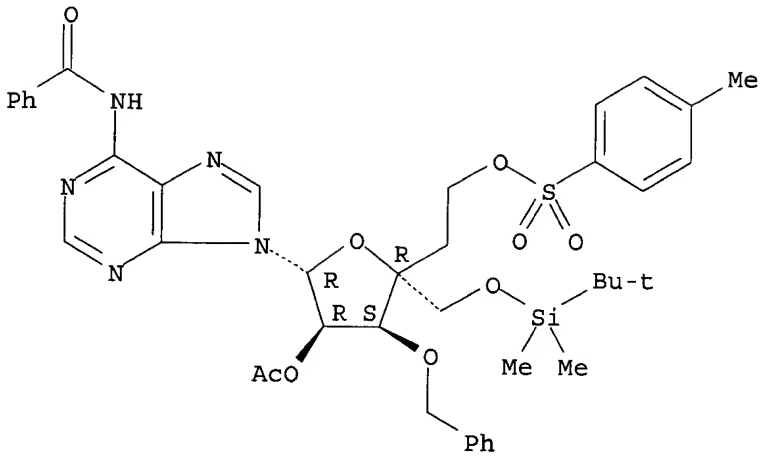


RN 314256-45-6 CAPLUS

CN Adenosine, N-benzoyl-5'-O-[(1,1-dimethylethyl)dimethylsilyl]-4'-C-[2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-3'-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09567863

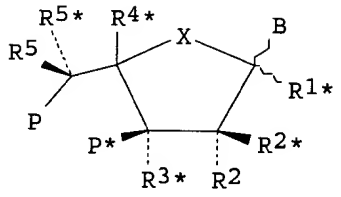


RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 2000:790521 CAPLUS
DN 133:350464
TI Preparation of L-ribo-Locked Nucleic Acids Analog Duplexes
IN Wengel, Jesper
PA Exiqon A/S, Den.
SO PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000066604	A2	20001109	WO 2000-DK225	20000504
	WO 2000066604	A3	20010111		
	W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1178999	A2	20020213	EP 2000-925080	20000504
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002543214	T2	20021217	JP 2000-615633	20000504
PRAI	DK 1999-603	A	19990504		
	DK 1999-1225	A	19990901		
	DK 2000-32	A	20000111		
	WO 2000-DK225	W	20000504		

OS MARPAT 133:350464
GI



I

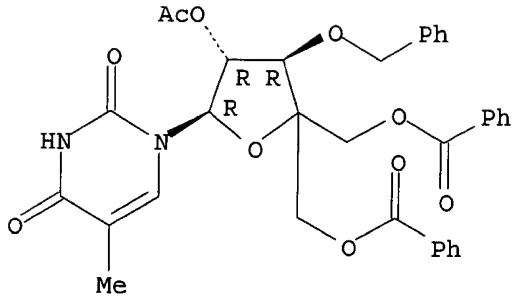
AB Nucleoside analogs wherein a 2'-4'-bridge locks the conformation of the nucleoside have been synthesized with an inverted stereochem. at C-3' and C-4' to provide the L-ribo-configurated Locked Nucleic Acid (LNA) nucleoside I wherein X is O, S, imino, alkylidene; B is H, OH, alkoxy, optionally substituted alkyl, acyloxy, nucleobases, DNA intercalators; P designates radical position for an internucleoside linkage to a succeeding monomer, or a 5'-terminal group; P* designates an internucleoside linkage to a preceding monomer, or a 3'-terminal group; R4* designate biradicals consisting of 1-4 groups/atoms selected from alkyl, alkenyl, imino, O, S, SO2, amine, silyl, keto, thiocarbonyl; each of the substituents R1*, R2, R3*, R5, R5*, which are present is independently selected from H, alkyl, alkenyl, alkynyl, hydroxy, alkoxy, alkenyloxy, carboxy, alkoxycarbonyl, alkylcarbonyl, formyl, aryl, aryloxy- carbonyl, aryloxy, arylcarbonyl, heteroaryl, heteroaryloxy-carbonyl, heteroaryloxy, heteroarylcarbonyl, amino, mono- and di(alkyl)amino, carbamoyl, mono- and di(alkyl)-aminocarbonyl, amino-alkyl-aminocarbonyl, mono- and di(alkyl)amino-alkyl-aminocarbonyl, alkylcarbonylamino, carbamido, alkanoyloxy, sulfono, alkylsulfonyloxy, nitro, azido, sulphanyl, alkylthio, halogen, DNA intercalators. The synthesis of L-ribo-LNA-nucleoside is applicable to all nucleobases including thymine, adenine, cytosine, guanine and uracil. These LNAs with L-ribo-configuration have been utilized in the synthesis of 2'-O-4'-C-methylene-.alpha.-L-ribofuranosyl nucleotides as well as **oligonucleotides** with L-ribo-LNA nucleosides included therein. Methods of targeting complementary nucleic acids are greatly improved by use of these L-ribo-LNA modified **oligonucleotides** due to their high affinity for complementary nucleic acids. Thus, (1S,3R,4S,7R)-7-(2-Cyanoethoxy(diisopropylamino)phosphinoxy)-1-(4,4'-dimethoxytrityloxymethyl)-3-(6-N-benzoyladenin-9-yl)-2,5-dioxabicyclo[2.2.1]heptane was prepd. and incorporated into L-ribo-Locked Nucleic Acids analog duplexes.

IT **230631-17-1P 230631-18-2P 296253-24-2P**
296253-26-4P 296253-28-6P 303183-66-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of L-ribo-Locked Nucleic Acids analog duplexes)

RN 230631-17-1 CAPLUS

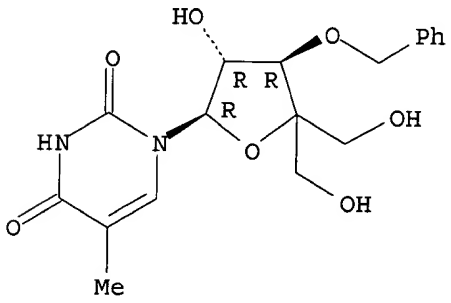
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-O-acetyl-5-O-benzoyl-4-C-[(benzoyloxy)methyl]-3-O-(phenylmethyl)-.alpha.-L-threo-pentofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



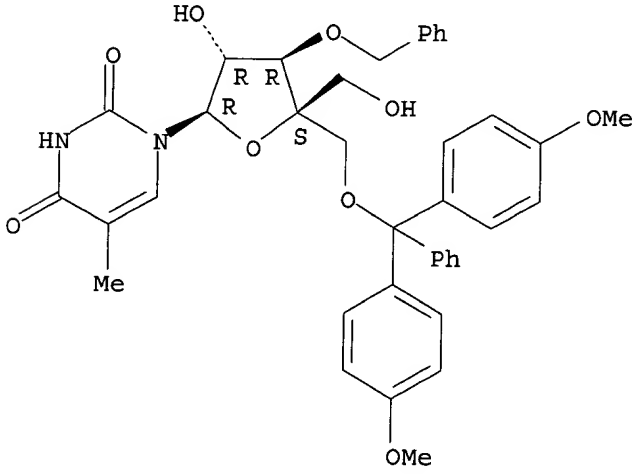
RN 230631-18-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-C-(hydroxymethyl)-3-O-(phenylmethyl)-.alpha.-L-threo-pentofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 296253-24-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-4-C-(hydroxymethyl)-3-O-(phenylmethyl)-.alpha.-L-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

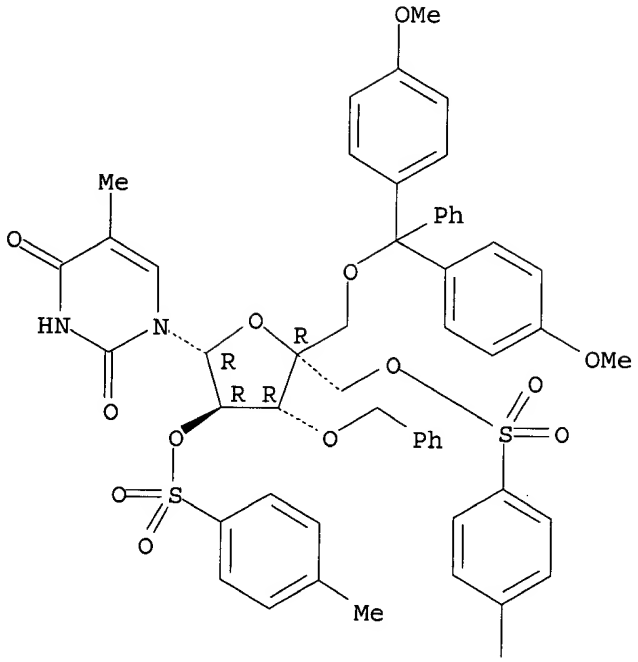


RN 296253-26-4 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-2-O-[(4-methylphenyl)sulfonyl]-4-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3-O-(phenylmethyl)-.alpha.-L-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.

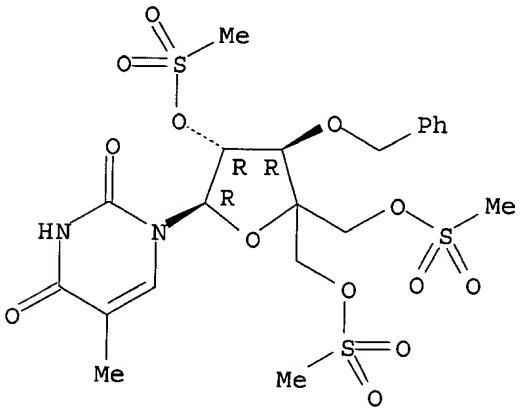
PAGE 1-A



PAGE 2-A

RN 296253-28-6 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-bis-O-(methylsulfonyl)-4-C-[[(methylsulfonyl)oxy]methyl]-3-O-(phenylmethyl)-.alpha.-L-threo-pentofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

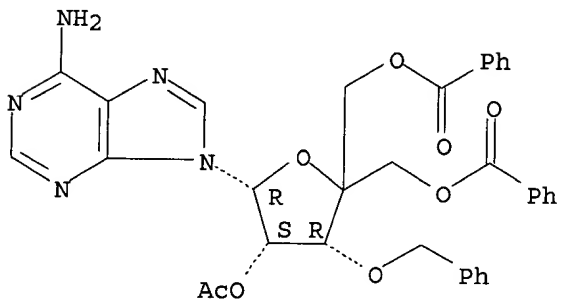
Absolute stereochemistry.



09567863

RN 303183-66-6 CAPLUS
CN 9H-Purin-6-amine, 9-[2-O-acetyl-5-O-benzoyl-4-C-[(benzoyloxy)methyl]-3-O-(phenylmethyl)-.alpha.-L-erythro-pentofuranosyl]- (9CI) (CA INDEX NAME)

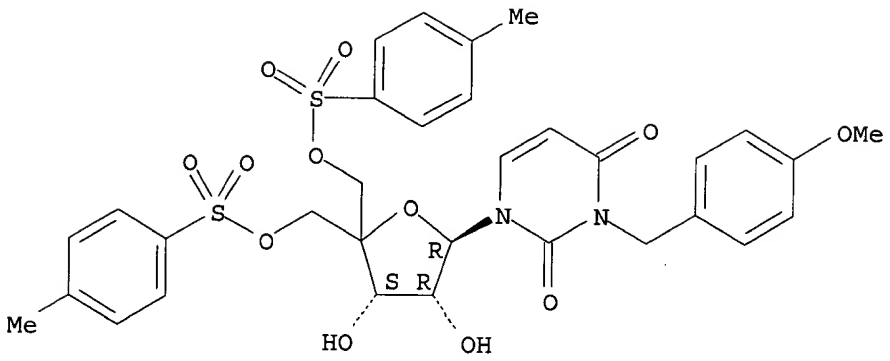
Absolute stereochemistry.



L13 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 2000:719698 CAPLUS
DN 134:71831
TI DNA triplex structures are stabilized by the incorporation of 3'-endo blocked pyrimidine nucleosides in the hoogsteen strand
AU Savy, P.; Benhida, R.; Fourrey, J.-L.; Maurisse, R.; Sun, J.-S.
CS Institut de Chimie des Substances Naturelles, CNRS, Gif-sur-Yvette, 91198, Fr.
SO Bioorganic & Medicinal Chemistry Letters (2000), 10(20), 2287-2289
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB A short route to pyrimidine locked nucleosides has been developed for their incorporation in triplex forming **oligonucleotides** (TFO). Compared to **oligonucleotides** build with std. nucleosides, the modified TFOs contg. 3'-endo blocked residues formed, with their corresponding DNA duplexes, more stable triple helix systems, an effect which might be ascribed to the 3'-endo pucker of the modified nucleoside residues.
IT **314080-68-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 3'-endo blocked pyrimidine nucleosides and incorporation into DNA triplex structures)
RN 314080-68-7 CAPLUS
CN Uridine, 3-[(4-methoxyphenyl)methyl]-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-, 5'-(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09567863



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 2000:688248 CAPLUS
DN 133:252664
TI Preparation of Xylo-Locked Nucleic Acid (LNA) Analogs
IN Wengel, Jesper
PA Exiqon A/S, Den.
SO PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000056748	A1	20000928	WO 2000-DK125	20000317
	W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1161439	A1	20011212	EP 2000-910581	20000317
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002540118	T2	20021126	JP 2000-606609	20000317
PRAI	DK 1999-382	A	19990318		
	DK 1999-1224	A	19990901		
	WO 2000-DK125	W	20000317		

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

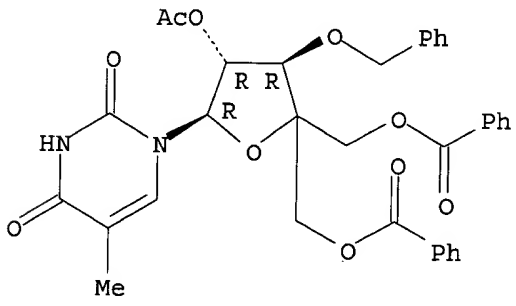
AB A bicyclic nucleoside deriv., wherein an intra-nucleoside ring locks the ring conformation of the nucleoside, is termed an LNA - a Locked Nucleic Acid. LNAs of the xylo -configuration, considered useful as therapeutic agents, diagnostic agents and useful for the formation of **oligonucleotides**, have been prepd. An oligomer comprising at least one nucleoside analog of the general formula I wherein X is selected from O, S, substituted N or carbon; B is selected from hydrogen, hydroxy,

optionally substituted alkoxy, alkyl, acyloxy, nucleobase, DNA intercalators, photochem. active groups, thermochem. active groups, chelating groups, reporter groups, and ligands; P designates the radical position for an internucleoside linkage to a succeeding monomer, or a 5'-terminal group, such internucleoside linkage or 5'-terminal group optionally including the substituent R5 or equally applicable the substituent R5*; P* designates an internucleoside linkage to a preceding monomer, or a 3'-terminal group; R2* and R4* designate biradicals consisting of 1-4 groups/atoms selected from substituted -C-, -C=C-, -C=N-, -O-, -Si-, -S-, -SO2-, -N-, -C(O)-, -C(S), imine, each of the substituents R1*, R2, R3*, R5, R5*, R6, and R6* are independently selected from hydrogen, alkyl, alkenyl, alkynyl, hydroxy, alkoxy, alkenyloxy, carboxy, alkoxycarbonyl, alkylcarbonyl, formyl, aryl, aryloxy carbonyl, aryloxy, arylcarbonyl, heteroaryl, heteroaryloxy-carbonyl, heteroaryloxy, heteroarylcarbonyl, amino, carbamoyl, aminocarbonyl, carbamido, alkanoyloxy, sulfono, alkylsulfonyloxy, nitro, azido, sulphanyl, alkylthio, halogen. Furthermore, **oligonucleotides** comprising LNAs of the xylo configuration are useful for high-affinity targeting of complementary single stranded and double stranded DNA and RNA and have interesting activity with regards to specificity and affinity to **oligonucleotides**. These **oligonucleotides** are also useful as a therapeutic and in diagnostic fields. Thus, nucleoside II was prepd. and incorporated into locked nucleic acid duplexes.

IT **230631-17-1P 230631-18-2P 230631-19-3P**
230631-20-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of xylo-locked nucleic acid (LNA) analogs)

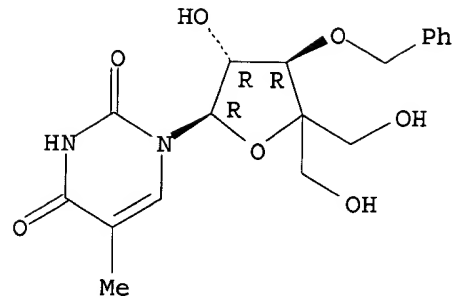
RN 230631-17-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-O-acetyl-5-O-benzoyl-4-C-[(benzoyloxy)methyl]-3-O-(phenylmethyl)-.alpha.-L-threo-pentofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



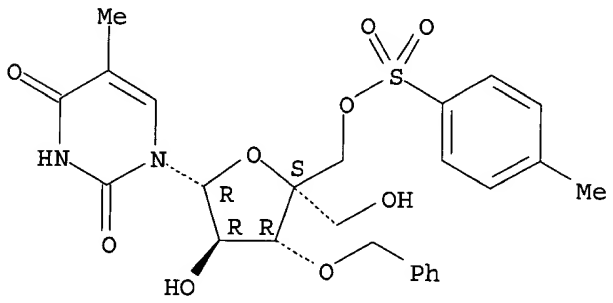
RN 230631-18-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-C-(hydroxymethyl)-3-O-(phenylmethyl)-.alpha.-L-threo-pentofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



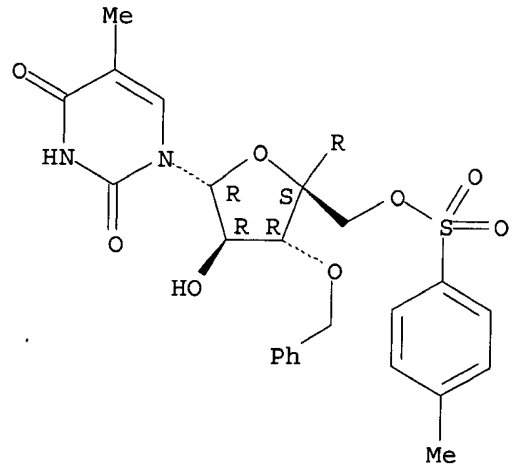
RN 230631-19-3 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-C-(hydroxymethyl)-5-O-[(4-methylphenyl)sulfonyl]-3-O-(phenylmethyl)-.alpha.-L-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

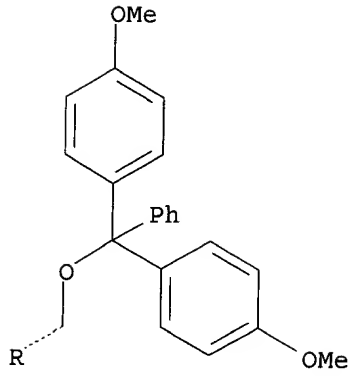
Absolute stereochemistry.



RN 230631-20-6 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-5-O-[(4-methylphenyl)sulfonyl]-3-O-(phenylmethyl)-.alpha.-L-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



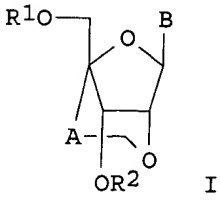


RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 2000:573812 CAPLUS
DN 133:164266
TI Preparation of novel nucleosides and **oligonucleotide** analogues having antisense or antigene activity
IN Kaneko, Masakatsu; Morita, Koji; Imanishi, Takeshi
PA Sankyo Company, Limited, Japan
SO PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000047599	A1	20000817	WO 2000-JP725	20000210
	W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, TR, US, ZA				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 1152009	A1	20011107	EP 2000-902887	20000210
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	BR 2000008131	A	20020409	BR 2000-8131	20000210
	JP 2000297097	A2	20001024	JP 2000-34560	20000214
	US 2002147332	A1	20021010	US 2001-925673	20010809
	NO 2001003899	A	20011010	NO 2001-3899	20010810
PRAI	JP 1999-33863	A	19990212		
	WO 2000-JP725	W	20000210		

OS MARPAT 133:164266
GI



I

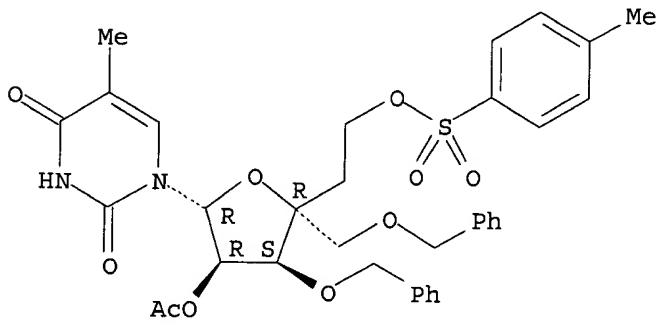
AB Compds. represented by general formula [I; wherein R1 and R2 are each independently hydrogen, a hydroxyl-protecting group, a phosphoric acid group, or -P(R3)R4 (wherein R3 and R4 are each independently C1-5 cyanoalkoxy, amino substituted with C1-4 alkyl, or the like); A is C1-4 alkylene; and B is optionally substituted purin-9-yl or 2-oxopyrimidin-1-yl] and salts thereof and novel **oligonucleotide** analogs prepd. by using the same as the intermediates, which exhibit stable and excellent antisense activities and are useful as probes for detecting specific genes or as primers for initiating gene amplification, are prepd. Thus, alkylation of 2'-O,4'-C-ethylene-5-methyluridine by 4,4'-dimethoxytrityl chloride in pyridine at room temp. overnight followed by condensation with 2-cyanoethyl-N,N-diisopropylchlorophosphoramidite in the presence of diisopropylethylamine in CH2Cl2 gave phosphoramidite (II). **Oligonucleotide** analog 5'-d(gcgxxxxxxgct)-3' (III; x = 2'-O,4'-C-ethylene-5-methyluridine residue) was prepd. by ABI model 392 DNA/RNA synthesizer and the phosphoramidite solid-phase method using II. DNA-DNA duplex, III.5'-d(agcaaaaaacgc)-3', and DNA-RNA duplex III.5'-r(agcaaaaaacgc)-3' showed Tm of 61 and 75.degree., resp., as compared to 48 and 44.degree. for 5'-d(gcgttttttgct)(natural sequence).3'-5'-d(agcaaaaaacgc)-3' and 5'-d(gcgttttttgct).3'-5'-r(agcaaaaaacgc)-3', resp.

IT **287737-66-0P 287737-67-1P 287737-68-2P**
287737-69-3P 287737-70-6P 287737-71-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of novel nucleosides and **oligonucleotide** analogs as antisense or antigene **oligonucleotides** or primers for gene amplifications)

RN 287737-66-0 CAPLUS

CN Uridine, 5-methyl-4'-C-[2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

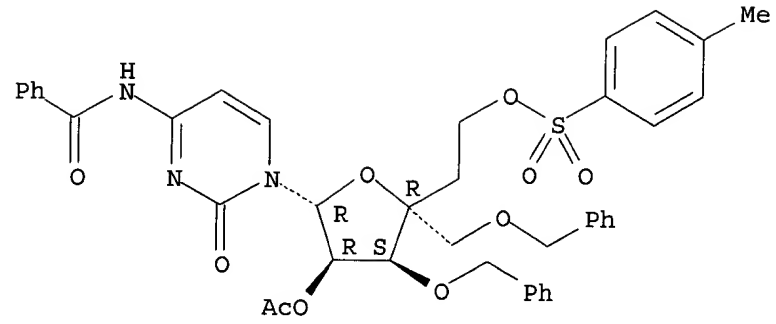
Absolute stereochemistry.



RN 287737-67-1 CAPLUS

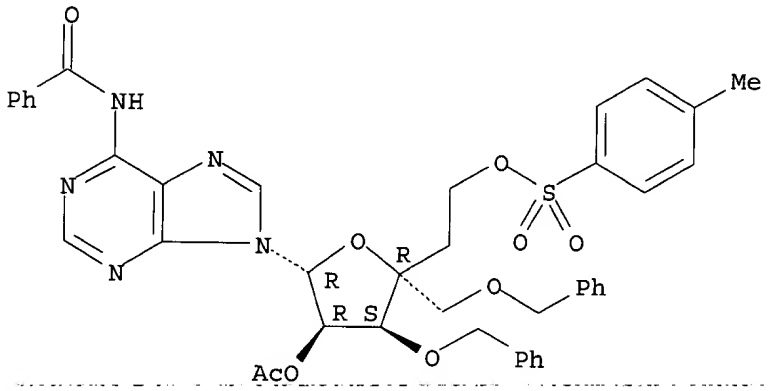
CN Cytidine, N-benzoyl-4'-C-[2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



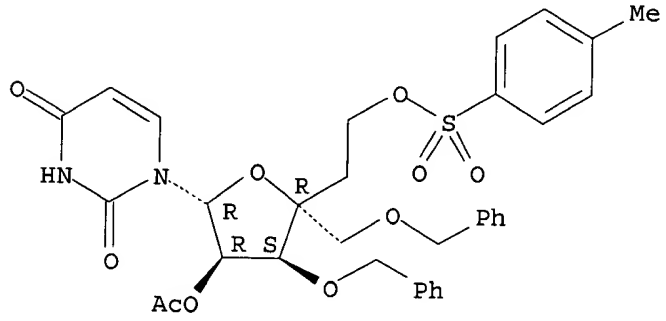
RN 287737-68-2 CAPLUS
CN Adenosine, N-benzoyl-4'-C-[2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 287737-69-3 CAPLUS
CN Uridine, 4'-C-[2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

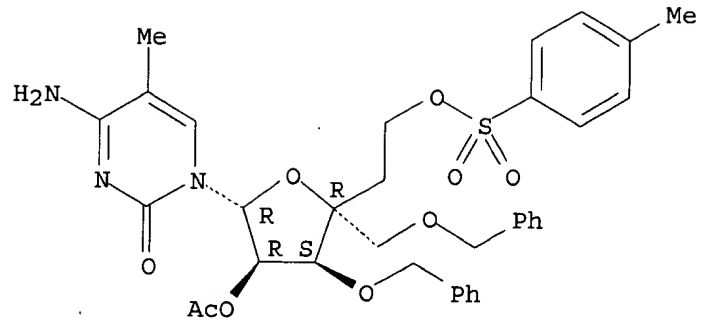
Absolute stereochemistry.



RN 287737-70-6 CAPLUS
CN Cytidine, 5-methyl-4'-C-[2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

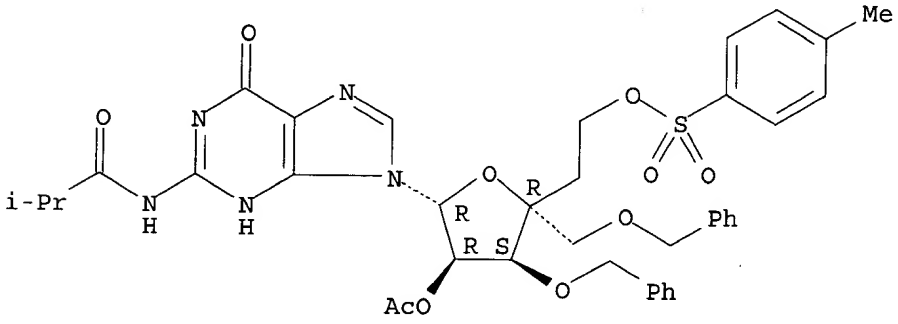
Absolute stereochemistry.

09567863



RN 287737-71-7 CAPLUS
CN Guanosine, N-(2-methyl-1-oxopropyl)-4'-C-[2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

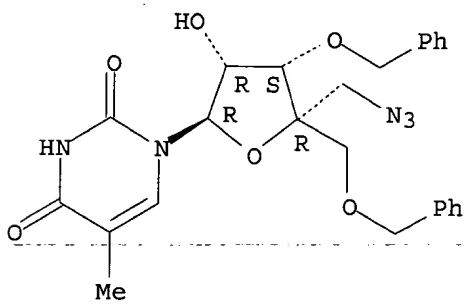
L13 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 2000:125446 CAPLUS
DN 132:293971
TI **Oligonucleotides** containing novel 4'-C- or 3'-C-(aminoalkyl)-branched thymidines
AU Pfundheller, Henrik M.; Bryld, Torsten; Olsen, Carl E.; Wengel, Jesper
CS Department of Chemistry, University of Southern Denmark, Odense University, Odense M, DK-5230, Den.
SO Helvetica Chimica Acta (2000), 83(1), 128-151
CODEN: HCACAV; ISSN: 0018-019X
PB Verlag Helvetica Chimica Acta
DT Journal
LA English
AB The synthesis of four novel 3'-C-branched and 4'-C-branched nucleosides and their transformation into the corresponding 3'-O-phosphoramidite building blocks for automated **oligonucleotide** synthesis is reported. The 4'-C-branched key intermediate 11 was synthesized by a convergent strategy and converted to its 2'-O-Me and 2'-deoxy-2'-fluoro derivs., leading to the prepn. of novel **oligonucleotide** analogs contg. 4'-C-(aminomethyl)-2'-O-Me monomer X and 4'-C-(aminomethyl)-2'-deoxy-2'-fluoro monomer Y. In general, increased binding affinity towards complementary single-stranded DNA and RNA was obtained with these analogs compared to the unmodified refs. The presence of monomer X or monomer Y in a 2'-O-methyl-RNA **oligonucleotide** had a neg. effect on the binding affinity of the 2'-O-methyl-RNA **oligonucleotide** towards DNA and RNA. Starting from the 3'-C-allyl deriv. 28, 3'-C-(3-aminopropyl)-

protected nucleosides and 3'-O-phosphoramidite derivs. were synthesized, leading to novel **oligonucleotide** analogs contg. 3'-C-(3-aminopropyl)thymidine monomer Z or the corresponding 3'-C-(3-aminopropyl)-2'-O,5-dimethyluridine monomer W. Incorporation of the 2'-deoxy monomer Z induced no significant changes in the binding affinity towards DNA but decreased binding affinity towards RNA, while the 2'-O-Me monomer Z induced decreased binding affinity towards DNA as well as RNA complements.

IT **250708-29-3P 250708-30-6P 250708-32-8P**
250708-33-9P 250708-35-1P 250708-36-2P
250708-37-3P 250708-38-4P 250708-39-5P
250708-40-8P 250708-41-9P 250708-44-2P
250708-45-3P 250708-46-4P 250708-47-5P
250708-48-6P 263547-06-4P 263547-13-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of **oligonucleotides** contg. or 4'-C- or 3'-C-(aminoalkyl)-branched thymidines)

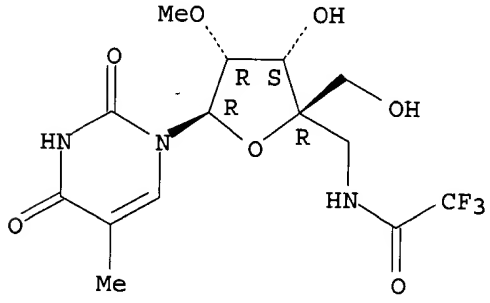
RN 250708-29-3 CAPLUS
CN Uridine, 4'-C-(azidomethyl)-5-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



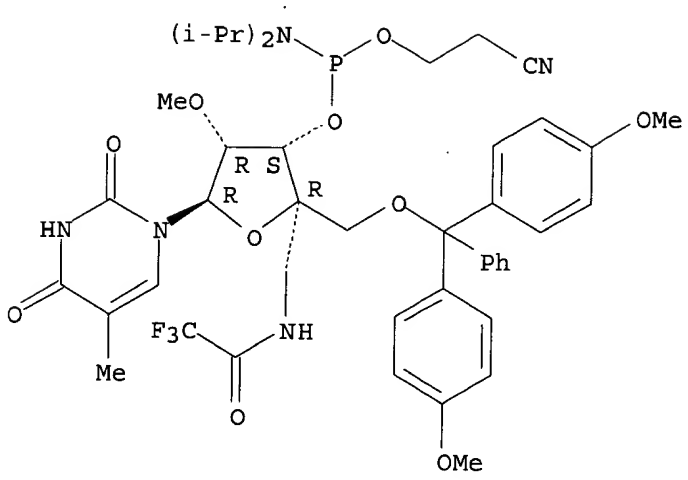
RN 250708-30-6 CAPLUS
CN Uridine, 5-methyl-2'-O-methyl-4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



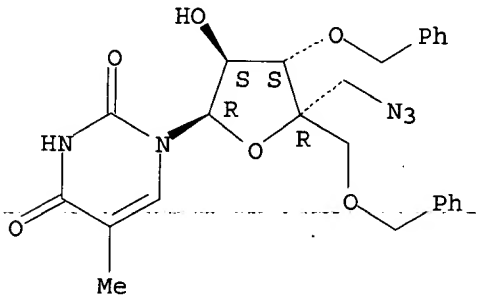
RN 250708-32-8 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-5-methyl-2'-O-methyl-4'-C-[[(trifluoroacetyl)amino]methyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



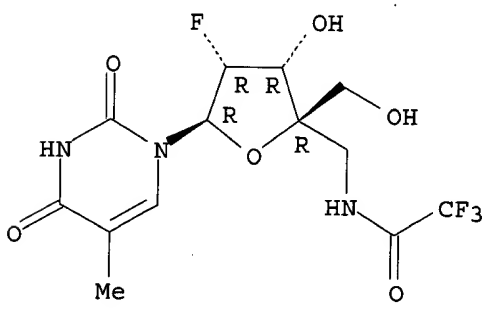
RN 250708-33-9 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-C-(azidomethyl)-3,5-bis-O-(phenylmethyl)-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



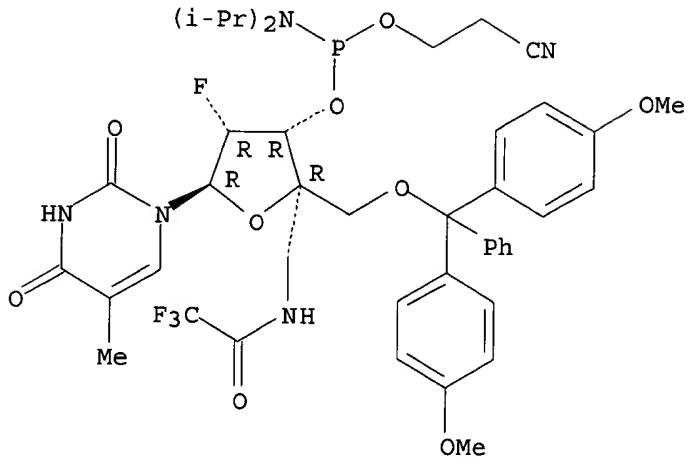
RN 250708-35-1 CAPLUS
CN Uridine, 2'-deoxy-2'-fluoro-5-methyl-4-C'-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



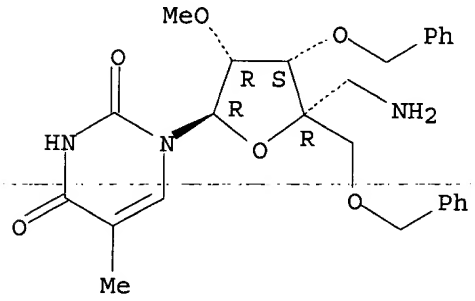
RN 250708-36-2 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-2'-fluoro-5-methyl-4'-C-[[(trifluoroacetyl)amino]methyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



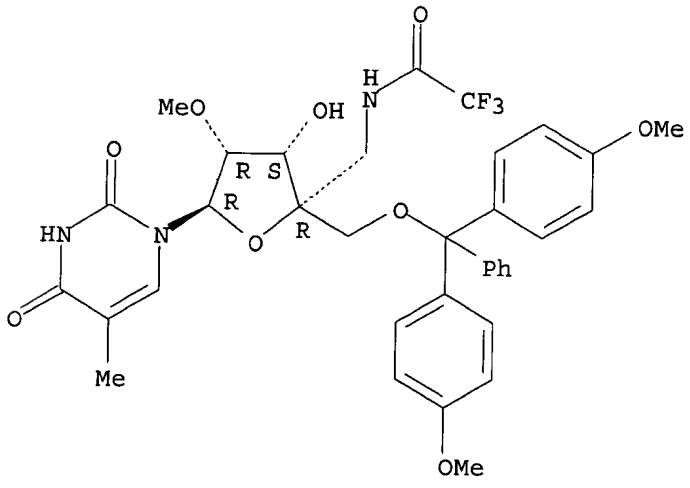
RN 250708-37-3 CAPLUS
CN Uridine, 4'-C-(aminomethyl)-5-methyl-2'-O-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

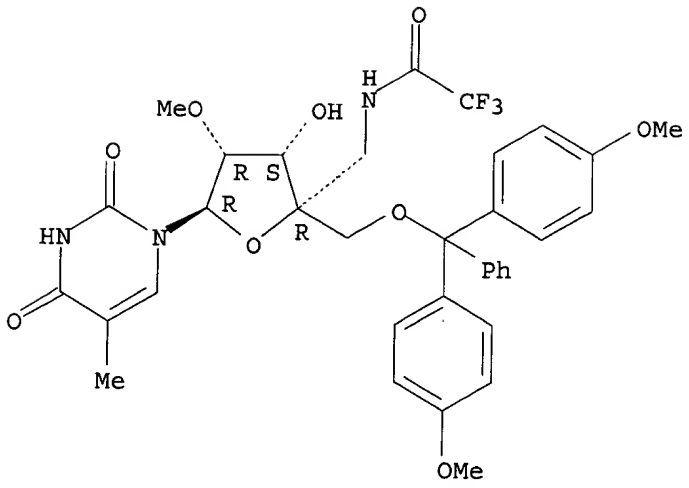
Absolute stereochemistry.



RN 250708-38-4 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-5-methyl-2'-O-methyl-4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

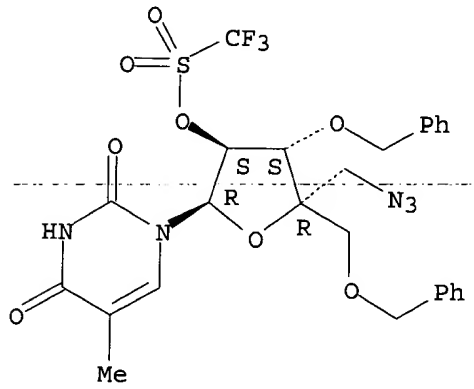
Absolute stereochemistry.





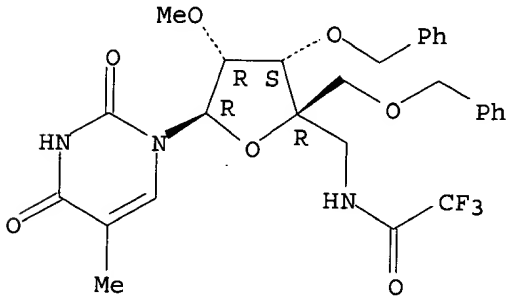
RN 250708-39-5 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-C-(azidomethyl)-3,5-bis-O-(phenylmethyl)-2-O-[(trifluoromethyl)sulfonyl]-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250708-40-8 CAPLUS
CN Uridine, 5-methyl-2'-O-methyl-3',5'-bis-O-(phenylmethyl)-4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

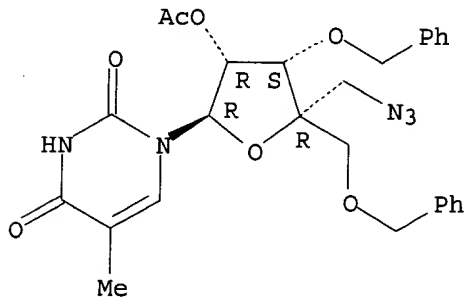


RN 250708-41-9 CAPLUS

09567863

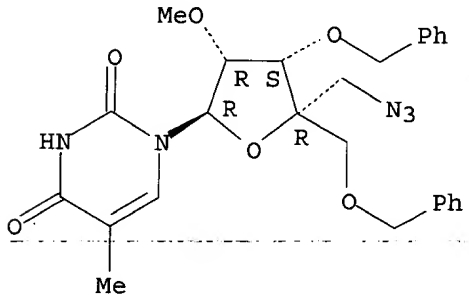
CN Uridine, 4'-C-(azidomethyl)-5-methyl-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



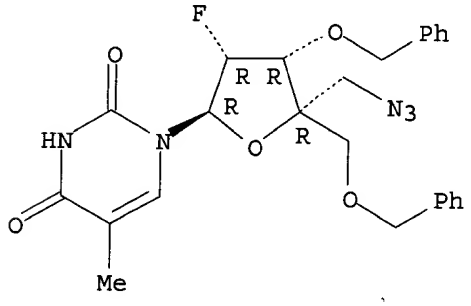
RN 250708-44-2 CAPLUS
CN Uridine, 4'-C-(azidomethyl)-5-methyl-2'-O-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250708-45-3 CAPLUS
CN Uridine, 4'-C-(azidomethyl)-2'-deoxy-2'-fluoro-5-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

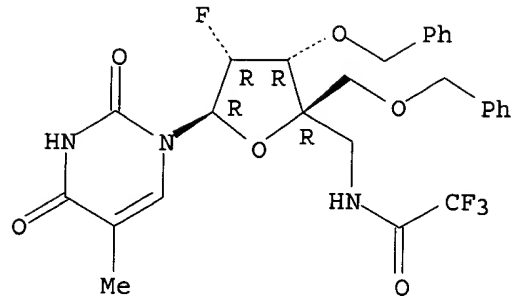
Absolute stereochemistry.



RN 250708-46-4 CAPLUS
CN Uridine, 2'-deoxy-2'-fluoro-3',5'-bis-O-(phenylmethyl)-5-methyl-4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

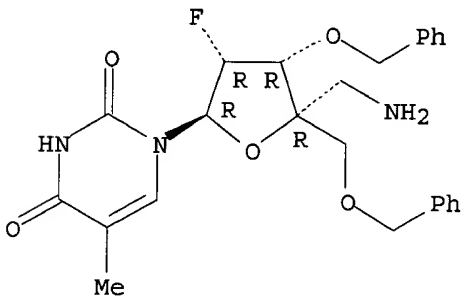
Absolute stereochemistry.

09567863



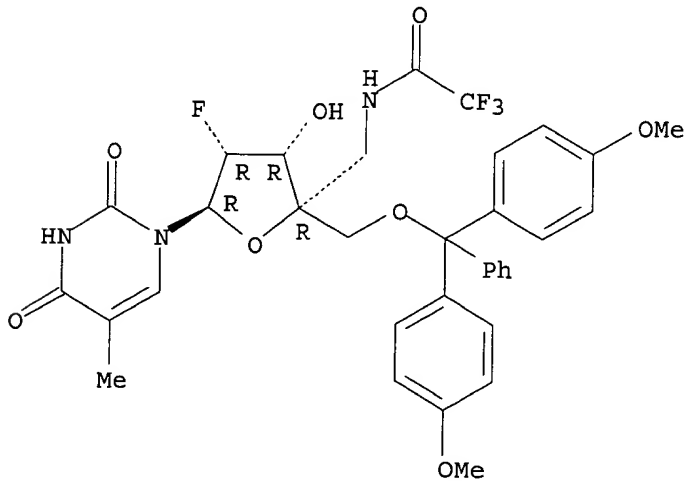
RN 250708-47-5 CAPLUS
CN Uridine, 4'-C-(aminomethyl)-2'-deoxy-2'-fluoro-5-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250708-48-6 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-2'-fluoro-5-methyl-4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

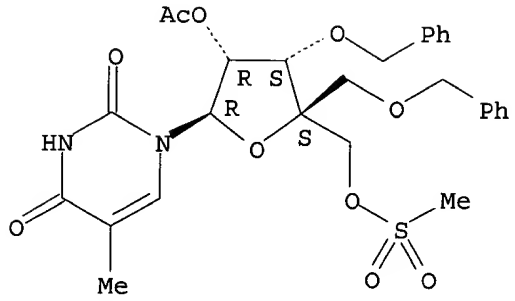
Absolute stereochemistry.



RN 263547-06-4 CAPLUS
CN Uridine, 5-methyl-4'-C-[[(methylsulfonyl)oxy]methyl]-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

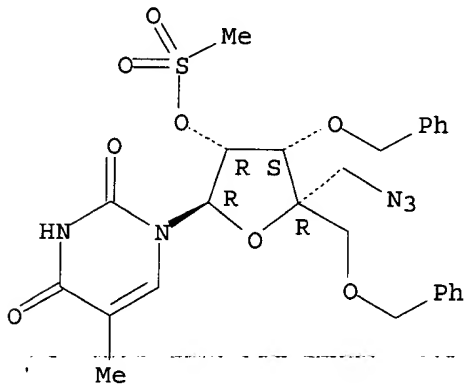
Absolute stereochemistry.

09567863



RN 263547-13-3 CAPLUS
CN Uridine, 4'-C-(azidomethyl)-5-methyl-3',5'-bis-O-(phenylmethyl)-, 2'-methanesulfonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 2000:119649 CAPLUS
DN 132:275566
TI Electron transfer in DNA from guanine and 8-oxoguanine to a radical cation of the carbohydrate backbone
AU Meggers, Eric; Dussy, Adrian; Schafer, Thomas; Giese, Bernd
CS Department of Chemistry, University of Basel, Basel, CH-4056, Switz.
SO Chemistry--A European Journal (2000), 6(3), 485-492
CODEN: CEUJED; ISSN: 0947-6539
PB Wiley-VCH Verlag GmbH
DT Journal
LA English
AB Photolysis of a 4'-pivaloyl-substituted nucleotide in single- and double-stranded DNA generated an enol ether radical cation 4 that was reduced to an enol ether by electron transfer from the nearest guanosine (G). Variation of the nucleotide sequence demonstrated a strong distance dependence of this electron-transfer rate with .beta. = 1.0 .+-. 0.1 .ANG.-1. When 8-oxoguanosine (Goxo) was used as the electron donor, the rate of the electron transfer increased by a factor of 4 but the distance dependence of the transfer remained unchanged within exptl. error. In single strands, the no. of intervening A, T, and C nucleotides had a much smaller effect; the rate remained nearly const. for two, three, or four intervening nucleotides. This is explained by the flexibility of the

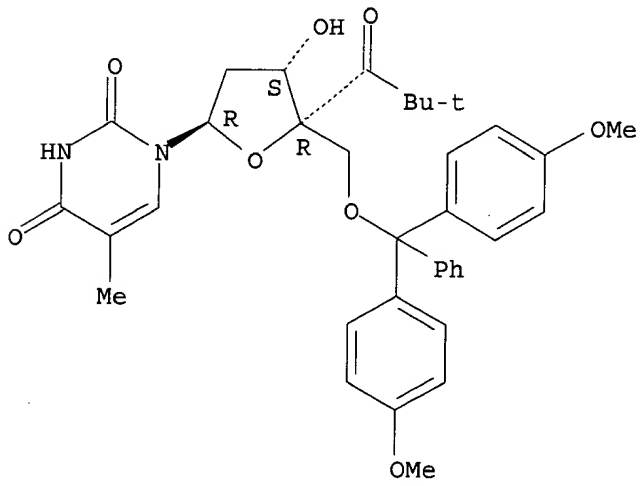
single-stranded **oligonucleotides**.

IT **183892-70-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(radical cation generated on carbohydrate backbone of single- and double-stranded DNA is reduced by electron transfer from guanine and 8-oxoguanine)

RN 183892-70-8 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



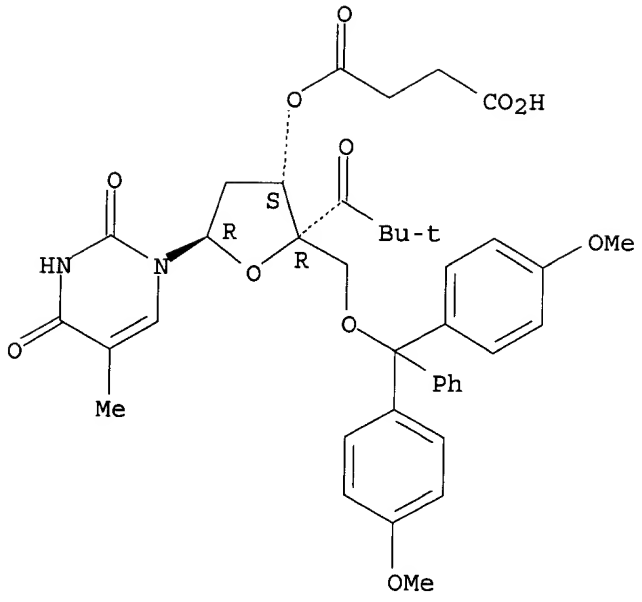
IT **263842-42-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(radical cation generated on carbohydrate backbone of single- and double-stranded DNA is reduced by electron transfer from guanine and 8-oxoguanine)

RN 263842-42-8 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4'-C-(2,2-dimethyl-1-oxopropyl)-, 3'-(hydrogen butanedioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

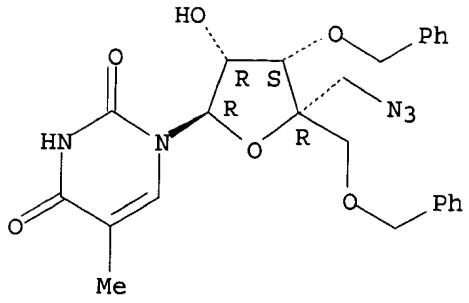
09567863



RE.CNT 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

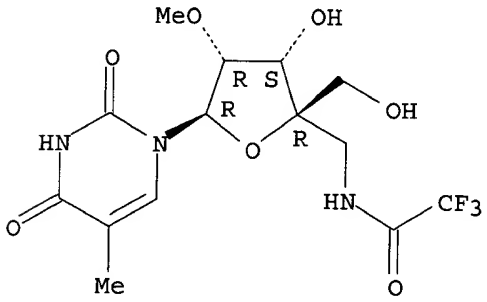
L13 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 1999:639885 CAPLUS
DN 132:93581
TI **Oligonucleotides** containing 4'-C-aminomethyl-2'-modified thymidines show increased binding affinity towards DNA and RNA
AU Pfundheller, Henrik M.; Wengel, Jesper
CS Department of Chemistry, University of Southern Denmark, Odense University, Odense, DK-5230, Den.
SO Bioorganic & Medicinal Chemistry Letters (1999), 9(18), 2667-2672
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB **Oligonucleotides** contg. 4'-C-aminomethyl-2'-O-Me or 4'-C-aminomethyl-2'-deoxy-2'-fluoro modified thymidines have been synthesized. Compared with the corresponding oligodeoxynucleotide ref. these novel **oligonucleotide** analogs display increased binding affinity towards complementary single stranded DNA as well as RNA. The possible effect of the pos. charged 4'-C-aminomethyl group has been investigated.
IT **250708-29-3P 250708-30-6P 250708-32-8P**
250708-33-9P 250708-35-1P 250708-36-2P
250708-37-3P 250708-38-4P 250708-39-5P
250708-40-8P 250708-41-9P 250708-44-2P
250708-45-3P 250708-46-4P 250708-47-5P
250708-48-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of **Oligonucleotides** contg. 4'-C-aminomethyl-2'-modified thymidines show increased binding affinity towards DNA and RNA)
RN 250708-29-3 CAPLUS
CN Uridine, 4'-C-(azidomethyl)-5-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



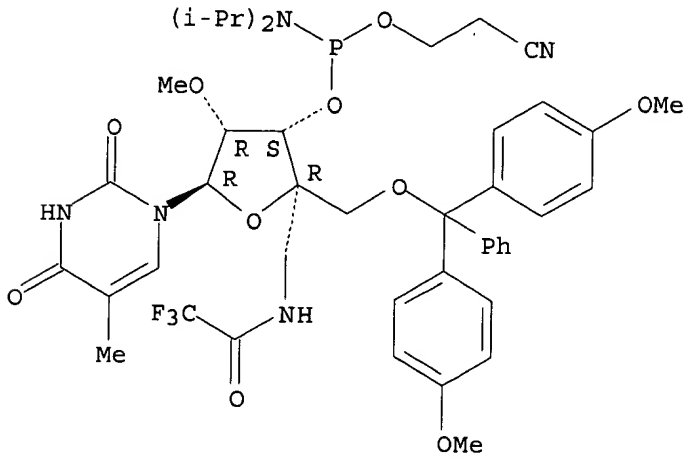
RN 250708-30-6 CAPLUS
CN Uridine, 5-methyl-2'-O-methyl-4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



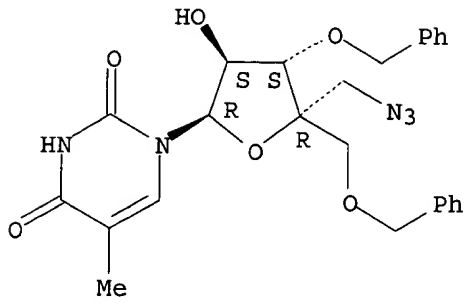
RN 250708-32-8 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-5-methyl-2'-O-methyl-4'-C-[[(trifluoroacetyl)amino]methyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



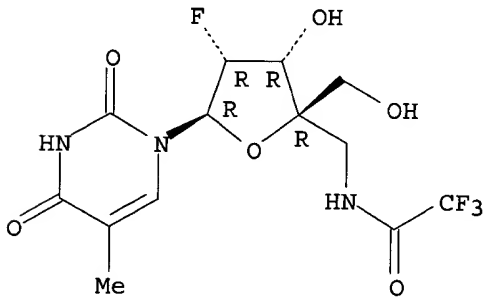
RN 250708-33-9 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-C-(azidomethyl)-3,5-bis-O-(phenylmethyl)-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



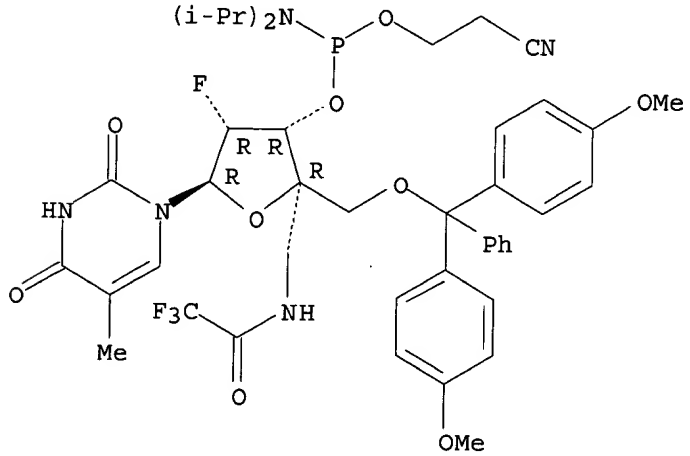
RN 250708-35-1 CAPLUS
CN Uridine, 2'-deoxy-2'-fluoro-5-methyl-4-C'-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



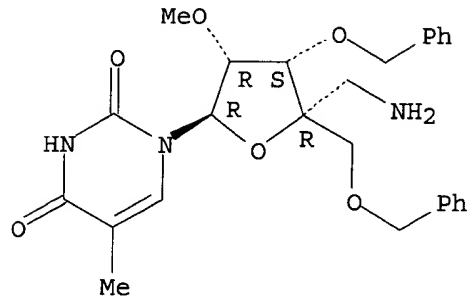
RN 250708-36-2 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-2'-fluoro-5-methyl-4'-C-[[(trifluoroacetyl)amino]methyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



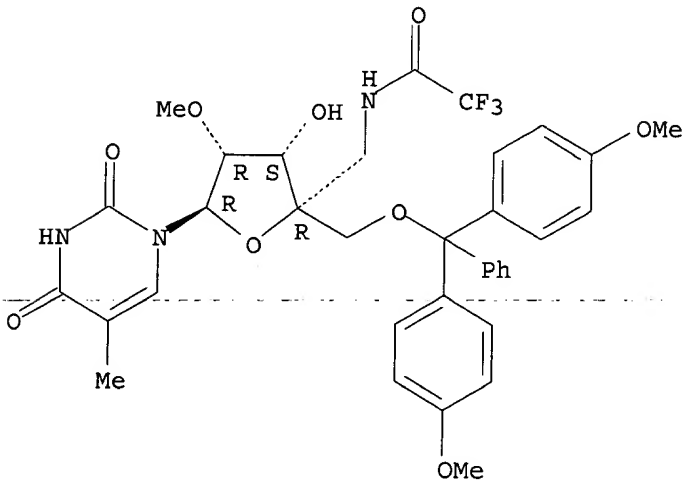
RN 250708-37-3 CAPLUS
CN Uridine, 4'-C-(aminomethyl)-5-methyl-2'-O-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



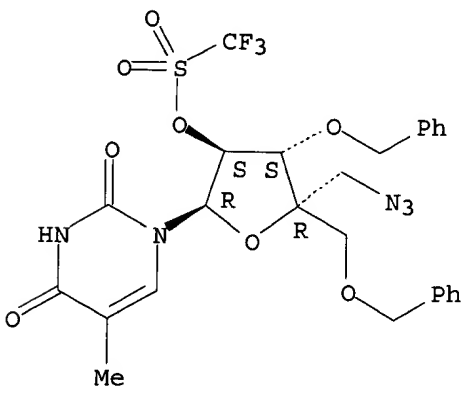
RN 250708-38-4 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-5-methyl-2'-O-methyl-4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

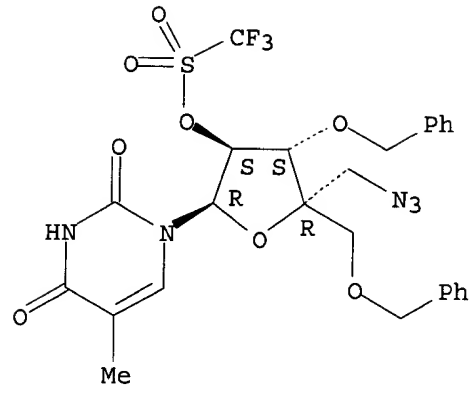
Absolute stereochemistry.



RN 250708-39-5 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-C-(azidomethyl)-3,5-bis-O-(phenylmethyl)-2-O-[(trifluoromethyl)sulfonyl]-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

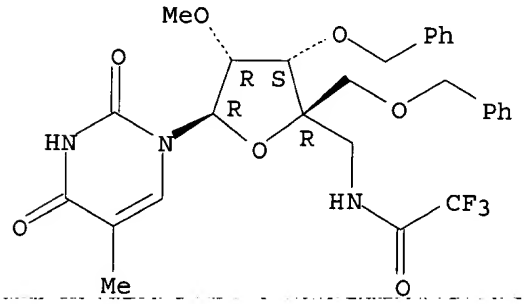
Absolute stereochemistry.





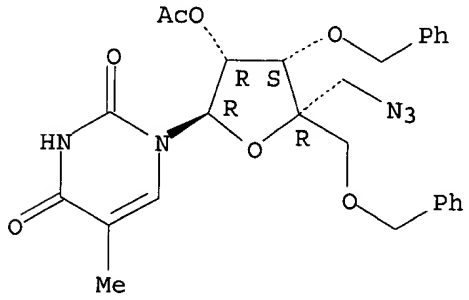
RN 250708-40-8 CAPLUS
CN Uridine, 5-methyl-2'-O-methyl-3',5'-bis-O-(phenylmethyl)-4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



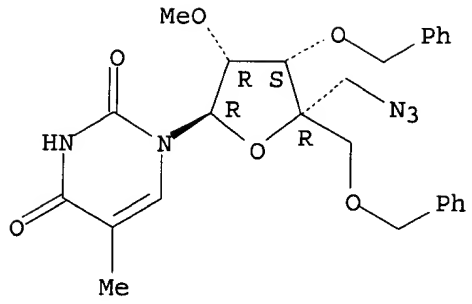
RN 250708-41-9 CAPLUS
CN Uridine, 4'-C-(azidomethyl)-5-methyl-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



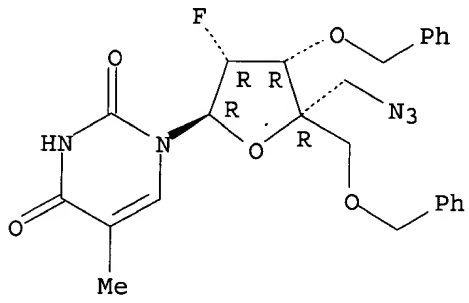
RN 250708-44-2 CAPLUS
CN Uridine, 4'-C-(azidomethyl)-5-methyl-2'-O-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



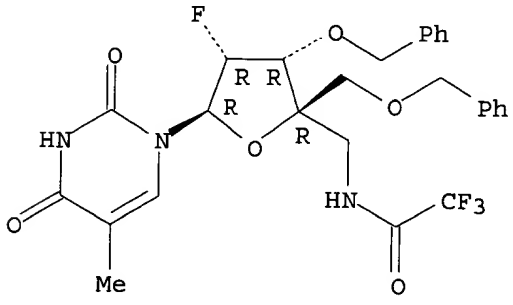
RN 250708-45-3 CAPLUS
CN Uridine, 4'-C-(azidomethyl)-2'-deoxy-2'-fluoro-5-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250708-46-4 CAPLUS
CN Uridine, 2'-deoxy-2'-fluoro-3',5'-bis-O-(phenylmethyl)-5-methyl-4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

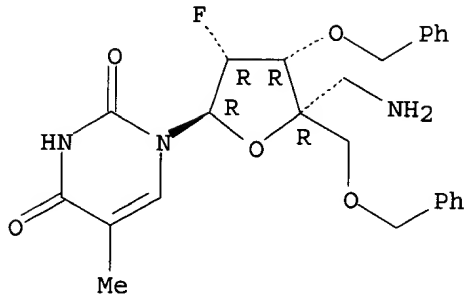
Absolute stereochemistry.



RN 250708-47-5 CAPLUS
CN Uridine, 4'-C-(aminomethyl)-2'-deoxy-2'-fluoro-5-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

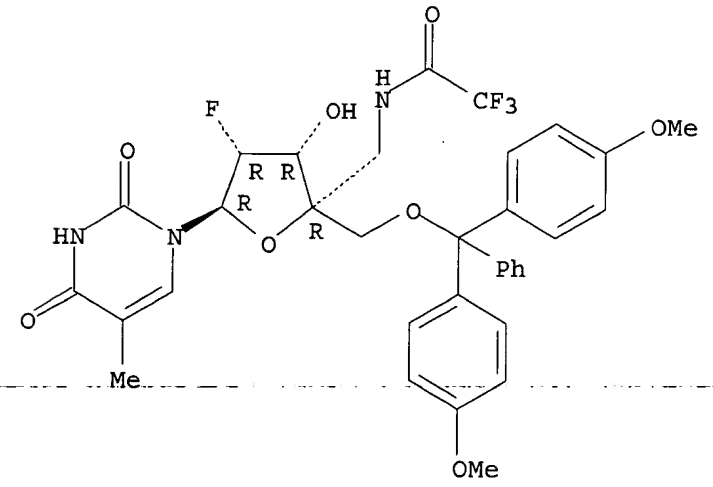
Absolute stereochemistry.

09567863



RN 250708-48-6 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-2'-fluoro-5-methyl-4'-C-[[(trifluoroacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

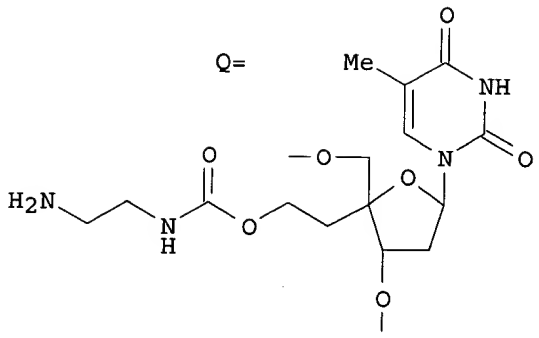


RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 1999:312742 CAPLUS
DN 131:32133
TI Antisense **oligonucleotides**
IN Matsuda, Akira; Ueno, Yoshihito; Shutou, Satoshi
PA Kansai Shingijutsu Kenkyusho K. K., Japan
SO Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11130793	A2	19990518	JP 1997-309711	19971023
PRAI	JP 1997-309711		19971023		

GI



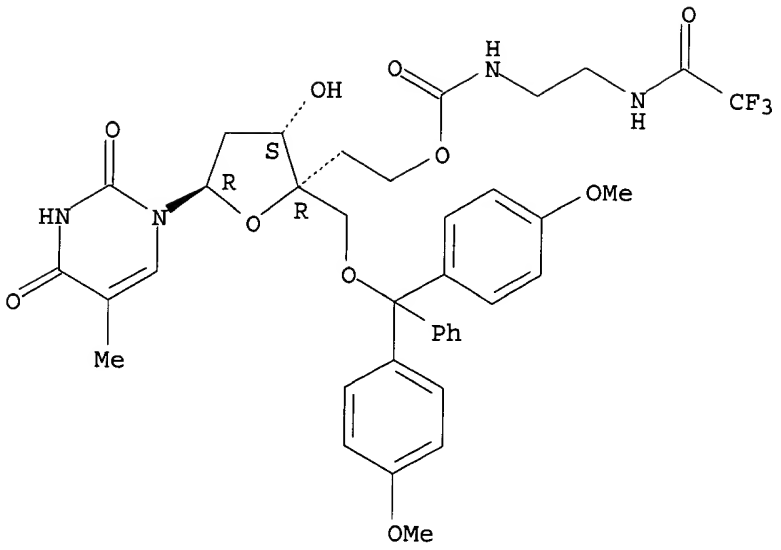
AB Antisense **oligonucleotides** have H2N(CH2)2NHCO2(CH2)2 substituents at the 4'-.alpha.-positions of the sugar portions of thymidine, deoxyuridine, deoxycytidine, deoxyadenosine, or deoxyguanosine. The antisense **oligonucleotides** show high resistance to hydrolysis by nuclease, form thermostable double strands, and are useful for antiviral and antitumor agents (no data). Reaction of 5'-O-dimethoxytrityl-4'-C-[N-(N-trifluoroacetylaminoethyl)carbamoyl]oxyethylthymidine with 2-cyanoethyl N,N-diisopropylchlorophosphoramidite gave 72% 3'-O-[2-cyanoethoxy(diisopropylaminophosphino)]-5'-O-dimethoxytrityl-4'-C-[N-(N-trifluoroacetylaminoethyl)carbamoyl]oxyethylthymidine (I). Reaction of I with phosphoroamidites of deoxyadenosine, deoxyguanosine, deoxycytidine, and deoxyuridine and deprotection of the resulting **oligonucleotide** gave an **oligonucleotide** 3'-dTdAdCXdCdTdGdCXdCdGdAdCXdCdGdGXdC-5' [X = thymidine deriv. substituted with H2N(CH2)2NHCO2(CH2)2 at the 4'-.alpha.-position (Q)], which was not degraded after 120 h incubation with snake venom phosphodiesterase at 37.degree..

IT **203200-36-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of thermostable and nuclease-resistant aminoethylcarbamoylethyl-substituted antisense **oligonucleotides** for antiviral and antitumor agents)

RN 203200-36-6 CAPLUS

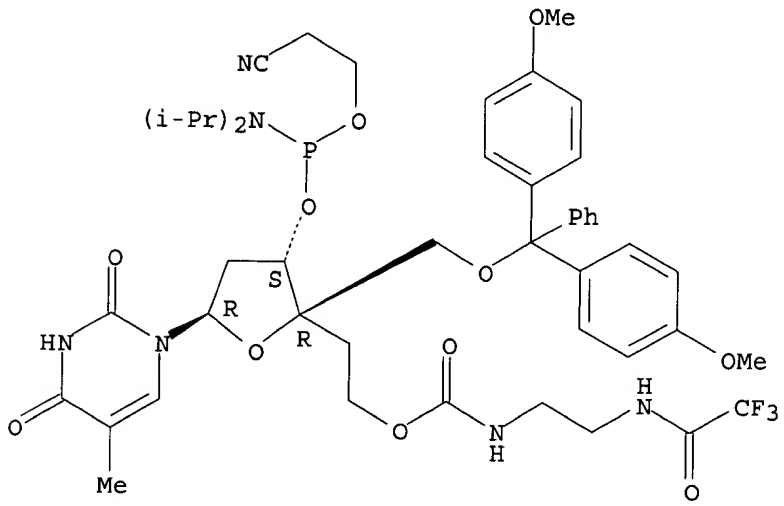
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4-C-[2-[[[[2-[(trifluoroacetyl)amino]ethyl]amino]carbonyl]oxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **203200-30-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of thermostable and nuclease-resistant aminoethylcarbamoylethyl-substituted antisense **oligonucleotides** for antiviral and antitumor agents)
RN 203200-30-0 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-4-C-[2-[[[[2-[(trifluoroacetyl)amino]ethyl]amino]carbonyl]oxy]ethyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

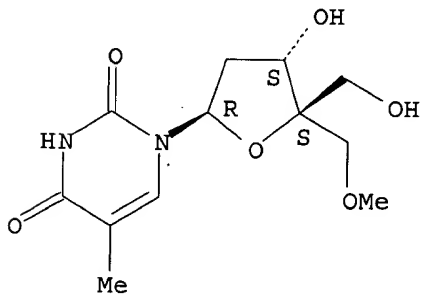


L13 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 1999:275293 CAPLUS
DN 131:84409
TI Biophysical and biochemical properties of oligodeoxynucleotides containing 4'-C- and 5'-C-substituted thymidines
AU Wang, Guangyi; Middleton, Patrick J.; Lin, Catherine; Pietrzkowski, Zbigniew

09567863

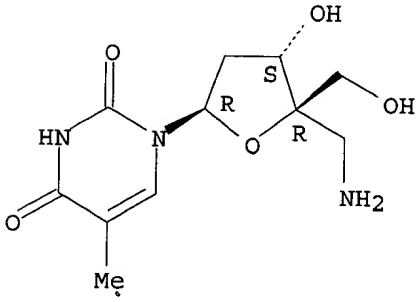
CS Research Department, ICN Pharmaceuticals, Inc., Costa Mesa, CA, 92626, USA
SO Bioorganic & Medicinal Chemistry Letters (1999), 9(6), 885-890
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB We have previously reported oligodeoxynucleotides (ODNs) contg. 4'-C- and 5'-C-substituted thymidines, which demonstrated certain favorable biophys. and biochem. properties. In this communication, the hybridization and nuclease stability data of the ODNs along with their capability to induce RNase H activity are presented.
IT **179178-42-8 229017-86-1**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(biophys. and biochem. properties of oligodeoxynucleotides contg. 4'-C- and 5'-C-substituted thymidines)
RN 179178-42-8 CAPLUS
CN Thymidine, 4'-C-(methoxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 229017-86-1 CAPLUS
CN Thymidine, 4'-C-(aminomethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

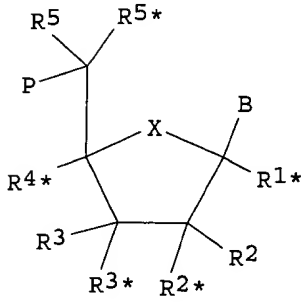
L13 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 1999:216926 CAPLUS
DN 130:252609
TI Preparation of locked nucleoside analogs-containing oligodeoxyribonucleotide duplexes as substrates for nucleic acid polymerases
IN Wengel, Jesper; Nielsen, Poul
PA Exiqon A/S, Den.

09567863

SO PCT Int. Appl., 269 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9914226	A2	19990325	WO 1998-DK393	19980914
	WO 9914226	A3	19990805		
	W: AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, CZ, DE, DE, DK, DK, EE, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002068708	A1	20020606	US 1998-152059	19980911
	CA 2303299	AA	19990325	CA 1998-2303299	19980914
	AU 9890633	A1	19990405	AU 1998-90633	19980914
	EP 1015469	A2	20000705	EP 1998-942516	19980914
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002521310	T2	20020716	JP 2000-511775	19980914
PRAI	DK 1997-1054	A	19970912		
	DK 1997-1492	A	19971219		
	DK 1998-61	A	19980116		
	DK 1998-286	A	19980303		
	DK 1998-585	A	19980429		
	US 1998-88309P	P	19980605		
	DK 1998-750	A	19980608		
	DK 1998-982	A	19980728		
	US 1997-58541P	P	19970912		
	US 1997-68293P	P	19971219		
	US 1998-71682P	P	19980116		
	US 1998-76591P	P	19980303		
	US 1998-83507P	P	19980429		
	US 1998-94355P	P	19980728		
	WO 1998-DK393	W	19980914		

OS MARPAT 130:252609
GI



I

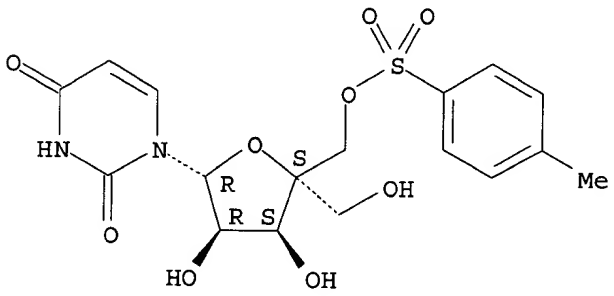
AB Bicyclic and tricyclic nucleoside and nucleotide analogs were prepd. as well as oligodeoxyribonucleotides comprising such elements I (B is selected from hydrogen, hydroxy, alkoxy, alkyl, acyloxy, nucleobases, DNA intercalators; P designates the radical position for an internucleoside

linkage to a succeeding monomer, or a 5'-terminal group, such internucleoside linkage or 5'-terminal group optionally including the substituent R5; X is selected from O, S, substituted N, substituted C; R1, R1*, R2, R2*, R3, R3*, R4*, R5, R5*, are biradical(s), independently selected from hydrogen, alkyl, alkenyl, alkynyl, hydroxy, alkoxy, alkenyloxy, carboxy, alkoxycarbonyl, alkylcarbonyl, formyl, aryl, aryloxy-carbonyl, aryloxy, arylcarbonyl, heteroaryl, carbamido, alkanoyloxy, sulfono, alkylsulfonyloxy, nitro, azido, sulphanyl, alkylthio, halogen, DNA intercalators). Thus, (1S,5R,6R,8R)-5-(2-cyanoethoxy(diisopropylamino)phosphinoxy)-6-(4,4'-dimethoxytrityloxymethyl)-8-(thymin-1-yl)-2,7-dioxabicyclo[3.3.0]nonane was prepd. and incorporated into oligodeoxyribonucleotides. The nucleotide analogs, LNAs (Locked Nucleoside Analogs), are able to provide valuable improvements to **oligonucleotides** with respect to affinity and specificity towards complementary RNA and DNA oligomers. The novel type of LNA modified **oligonucleotides**, as well as the LNAs as such, are useful in a wide range of diagnostic applications as well as therapeutic applications. Among these can be mentioned antisense applications, PCR applications, strand displacement oligomers, as substrates for nucleic acid polymerases, as nucleotide based drugs, etc.

IT **195705-15-8P 206055-49-4P 206055-51-8P**
206055-53-0P 206055-55-2P 206055-56-3P
206055-57-4P 206055-58-5P 206055-59-6P
206055-60-9P 206055-61-0P 209968-87-6P
209968-88-7P 209968-90-1P 213697-44-0P
213697-45-1P 213697-48-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of locked nucleoside analogs-contg. oligodeoxyribonucleotide duplexes as substrates for nucleic acid polymerases)

RN 195705-15-8 CAPLUS
CN Uridine, 4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

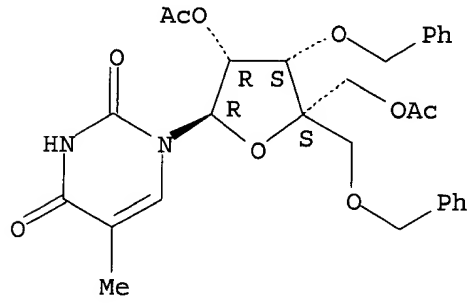
Absolute stereochemistry. Rotation (-).



RN 206055-49-4 CAPLUS
CN Uridine, 4'-C-[(acetyloxy)methyl]-5-methyl-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

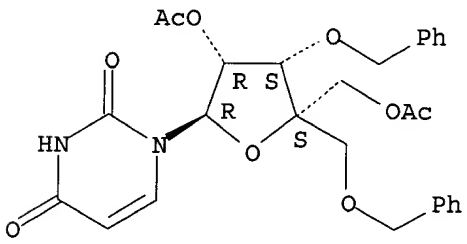
Absolute stereochemistry.

132



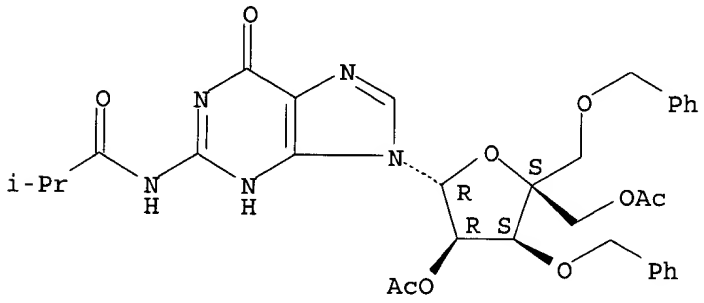
RN 206055-51-8 CAPLUS
CN Uridine, 4'-C-[(acetyloxy)methyl]-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



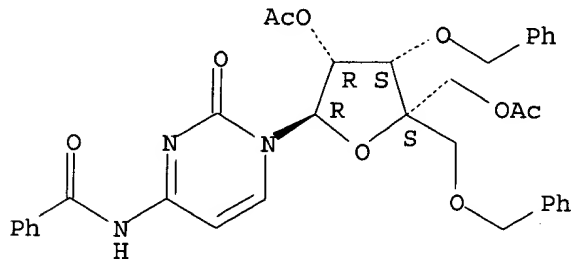
RN 206055-53-0 CAPLUS
CN Guanosine, 4'-C-[(acetyloxy)methyl]-N-(2-methyl-1-oxopropyl)-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



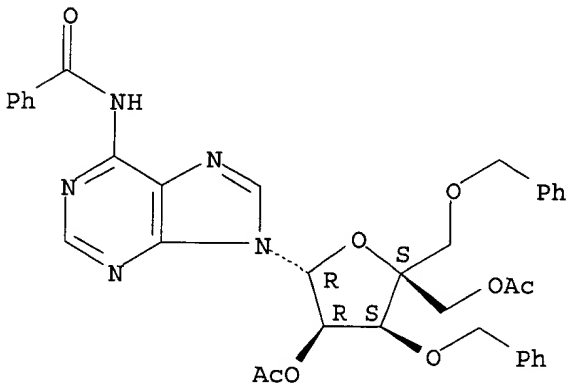
RN 206055-55-2 CAPLUS
CN Cytidine, 4'-C-[(acetyloxy)methyl]-N-benzoyl-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



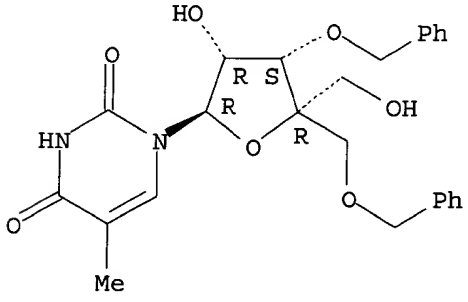
RN 206055-56-3 CAPLUS
CN Adenosine, 4'-C-[(acetyloxy)methyl]-N-benzoyl-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



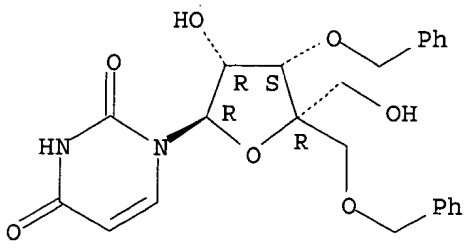
RN 206055-57-4 CAPLUS
CN Uridine, 4'-C-(hydroxymethyl)-5-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



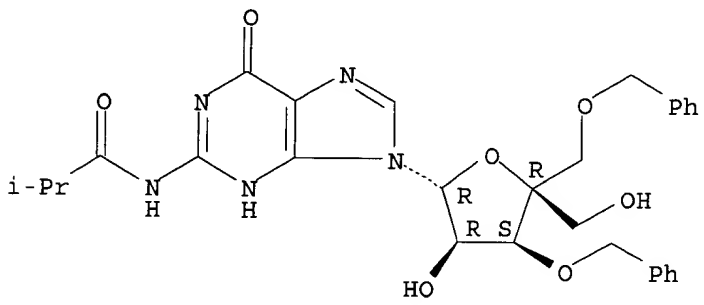
RN 206055-58-5 CAPLUS
CN Uridine, 4'-C-(hydroxymethyl)-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



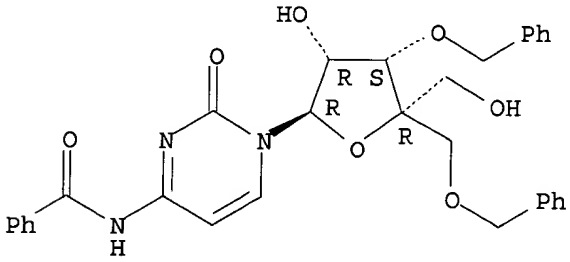
RN 206055-59-6 CAPLUS
CN Guanosine, 4'-C-(hydroxymethyl)-N-(2-methyl-1-oxopropyl)-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



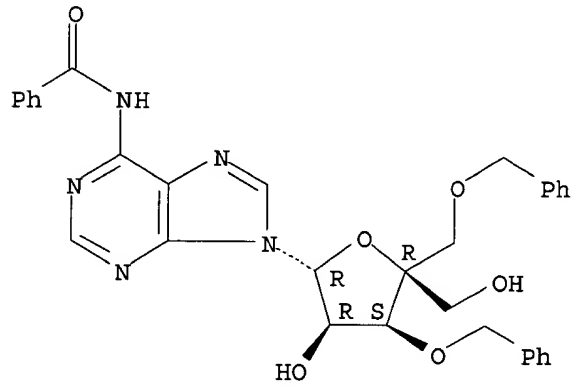
RN 206055-60-9 CAPLUS
CN Cytidine, 4'-C-(hydroxymethyl)-N-benzoyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



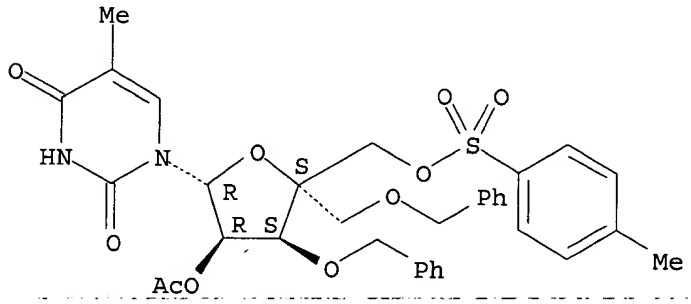
RN 206055-61-0 CAPLUS
CN Adenosine, 4'-C-(hydroxymethyl)-N-benzoyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



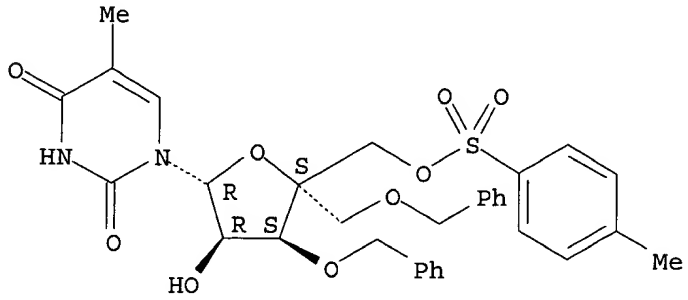
RN 209968-87-6 CAPLUS
CN Uridine, 5-methyl-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



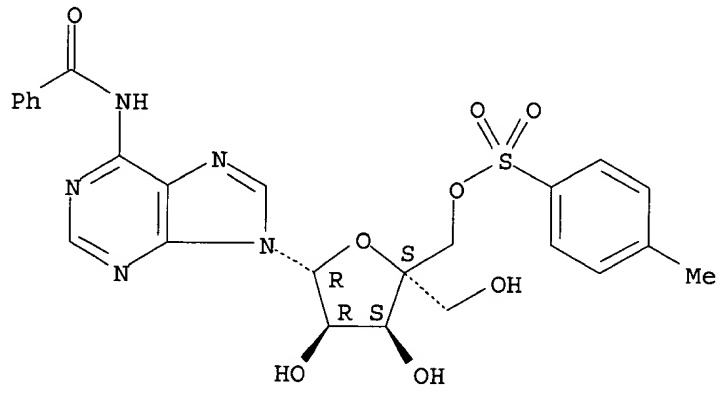
RN 209968-88-7 CAPLUS
CN Uridine, 5-methyl-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



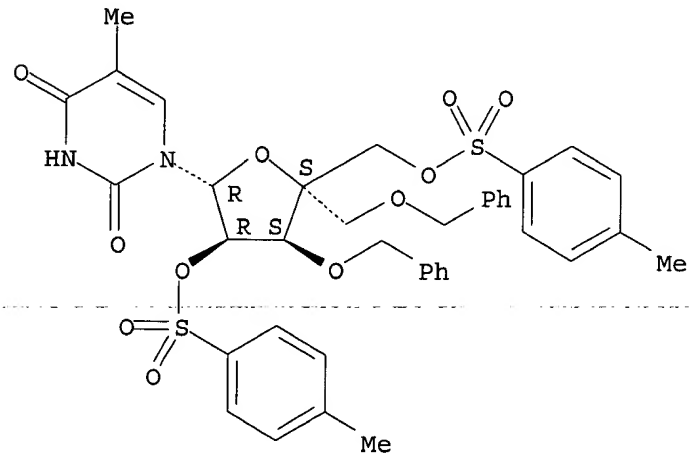
RN 209968-90-1 CAPLUS
CN Adenosine, N-benzoyl-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



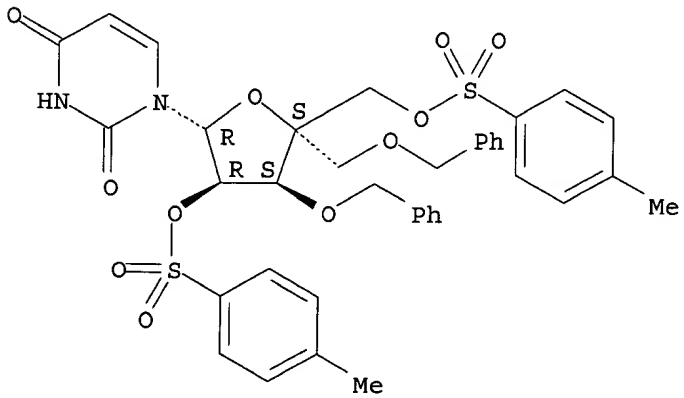
RN 213697-44-0 CAPLUS
CN Uridine, 5-methyl-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3',5'-bis-O-(phenylmethyl)-, 2'-(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 213697-45-1 CAPLUS
CN Uridine, 4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3',5'-bis-O-(phenylmethyl)-, 2'-(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

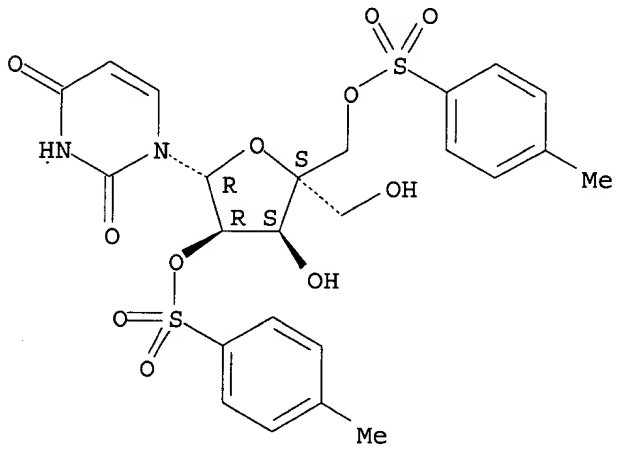
Absolute stereochemistry.



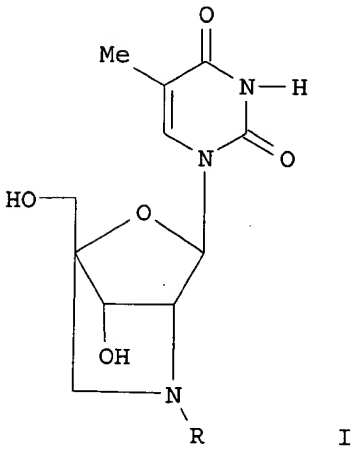
09567863

RN 213697-48-4 CAPLUS
CN Uridine, 4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-, 2'-(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 24 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 1998:752618 CAPLUS
DN 130:110548
TI Synthesis of 2'-Amino-LNA: A Novel Conformationally Restricted High-Affinity **Oligonucleotide** Analog with a Handle
AU Singh, Sanjay K.; Kumar, Ravindra; Wengel, Jesper
CS Center for Synthetic Bioorganic Chemistry Department of Chemistry, University of Copenhagen, Copenhagen, DK-2100, Den.
SO Journal of Organic Chemistry (1998), 63(26), 10035-10039
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
GI



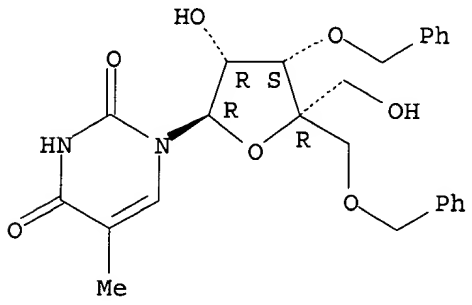
I

AB 2'-Amino- and 2'-methylamino-locked nucleic acids (2'-amino-LNA) contg. monomer nucleoside I (R = Me, COCF3) were prepd. and thermal stability of

their duplexes with complementary RNA and DNA strands are reported.

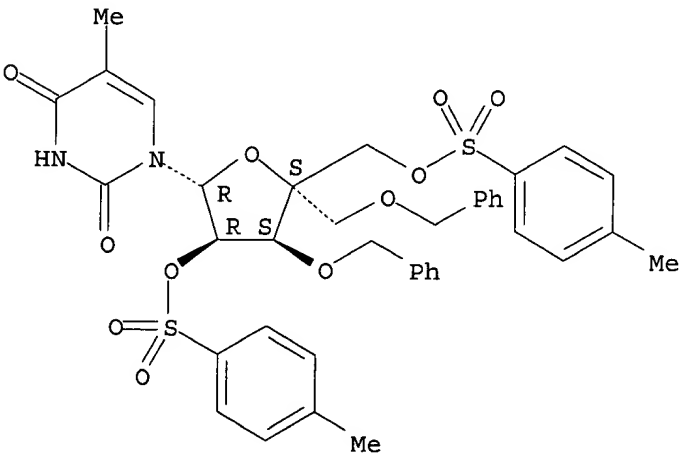
IT **206055-57-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of conformationally restricted high-affinity amino oligodeoxyribonucleotide analog with a handle)
RN 206055-57-4 CAPLUS
CN Uridine, 4'-C-(hydroxymethyl)-5-methyl-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **213697-44-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of conformationally restricted high-affinity amino oligodeoxyribonucleotide analog with a handle)
RN 213697-44-0 CAPLUS
CN Uridine, 5-methyl-4'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-3',5'-bis-O-(phenylmethyl)-, 2'-(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS
AN 1998:612113 CAPLUS
DN 129:245421
TI Preparation of antisense bicyclonucleoside and **oligonucleotide** analogs
IN Imanishi, Takeshi; Obika, Satoshi